NEWS IPC8 For general information regarding STN implementation of IPC 8 NEWS X25 X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 18:14:58 ON 22 DEC 2006

=> fil reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 18:15:14 ON 22 DEC 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 21 DEC 2006 HIGHEST RN 916201-86-0 DICTIONARY FILE UPDATES: 21 DEC 2006 HIGHEST RN 916201-86-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

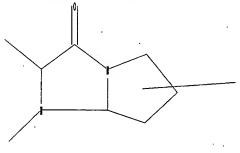
TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

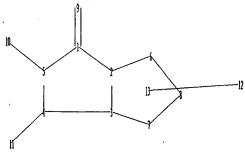
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

Uploading C:\Program Files\Stnexp\Queries\10761889B1.str





chain nodes :
9 10 11 12
ring nodes :

1 2 3 4 5 6 7 8

chain bonds: 1-9 4-11 5-10 ring bonds:

1-2 1-5 2-3 2-6 3-4 3-7 4-5 6-8 7-8

exact/norm bonds :

1-2 1-5 1-9 2-3 2-6 3-4 3-7 4-5 4-11 6-8 7-8

exact bonds :

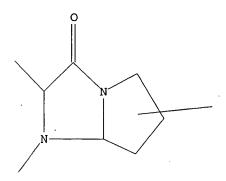
5-10

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS

L1 STRUCTURE UPLOADED

=> d L1 HAS NO ANSWERS L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> 11 sam sss

SAMPLE SEARCH INITIATED 18:16:05 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 20 TO ITERATE

100.0% PROCESSED 20 ITERATIONS 8 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 132 TO 668
PROJECTED ANSWERS: 8 TO 329

L2 8 SEA SSS SAM L1

=> 11 sss full

FULL SEARCH INITIATED 18:16:21 FILE 'REGISTRY!'
FULL SCREEN SEARCH COMPLETED - 405 TO ITERATE

100.0% PROCESSED 405 ITERATIONS 124 ANSWERS

SEARCH TIME: 00.00.01

L3 124 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 167.38 167.59

FILE 'CAPLUS' ENTERED AT 18:16:31 ON 22 DEC 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 22 Dec 2006 VOL 146 ISS 1 FILE LAST UPDATED: 21 Dec 2006 (20061221/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> 13

L4

14 L3

=> d fbib abs hitstr 4 1-14

L4 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:133079 CAPLUS

DN 138:188071

TI Peptidomimetics of biologically active metallopeptides

IN Sharma, Shubh D.; Shi, Yiqun; Rajpurohit, Ramesh; Wu, Zhijun

PA Palatin Technologies, Inc., USA

SO PCT Int. Appl., 168 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 8

ran.	PATENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.	,	D.	ATE	
PI	WO 2003013571			A1	·	2003	0220	1	 WO 2	002-	US25	574		2	0020	 812	
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
							DK,										
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,
		RO,	RU,	·SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	ŪG,	US,
		-	VN,		•		•										
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	ВG,
		CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,
						BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,
		ΝE,	SN,	TD,	ΤG												
	CA 2462200							1	US 2	001-	3114	04P	. (P 2	0010	810	
				A1	A1 20030220		CA 2002-2462200						20020812				
									1	US 2	001-	3114	04P		P 2	0010	810
									1	WO 2	002-1	US25	574	1	W 2	0020	812

	ΕP	14250 R:	AT,	BE,	CH, LT,	A1 DE, I	DK,	ES,	0609 FR, MK,	GB,	, GI	200 R, I L, T	Т,	LI,	LU,	NL, EE,	, SI	20020 E, MC,	812 PT,
•											US	200	1-3	1140	04P		P W		
	JP	2005	5040	43		Т	2	2005	0210		JP	200	3-5	185	77			200208	812
					,						WO	200 200	2-U	S25	574		P W	200108	
1	US	2004	15213	34		A1	2	2004	0805			200 200					P	200403	
,	110	2004	1 5 7 2 /	c 1		7.1	,	2004	0010		WO	200	2-U	S25!	574			200208	812
	US	20041	13/2	04		A1	4	2004	0812			200 200					P	200403	
												200 200					A2 P	200208	
1	US	2004	16720	01		A1	2	2004	0826		US	200	4-7	7665	57		_	200402	210
•												200 200					P A2	200108	
1	US	20043	17152	20		A1	2	2004	0902		US	200 200	4-7	7641	L9			200402	210
											WO	200	2-U	S255	574		P A1	200208	312
1	US	2005	13098	38		A1	2	2005	0616			200 200					P	200501	
	•										WO	200	2-U	S255	574		A2	200208	312
												200 200					P P	200305	
												200					P	200401	
											US	200	4-7	62,07	79			200403	
				•								200					P P	200402	
											US	200	4-5	637 3	39P		P	200404	119
1	US	20051	12463	36		A1	2	2005	0609			200					A2	200404	
											US	200	1-3	1140)4P.		P	200108	310
												200					A2 P	200208	
				•								200					P P	200305	530
											US	200	4-7	6188	39			200401	
												200- 200-						200403	
		00054					_				US	200	4-83	3751	19			200404	130
	US	20051	17672	28		A1	2	2005	0811	•		200					P	200504	
											WO	200	2-U:	s255	74		A2	200208	312
												200					P P	200305	
											US	200	4-76	6207	19		A2	200401	l21
												200					P P	200402 200404	
															39P			200404	119 130
PATEN'				FORMA	NOITA	ī:					O.D	200		5,01			n.	200409	150
	PAT	4:633 ENT N	10.			KIND	I	OATE	- -		APF	LIC	ATIC	и ис	10.	-		DATE	
PI (US	20041	15213	34		A1	2	2004	0805		US		1-3:	1140)4P			200401	310
	ŴΟ	20030 W:	AE,	AG,	AL,	A1 AM, A	AT,	AU,	0220 AZ,	BA,	WO BE	2002 3, B	2-US G, I	S255 BR,	574 BY,	BZ,	C <i>P</i>	200208 200208 A, CH,	312 CN,
			co,	CR,	CU,	CZ, I	JΕ,	DΚ,	DM,	DZ,	EC	E, El	Ε, Ι	ES,	FI,	GB,	GI), GE,	GH,

```
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
              PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
                                               US 2001-311404P
                                                                       20010810
     US 2005130988
                           A1
                                  20050616
                                               US 2005-36282
                                                                        20050114
                                               US 2001-311404P
                                                                    Р
                                                                       20010810
                                               WO 2002-US25574
                                                                    A2 20020812
                                               US 2003-467442P
                                                                    P
                                                                       20030501
                                               US 2003-474497P
                                                                    Ρ
                                                                       20030530
                                               US 2004-536606P
                                                                    Ρ
                                                                       20040114
                                               US 2004-761889
                                                                    A2 20040121
                                              US 2004-762079
                                                                    A2 20040121
                                              US 2004-546393P
                                                                    Ρ
                                                                       20040219
                                              US 2004-559741P
                                                                    Р
                                                                       20040405
                                              US 2004-563739P
                                                                    P
                                                                       20040419
                                              US 2004-837519
                                                                    A2 20040430
     US 2005124636
                                  20050609
                           Α1
                                              US 2005-40838
                                                                       20050121
                                              US 2001-311404P
                                                                       20010810
                                              WO 2002-US25574
                                                                    A2 20020812
                                              US 2003-467442P
                                                                    Ρ
                                                                       20030501
                                              US 2003-474497P
                                                                    Ρ
                                                                       20030530
                                              US 2004-538100P
                                                                    P 20040121
                                              US 2004-761889
                                                                    A2 20040121
                                              US 2004-762079
                                                                    A2 20040121
                                              US 2004-546393P
                                                                    P 20040219
                                              US 2004-837519
                                                                    A2 20040430
FAN
     2004:652533
     PATENT NO.
                          KIND
                                  DATE
                                              APPLICATION NO.
                                                                       DATE
                                               ~----
ΡI
     US 2004157264
                           A1
                                  20040812
                                              US 2004-762079
                                                                       20040121
                                              US 2001-311404P
                                                                    Ρ
                                                                       20010810
                                              WO 2002-US25574
                                                                    A2 20020812
                                              US 2003-474497P
                                                                    Р
                                                                       20030530
                                  20030220
     WO 2003013571
                           A1
                                              WO 2002-US25574
                                                                       20020812
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
                                              US 2001-311404P
                                                                    P 20010810
     WO 2005102340
                           A1
                                  20051103
                                             WO 2004-US1462
                                                                       20040121
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                              US 2003-474497P
                                                                 P 20030530
     US 2005130988
                           Α1
                                  20050616
                                              US 2005-36282
                                                                       20050114
```

```
US 2001-311404P
                                                              P - 20010810
                                           WO 2002-US25574
                                                              A2 20020812
                                           US 2003-467442P
                                                             P 20030501
                                           US 2003-474497P
                                                             P 20030530
                                           US 2004-536606P
                                                             P 20040114
                                           US 2004-761889
                                                              A2 20040121
                                           US 2004-762079
                                                              A2 20040121
                                           US 2004-546393P
                                                              P
                                                                 20040219
                                                              P
                                           US 2004-559741P
                                                                 20040405
                                           US 2004-563739P
                                                              P 20040419
                                           US 2004-837519
                                                              A2 20040430
    US 2005124636
                               20050609
                         A1
                                          US 2005-40838
                                                                 20050121
                                          US 2001-311404P
                                                              P 20010810
                                          WO 2002-US25574
                                                              A2 20020812
                                           US 2003-467442P
                                                             P 20030501
                                                              P 20030530
                                           US 2003-474497P
                                          US 2004-538100P
                                                             P 20040121
                                          US 2004-761889
                                                            A2 20040121
                                          US 2004-762079
                                                            A2 20040121
                                          US 2004-546393P
                                                            P 20040219
                                          US 2004-837519
                                                            A2 20040430
    US 2005176728
                         A1
                               20050811
                                          US 2005-99814
                                                                 20050405
                                          US 2001-311404P
                                                            P 20010810
                                          WO 2002-US25574 A2 20020812
                                          US 2003-467442P
                                                          P 20030501
                                          US 2003-474497P
                                                            P 20030530
                                          US 2004-762079
                                                             A2 20040121
                                          US 2004-546393P
                                                             P 20040219
                                          US 2004-559741P
                                                             P 20040405
                                          US 2004-563739P
                                                              P 20040419
                                          US 2004-837519
                                                          A2 20040430
FAN
    2004:703130
    PATENT NO.
                        KIND
                               DATE
                                          APPLICATION NO.
                                                                 DATE
    -----
                        ----
                               _----
                                          -----
    US 2004167201
                                          US 2004-776657
PI
                        A1
                               20040826
                                                                 20040210
                                          US 2001-311404P
                                                            P 20010810
                                          WO 2002-US25574
                                                           A2 20020812
20020812
    WO 2003013571
                               20030220
                                          WO 2002-US25574
                        A1
                                                              20020812
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
            RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
            UZ, VN, YU, ZA, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
            CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
            PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
            NE, SN, TD, TG
                                          US 2001-311404P
                                                            P 20010810
FAN
    2004:965987
    PATENT NO.
                        KIND
                               DATE
                                          APPLICATION NO.
                                                                 DATE
                                          -----
    US 2004224957
                        A1
                               20041111
                                          US 2004-837519
                                                                 20040430
                                          US 2003-467442P
                                                            P 20030501
                                          US 2004-546393P
                                                             P 20040219
    AU 2004235792
                        Α1
                               20041118
                                          AU 2004-235792
                                                                 20040503
                                          US 2003-467442P P 20030501
                                          US 2004-546393P
                                                            P 20040219
                                          US 2004-837519
                                                            A 20040430
                                          WO 2004-US13803
                                                             W 20040503
    WO 2004098602
                       A1
                               20041118
                                          WO 2004-US13803
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
```

```
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
        LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
        NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
        TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
    RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
        AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
        EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
        SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
        SN, TD, TG
                                        US 2003-467442P
                                                             Ρ
                                                                .20030501
                                                            P
                                        US 2004-546393P
                                                                20040219
                                        US 2004-837519
                                                            A 20040430
EP 1622618
                     A1
                            20060208
                                        EP 2004-751262
                                                                20040503
    R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
                                        US 2003-467442P
                                                            Ρ
                                                                20030501
                                        US 2004-546393P
                                                            Р
                                                                20040219
                                        US 2004-837519
                                                                20040430
                                                            Α
                                        WO 2004-US13803
                                                            W
                                                                20040503
BR 2004010694
                                        BR 2004-10694
                     Α
                            20060620
                                                                20040503
                                        US 2003-467442P
                                                            Ρ
                                                                20030501
                                        US 2004-546393P
                                                            · P
                                                                20040219
                                        US 2004-837519
                                                                20040430
                                                            Α
                                        WO 2004-US13803
                                                                20040503
CN 1816337
                     Α
                            20060809
                                        CN 2004-80018907
                                                                20040503
                                        US 2003-467442P
                                                            Р
                                                                20030501
                                        US 2004-546393P
                                                            Ρ
                                                                20040219
                                        US 2004-837519
                                                               20040430
                                                            Α
                                        WO 2004-US13803
                                                               20040503
JP 2006525369
                     Т
                            20061109
                                        JP 2006-514263
                                                                20040503
                                        US 2003-467442P
                                                            Ρ
                                                                20030501
                                        US 2004-546393P
                                                            Р
                                                               20040219
                                        US 2004-837519
                                                               20040430
                                                            Α
                                        WO 2004-US13803
                                                            W
                                                                20040503
                                        US 2005-36282
US 2005130988
                            20050616
                     A1
                                                                20050114
                                        US 2001-311404P
                                                            Ρ
                                                                20010810
                                        WO 2002-US25574
                                                            A2 20020812
                                        US 2003-467442P
                                                                20030501
                                                            Ρ
                                        US 2003-474497P
                                                            Ρ
                                                                20030530
                                        US 2004-536606P
                                                            Р
                                                               20040114
                                        US 2004-761889
                                                            A2 20040121
                                        US 2004-762079
                                                            A2 20040121
                                        US 2004-546393P
                                                            Ρ
                                                               20040219
                                        US 2004-559741P
                                                            Ρ
                                                               20040405
                                        US 2004-563739P
                                                            P 20040419
                                        US 2004-837519
                                                            A2 20040430
US 2005124636
                     A1
                            20050609
                                        US 2005-40838
                                                               20050121
                                        US 2001-311404P
                                                            P 20010810
                                        WO 2002-US25574
                                                            A2 20020812
                                        US 2003-467442P
                                                            Р
                                                               20030501
                                        US 2003-474497P
                                                            Р
                                                               20030530
                                        US 2004-538100P
                                                           · P
                                                               20040121
                                        US 2004-761889
                                                            A2 20040121
                                        US 2004-762079
                                                            A2 20040121
                                        US 2004-546393P
                                                            Р
                                                               20040219
                                        US 2004-837519
                                                            A2 20040430
US 2005176728
                                        US 2005-99814
                     A1
                           20050811
                                                                20050405
                                        US 2001-311404P
                                                            P 20010810
                                        WO 2002-US25574
                                                            A2 20020812
                                        US 2003-467442P
                                                            Ρ
                                                               20030501
                                        US 2003-474497P
                                                            P
                                                               20030530
                                        US 2004-762079
                                                            A2 20040121
                                        US 2004-546393P
                                                           P 20040219
```

```
US 2004-559741P P 20040405.
US 2004-563739P P 20040419
US 2004-837519 A2 20040430
     US 2006287330
                               A1
                                      20061221
                                                    US 2006-464051
                                                                                20060811
                                                    US 2003-467442P
                                                                           P 20030501
                                                                           P 20040219
                                                    US 2004-546393P
                                                                          A2 20040430
P 20050811
                                                    US 2004-837519
                                                    US 2005-707488P
                                      20061221
                                                    US 2006-464053
     US 2006287331
                              A1
                                                                                20060811
                                                                           P 20030501
                                                    US 2003-467442P
                                                                          P 20040219
A2 20040430
                                                    US 2004-546393P
                                                    US 2004-837519
                                                    US 2005-707488P
                                                                           P 20050811
     US 2006287332
                                                    US 2006-464069
                               Α1
                                      20061221
                                                                                20060811
                                                    US 2003-467442P .
                                                                          P 20030501
                                                    US 2004-546393P ·
                                                                           P 20040219
                                                                        A2 20040430
                                                    US 2004-837519
                                                    US 2005-707488P
                                                                           P 20050811
FAN
     2005:497489
      PATENT NO.
                             KIND
                                      DATE
                                                    APPLICATION NO.
                                                                                DATE
                                                    US 2005-40838 20050121

US 2001-311404P P 20010810

WO 2002-US25574 A2 20020812

US 2003-467442P P 20030501

US 2003-474497P P 20030530

US 2004-538100P P 20040121

US 2004-761889 A2 20040121

US 2004-762079 A2 20040121

US 2004-546393P P 20040219
                             ----
                                                    ______
                                      -----
                                                                                -----
PΙ
     US 2005124636
                              A1
                                      20050609
                                                    US 2004-546393P
                                                                          P 20040219
                                                    US 2004-837519 A2 20040430
WO 2002-US25574 20020812
     WO 2003013571
                                                 WO 2002-US25574
                             A1
                                      20030220
              AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
               CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
               LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
               UZ, VN, YU, ZA, ZW
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
               PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
               NE, SN, TD, TG
                                                    US 2001-311404P P 20010810
     US 2004152134
                              A1
                                      20040805
                                                    US 2004-761889
                                                                            20040121
                                                    US 2001-311404P
                                                                        P 20010810.
A2 20020812
                                                    WO 2002-US25574
     US 2004157264
                                                    US 2004-762079
                              A1
                                      20040812
                                                                              20040121
                                                    US 2001-311404P P 20010810
WO 2002-US25574 A2 20020812
                                                                         P 20030530
                                                    US 2003-474497P
     WO 2005102340
                             A1
                                      20051103 · WO 2004-US1462
                                                                               20040121
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
               CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
               GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
               LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
               NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
               TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
          RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
               BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
               ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
               TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                                   US 2003-474497P P 20030530
     US 2004224957
                                                  US 2004-837519
                            A1
                                  20041111
                                                                               20040430
```

```
US 2003-467442P
                                                                             P 20030501
                                                        US 2004-546393P
                                                                                P 20040219
FAN 2005:527392
      PATENT NO.
                               KIND
                                         DATE
                                                       APPLICATION NO.
                                                                                     DATE
                               ____
                                         -----
ΡI
      US 2005130988
                                A1 -
                                         20050616
                                                        US 2005-36282
                                                                                      20050114
                                                        US 2001-311404P
                                                                               P 20010810
                                                                             P 20010810
A2 20020812
P 20030501
P 20030530
P 20040114
A2 20040121
A2 20040219
P 20040019
                                                        WO 2002-US25574
                                                        US 2003-467442P
                                                        US 2003-474497P
                                                        US 2004-536606P
                                                        US 2004-761889
                                                        US 2004-762079
                                                        US 2004-546393P
                                                                             P 20040405
                                                        US 2004-559741P
                                                                             P 20040419
                                                       US 2004-563739P
                                                                              A2 20040430
20020812
                                                       US 2004-837519
      WO 2003013571
                               A1
                                        20030220
                                                       WO 2002-US25574
                                                                                     20020812
               RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
                UZ, VN, YU, ZA, ZW
           RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
                CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
                PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
                NE, SN, TD, TG
                                                       US 2001-311404P
                                                                               P 20010810
      US 2004152134
                                 A1
                                        20040805
                                                       US 2004-761889
                                                                                     20040121
                                                       US 2001-311404P
                                                                                 P 20010810
                                                       WO 2002-US25574
                                                                               A2 20020812
      US 2004157264
                                A1
                                        20040812
                                                       US 2004-762079
                                                                                     20040121
                                                                               P 20010810
                                                       US 2001-311404P
                                                                               A2 20020812
                                                       WO 2002-US25574
                                                                             P 20030530
20040121
                                                      US 2003-474497P
      WO 2005102340
                                A1
                                        20051103
                                                       WO 2004-US1462
                                                                                     20040121
                AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
                CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
                NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
          RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                                       US 2003-474497P P 20030530
      US 2004224957
                                        20041111
                                A1
                                                       US 2004-837519
                                                                                     20040430
                                                                             P 20030501
P 20040219
                                                       US 2003-467442P
                                                       US 2004-546393P
FAN
      2005:735324
      PATENT NO.
                               KIND
                                        DATE
                                                       APPLICATION NO.
                               ____
                                        _____
                                                       -----
      US 2005176728 A1
PΙ
                                                       US 2005-99814
                                        20050811
                                                                                     20050405
                                                       US 2005-99814 20050405
US 2001-311404P P 20010810
WO 2002-US25574 A2 20020812
US 2003-467442P P 20030501
US 2003-474497P P 20030530
US 2004-762079 A2 20040121
US 2004-546393P P 20040219
US 2004-559741P P 20040405
US 2004-563739P P 20040419
```

```
US 2004-837519
                                                            A2 20040430
WO 2003013571
                     A1
                           20030220
                                       WO 2002-US25574
                                                               20020812
        AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
    W:
        CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
        GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
        LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
        RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
        UZ, VN, YU, ZA, ZW
    RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
        CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
        PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
        NE, SN, TD, TG
                                       US 2001-311404P
                                                               20010810
US 2004157264
                           20040812
                     A1
                                       US 2004-762079 ·
                                                               20040121
                                       US 2001-311404P
                                                            Ρ
                                                               20010810
                                       WO 2002-US25574
                                                            A2 20020812
                                       US 2003-474497P
                                                            Ρ
                                                               20030530
WO 2005102340
                     A1
                           20051103
                                       WO 2004-US1462
                                                               20040121
        AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
        CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
        GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
        LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
        NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
        TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
    RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
        BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
        ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
        TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
                                       US 2003-474497P
                                                            P
                                                               20030530
US 2004224957
                     Α1
                           20041111
                                       US 2004-837519
                                                               20040430
                                       US 2003-467442P
                                                            Ρ
                                                               20030501
                                       US 2004-546393P
                                                               20040219
                                                            Ρ
```

OS MARPAT 138:188071 GI

$$H_2N$$

The invention relates to a method of deriving a peptidomimetic of a biolactive metallopeptide. The peptidomimetic contains at least one non-peptide ring structure and at least two amino acid-related elements. The invention further relates to peptidomimetics with a template space heterocyclic ring structure, including 5-, 6- and 8-membered and 5-5 and 6-5 bicyclic fused ring structure melanocortin receptor-specific peptidomimetics. The examples describe the synthesis of pyrrolidines, 2-piperazinones [e.g., I [R = BuCH2CH2CO-Ser(Bzl)-D-Phe(2-Cl)]], hexahydropyrrolo[1,2-a]pyrazin-4-ones, hexahydropyrrolo[1,2-a]imidazol-3-ones, 1,4-benzodiazepines, and piperazines. Competitive inhibition testing of compound I against α -MSH yielded the following results at 1 μ M: melanocortin-1 receptor (MC1-R) 96%, MC3-R 51%, MC4-R 99%, and MC5-R 82%.

Ι

IT 497935-48-5P 497935-49-6P 497935-50-9P 497935-51-0P 497935-52-1P 497935-53-2P 497935-54-3P 497935-55-4P 497935-56-5P 497935-57-6P 497935-58-7P 497935-59-8P

```
497935-60-1P 497935-61-2P 497935-62-3P
     497935-63-4P 497935-64-5P 497935-65-6P
     497935-66-7P 497935-67-8P 497935-68-9P
     497935-69-0P 497935-70-3P 497935-71-4P
     497935-72-5P 497935-73-6P 497935-74-7P
     497935-75-8P 497935-76-9P 497935-77-0P
     497935-78-1P 497935-79-2P 497935-80-5P
     497935-81-6P 497935-82-7P 497935-83-8P
     497935-84-9P 497935-85-0P 497935-86-1P
     497935-87-2P 497935-88-3P 497935-89-4P
     497935-90-7P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (peptidomimetics of biol. active metallopeptides)
    497935-48-5 CAPLUS
RN
     Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)]
CN
     [(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-
     chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA
     INDEX NAME)
```

Absolute stereochemistry.

```
RN 497935-49-6 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)
```

RN

 $\begin{array}{lll} 497935-50-9 & \text{CAPLUS} \\ \text{Heptanamide, N-[(1S)-2-[((1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)) hexahydro-5-} \end{array}$ CN [(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[<math>(4-naphthalenyloxy)methyl]chlorophenyl)methyl]-2-oxoethyl]amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN497935-51-0 CAPLUS

Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-CN [(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) INDEX NAME)

RN 497935-52-1 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-53-2 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S,4R)- (9CI) (CA INDEX NAME)

RN 497935-54-3 CAPLUS

2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-CN 5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(chlorophenyl)methyl]-2-oxoethyl]-5-phenyl-, (2S,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

 $\begin{array}{lll} 497935-55-4 & \text{CAPLUS} \\ \text{Heptanamide, N-[(1S)-2-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-} \end{array}$ CN [(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxchlorophenyl)methyl]-2-oxoethyl]amino]-2-oxo-1-[(phenylmethoxy)methyl]=thyl]- (9CI) (CA INDEX NAME)

RN 497935-56-5 CAPLUS

CN 1-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (1S)- (9CI) (CA INDEX NAME)

"Absolute stereochemistry.

RN 497935-57-6 CAPLUS

CN 1-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (1R)- (9CI) (CA INDEX NAME)

RN 497935-58-7 CAPLUS

CN 2-Naphthalenecarboxamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-59-8 CAPLUS

CN 1H-Indene-2-acetamide, α-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro-, (αS)- (9CI) (CA INDEX NAME)

RN 497935-60-1 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-(2-naphthalenyloxy)-, (2S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-61-2 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-phenoxy-, (2S,4S)- (9CI) (CA INDEX NAME)

RN 497935-62-3 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-63-4 CAPLUS

CN 1H-Indene-2-carboxamide, 2-amino-N-[(1S)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 497935-64-5 CAPLUS

CN 1H-Indole-2-carboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-65-6 CAPLUS

CN 1H-Indene-1-carboxamide, 1-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 497935-66-7 CAPLUS

CN 1H-Imidazole-4-propanamide, α-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-2-oxo-1-(phenylmethyl)ethyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-67-8 CAPLUS

CN

3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

RN 497935-68-9 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-69-0 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S,4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-70-3 CAPLUS

CN Heptanamide, 7-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-71-4 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-3-(2-chlorophenyl)-1-oxo-2-[(phenylmethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-72-5 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-3-(4-chlorophenyl)-1-oxopropyl]hexahydro-5-(phenoxymethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-73-6 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-amino-3-(2-a

chlorophenyl)-1-oxopropyl]hexahydro-5-(phenoxymethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

497935-74-7 CAPLUS 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-1-oxo-3phenylpropyl]hexahydro-5-(phenoxymethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN497935-75-8 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4aminobutyl)hexahydro-3-oxo-5-(phenoxymethyl)-1H-pyrrolo[1,2-a]imidazol-1y1]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)-(9CI) (CA INDEX NAME)

RN 497935-76-9 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-1-oxo-3-phenylpropyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-77-0 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-[[(5,6,7,8-tetrahydro-2-naphthalenyl)oxy]methyl]-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

RN 497935-78-1 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-[[(5,6,7,8-tetrahydro-2-naphthalenyl)oxy]methyl]-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-79-2 CAPLUS

CN Heptanamide, 7-amino-N-[(1S)-2-[[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-[[(5,6,7,8-tetrahydro-2-naphthalenyl)oxy]methyl]-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)

RN 497935-80-5 CAPLUS

CN 1H-Imidazole-4-propanamide, α-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-2-oxo-1-(phenylmethyl)ethyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-81-6 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

RN 497935-82-7 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-83-8 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

RN 497935-84-9 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-5-phenyl-, (2S,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-85-0 CAPLUS

CN Butanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

RN 497935-86-1 CAPLUS

CN 2-Piperidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2oxoethyl]-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

497935-87-2 CAPLUS Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]chlorophenyl)methyl]-2-oxoethyl]-3-(2-naphthalenyloxy)-, (2S)- (9CI) (CA INDEX NAME)

RN 497935-88-3 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-phenoxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-89-4 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(4-chlorophenoxy)-, (2S)- (9CI) (CA INDEX NAME)

RN 497935-90-7 CAPLUS

Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(2-chlorophenoxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:961972 CAPLUS

DN 143:248665

TI Preparation of bicyclic melanocortin-specific compounds

IN Sharma, Shubh D.; Shi, Yi-Qun; Wu, Zhijun; Rajpurohit, Ramesh

PA Palatin Technologies, Inc., USA

SO PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
		-					
ΡI	WO 2005079574	A1	20050901	WO 2004-US1505	20040121		
	W: AE, AG, AL,	AM, AT	, AU, AZ, BA	, BB, BG, BR, BW, BY,	BZ, CA, CH,		

```
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG WO 2004-US1505
```

MARPAT 143:248665

OS GI

$$\begin{array}{c|c} R^2 & X & R^1 \\ \hline & X & X & \\ R^3 & X^1 & \\ \hline & I & \end{array}$$

The invention discloses melanocortin receptor (MC-R)-specific bicyclic compds. having the structure I [RI is L1-J, where L1 is a linker and J is a ring structure; R2 is (CH2)1-6-W, where W is a heteroarom. unit with at least one cationic center, hydrogen bond donor or acceptor in which at least one atom is N; R3 is L2-Q, where L2 is a linker and Q is (un)substituted Ph or naphthyl: X = CH2 or CO; X1 is null or CH2], or stereoisomers or pharmaceutically-acceptable salts, which are agonists, antagonists or mixed agonists and antagonists at one or more melanocortin receptors and have utility in the treatment of melanocortin receptor-related disorders and conditions. Thus, pyrroloimidazolyl peptide II was prepared and assayed for competitive binding against 128I-NDP- α -MSH (90, 14, 81 and 86% inhibition for MC1-R, MC3-R, MC4-R and MC5-R, resp., at 1 μ M).

IT 497935-48-5P 497935-49-6P 497935-50-9P 497935-51-0P 497935-52-1P 497935-53-2P 497935-54-3P 497935-55-4P 497935-56-5P 497935-57-6P 497935-58-7P 497935-59-8P 497935-60-1P 497935-61-2P 497935-62-3P 497935-63-4P 497935-64-5P 497935-65-6P 497935-66-7P 497935-67-8P 497935-68-9P 497935-69-0P 497935-70-3P 497935-71-4P 497935-72-5P 497935-73-6P 497935-74-7P 497935-75-8P 497935-76-9P 497935-77-0P 497935-78-1P 497935-79-2P 497935-80-5P 497935-81-6P 497935-82-7P 497935-83-8P 497935-84-9P 497935-85-0P 497935-86-1P 497935-87-2P 497935-88-3P 497935-89-4P 497935-90-7P 728039-00-7P 728039-01-8P

728039-02-9P 728039-03-0P 728039-04-1P 728039-05-2P 728039-06-3P 728039-07-4P 728039-08-5P 728039-09-6P 728039-10-9P 728039-11-0P 728039-12-1P 728039-13-2P 728039-14-3P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (bicyclic melanocortin receptor-specific compds. for treating eating disorders and sexual dysfunction) RN 497935-48-5 CAPLUS Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-CN [(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)mchlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-49-6 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

RN 497935-50-9 CAPLUS

CN Heptanamide, N-[(1S)-2-[[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-51-0 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-52-1 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

RN 497935-53-2 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c}
H & O & C1 \\
N & R & O \\
R & (CH_2)_4 & N & R \\
O & N & R
\end{array}$$

RN 497935-54-3 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-5-phenyl-, (2S,5R)- (9CI) (CA INDEX NAME)

RN

497935-55-4 CAPLUS Heptanamide, N-[(1S)-2-[[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-CN [(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-maphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-maphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-maphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-maphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-maphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-maphthalenyloxy)methyl]-1-[(2-maphthalenyloxy)methyl]-1-[(2-maphthalenyloxy)methyl]-1-[(2-maphthalenyloxy)methyl]-1-[(2-maphthalenyloxy)methyl]-1-[(2-maphthalenyloxy)methyl]-1-[(2-maphthalenyloxy)methyl]-1-[(2-maphthalenyloxy)methyl]-1-[(2-maphthalenyloxy)methyl]-1-[(2-maphthalenyloxy)methyl]-1-[(2-maphthalenyloxy)methyl]-1-[(2-maphthalenyloxy)methyloxy]methylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylloxymethylchlorophenyl)methyl]-2-oxoethyl]amino]-2-oxo-1-[(phenylmethoxy)methyl]=thyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-56-5 CAPLUS

CN 1-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (1S)- (9CI) (CA INDEX NAME)

RN 497935-57-6 CAPLUS

CN 1-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (1R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-58-7 CAPLUS

CN 2-Naphthalenecarboxamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-(9CI) (CA INDEX NAME)

RN 497935-59-8 CAPLUS

CN lH-Indene-2-acetamide, α-amino-N-[(lR)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-60-1 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-(2-naphthalenyloxy)-, (2S,4S)- (9CI) (CA INDEX NAME)

RN 497935-61-2 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-phenoxy-, (2S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-62-3 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

RN 497935-63-4 CAPLUS

CN 1H-Indene-2-carboxamide, 2-amino-N-[(1S)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-64-5 CAPLUS

CN 1H-Indole-2-carboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro-, (2S)- (9CI) (CA INDEX NAME)

RN 497935-65-6 CAPLUS

CN 1H-Indene-1-carboxamide, 1-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-66-7 CAPLUS

CN 1H-Imidazole-4-propanamide, α-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-2-oxo-1-(phenylmethyl)ethyl]-, (αS)- (9CI) (CA INDEX NAME)

RN 497935-67-8 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-68-9 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

RN497935-69-0 CAPLUS

CN

2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

497935-70-3 CAPLUS
Heptanamide, 7-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-CN [(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyl]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]-1-[(2-naphthalenyloxy)methyloxy]chlorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 497935-71-4 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-3-(2-chlorophenyl)-1-oxo-2-[(phenylmethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-72-5 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-3-(4-chlorophenyl)-1-oxopropyl]hexahydro-5-(phenoxymethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-73-6 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-3-(2-chlorophenyl)-1-oxopropyl]hexahydro-5-(phenoxymethyl)-, (2S,5R,7aR)- (9CI)

Absolute stereochemistry.

RN 497935-74-7 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-1-oxo-3-phenylpropyl]hexahydro-5-(phenoxymethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-75-8 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-(phenoxymethyl)-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)-(9CI) (CA INDEX NAME)

RN 497935-76-9 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-1-oxo-3-phenylpropyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-77-0 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-[[(5,6,7,8-tetrahydro-2-naphthalenyl)oxy]methyl]-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-78-1 CAPLUS

Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-[[(5,6,7,8-tetrahydro-2-naphthalenyl)oxy]methyl]-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

RN 497935-79-2 CAPLUS

CN Heptanamide, 7-amino-N-[(1'S)-2-[[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-[[(5,6,7,8-tetrahydro-2-naphthalenyl)oxy]methyl]-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]amino]-2-oxo-1[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-80-5 CAPLUS
CN 1H-Imidazole-4-propanamide, α-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-2-oxo-1-(phenylmethyl)ethyl]-, (αS)- (9CI) (CA INDEX NAME)

RN 497935-81-6 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-82-7 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S,4R)- (9CI) (CA INDEX NAME)

RN

497935-83-8 CAPLUS Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-CN [(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

497935-84-9 CAPLUS RN CN

2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2oxoethyl]-5-phenyl-, (2S,5R)- (9CI) (CA INDEX NAME)

RN 497935-85-0 CAPLUS

CN Butanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2oxoethyl]-4-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-86-1 CAPLUS

CN 2-Piperidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2oxoethyl]-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 497935-87-2 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(2-naphthalenyloxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-88-3 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-phenoxy-, (2S)- (9CI) (CA INDEX NAME)

RN

497935-89-4 CAPLUS Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-CN [(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]chlorophenyl)methyl]-2-oxoethyl]-3-(4-chlorophenoxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-90-7 CAPLUS

Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-CN[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4chlorophenyl)methyl]-2-oxoethyl]-3-(2-chlorophenoxy)-, (2S)- (9CI) (CA INDEX NAME)

RN 728039-00-7 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(4-chlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 728039-01-8 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(2,4-dichlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & \text{NH} \\
 & \text{NH} \\
 & \text{NH} \\
 & \text{NH} \\
 & \text{C1} \\
 & \text{R} \\
 & \text{C1} \\
 & \text{R} \\
 & \text{R} \\
 & \text{O}
\end{array}$$

RN 728039-02-9 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(2,4-difluorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c}
 & NH_2 \\
 & R \\
 & R$$

RN 728039-03-0 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(4-chlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 728039-04-1 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(3-chlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$H_2N$$
 H
 H
 $C1$
 H
 R
 R
 R
 R
 R
 R

RN 728039-05-2 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(2-chlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 728039-06-3 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(2,4-dichlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 728039-07-4 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(3,4-dichlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 728039-08-5 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-[3-[(aminoiminomethyl)amino]propyl]-1-

[(2R)-2-amino-1-oxo-3-[4-(trifluoromethyl)phenyl]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 728039-09-6 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-[3-[(aminoiminomethyl)amino]propyl]-1-[(2R)-2-amino-3-(4-methylphenyl)-1-oxopropyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 728039-10-9 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-[3-[(aminoiminomethyl)amino]propyl]-1-[(2R)-2-amino-1-oxo-3-phenylpropyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 728039-11-0 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(4-cyanophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

RN 728039-12-1 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-[3-[(aminoiminomethyl)amino]propyl]-1-[(2R)-2-amino-3-(4-methoxyphenyl)-1-oxopropyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 728039-13-2 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-[3-[(aminoiminomethyl)amino]propyl]-1-[[(3S,4R)-4-(4-chlorophenyl)-3-pyrrolidinyl]carbonyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 728039-14-3 CAPLUS

CN Guanidine, [3-[(2S,5R,7aS)-1-[(2R)-2-amino-3-(2,4-dichlorophenyl)propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-2-yl]propyl]- (9CI) (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:527392 CAPLUS

DN 143:20084

TI Naphthalene-containing melanocortin receptor-specific small molecule

IN Sharma, Shubh D.; Shadiack, Annette M.; Shi, Yi-Qun; Wu, Zhijun;
Rajpurohit, Ramesh; Burris, Kevin; Purma, Papireddy

PA Palatin Technologies, Inc., USA

SO U.S. Pat. Appl. Publ., 23 pp., Cont.-in-part of U.S. Ser. No. 837,519. CODEN: USXXCO

DT Patent

LA English

FAN. CNT 8

FAN.CNT 8 PATENT NO.					KIND DATE				APPLICATION NO.							DATE				
									ACCUICATION NO.							DAIE				
PΙ	US 2005130988					A1 20050616				US 2005-36282							200	050	114	
			•								US	200	1-3	114	04P		P	200	010	310
											WO	200	2-U:	S25	574		A2	200	020	312
												200					P	200	030	501
												200							030	
												200							040:	
															39					
															79				040:	
								•				200							0402	
										US 2004-559741P US 2004-563739P										
																			0404	
	WO 2003013571								US 2004-837519 WO 2002-US25574											
	WO			135/1 AE, AG, AL,		A1		2003											0208	
		W:																		
								DK,												
			GM,	HK,	HU,	ID,	ΤЬ,	IN,	IS,	JP,	KE	5, K	3, I	KP,	KR,	KZ,	LC	, I	JΚ,	LR,
								MD,												
								SI,	SK,	SL,	Τų), TI	ν, :	IR,	TT,	TZ,	UP	ι, ι	JG,	US,
		DM.		VN,				147	an									_		
		RW:	GH,	GM,	KE,	ъъ,	MW,	MZ,	SD,	SL,	52	2, T	ا رک	UG,	ZM,	ZW,	AT	, 1	3E,	BG,
			CH,	CI,	CZ,	DE,	DK,	EE,	ES,	FI,	F'F	K, G	3, (GR,	IE,	IT,	LU	, N	1C,	NL,
							Br,	ВJ,	CF,	. CG,	CI	L, CI	ч, (GA,	GN,	GQ,	GN	, N	1L,	MR,
			NE,	SN,	TD,	TG						000			· -		_			
	IIC 20041E2124				7.1		2004	0005			200					P		0108		
	US 2004152134			A1	A1 20040805				US 2004-761889 US 2001-311404P						_	20040121 P 20010810				
	US 2004157264				7.1	Al 20040812				WO 2002-US25574 US 2004-762079						A2 20020812 20040121				
	US	2004	13/2	04		A1		2004	0812								_			
												200							0108	
											WO	200	∠-U:	525	0/4		A2	200	0208	312

```
US 2003-474497P P 20030530
    WO 2005102340
                         A1
                                20051103
                                           WO 2004-US1462
                                                                   20040121
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
            BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                            US 2003-474497P P 20030530
    US 2004224957
                          A1
                                20041111
                                            US 2004-837519
                                                                   20040430
                                            US 2003-467442P
                                                               P 20030501
                                            US 2004-546393P
                                                               P 20040219
PATENT FAMILY INFORMATION:
    2003:133079
FAN
     PATENT NO.
                        KIND
                                DATE
                                       APPLICATION NO.
                                                                   DATE
                        ____
                                -----
                                           ______
                                                                   _____
   .WO 2003013571
                         A1
                                20030220
                                          WO 2002-US25574
                                                                   20020812
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
            RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
            UZ, VN, YU, ZA, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
            CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
            PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
            NE, SN, TD, TG
                                            US 2001-311404P
                                                                P 20010810
                                            CA 2002-2462200
    CA 2462200
                          A1
                                20030220
                                                                   20020812
                                            US 2001-311404P
                                                                P 20010810
                                                               W 20020812
                                            WO 2002-US25574
    EP 1425029
                                20040609
                                            EP 2002-768507
                         A1
                                                                   20020812
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
                                            US 2001-311404P
                                                              P 20010810
W 20020812
                                            WO 2002-US25574
    JP 2005504043
                          Т
                                20050210
                                            JP 2003-518577
                                                                   20020812
                                            US 2001-311404P
                                                                P 20010810
                                            WO 2002-US25574
                                                                W 20020812
    US 2004152134
                         A1
                                20040805
                                            US 2004-761889
                                                                   20040121
                                            US 2001-311404P
                                                               P 20010810
                                            WO 2002-US25574
                                                               A2 20020812
    US 2004157264
                                20040812
                         A1
                                            US 2004-762079
                                                                   20040121
                                            US 2001-311404P
                                                               P 20010810
                                            WO 2002-US25574
                                                                A2 20020812
                                            US 2003-474497P
                                                                P 20030530
                                20040826.
    US 2004167201
                        ` A1
                                            US 2004-776657
                                                                   20040210
                                            US 2001-311404P
                                                                P 20010810
                                            WO 2002-US25574
                                                                A2 20020812
    US 2004171520
                         Α1
                                20040902
                                            US 2004-776419
                                                                   20040210
                                            US 2001-311404P
                                                                P 20010810
                                            WO 2002-US25574
                                                                A1 20020812
    US 2005130988
                         A1
                                20050616
                                            US 2005-36282
                                                                   20050114
                                            US 2001-311404P
                                                               P 20010810
                                            WO 2002-US25574
                                                               A2 20020812
                                            US 2003-467442P
                                                               P 20030501
                                                               P
                                            US 2003-474497P
                                                                   20030530
                                                               P 20040114
                                            US 2004-536606P
                                            US 2004-761889
                                                               A2 20040121
```

```
US 2004-762079
                                                              US 2004-762079

US 2004-546393P

US 2004-559741P

US 2004-563739P

P 20040405

P 200404019
                                                                                        A2 20040121
                                                              US 2004-837519
                                                                                         A2 20040430
                                                              US 2005-40838
      US 2005124636
                                    A1
                                             20050609
                                                                                          20050121
                                                              US 2001-311404P
                                                                                        P 20010810
                                                              WO 2002-US25574
                                                                                         A2 20020812
                                                              US 2003-467442P
                                                                                         P 20030501
                                                                                         P 20030530
                                                              US 2003-474497P
                                                                                      P 20040121
A2 20040121
                                                              US 2004-538100P
                                                             US 2004-761889
US 2004-762079
A2 20040121
P 2004-546393P
P 20040219
A2 20040430
                                                             US 2004-837519
US 2005-99814
      US 2005176728
                                    A1
                                             20050811
                                                             US 2005-99814 20050405
US 2001-311404P P 20010810
WO 2002-US25574 A2 20020812
US 2003-467442P P 20030501
US 2003-474497P P 20030530
US 2004-762079 A2 20040121
US 2004-546393P P 20040219
US 2004-559741P P 20040405
US 2004-563739P P 20040419
US 2004-837519 P 20040430
                                                                                        20050405
P 20010810
                                                                                               20050405
                                                              US 2004-837519
                                                                                        A2 20040430
FAN
      2004:633168
      PATENT NO.
                                   KIND
                                             DATE
                                                             APPLICATION NO.
                                                                                             DATE
       -----
                                                           • -----
                                  ____
                                             -----
PΙ
      US 2004152134
                                   A1
                                             20040805
                                                            US 2004-761889
                                                                                              20040121
                                                          US 2001-311404P P 20010810

WO 2002-US25574 A2 20020812

WO 2002-US25574 20020812
                            A1 20030220
      WO 2003013571
            W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
                  CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
                  GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
                  LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
                  RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
                  UZ, VN, YU, ZA, ZW
            RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
                  CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
                  PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR,
                  NE, SN, TD, TG
                                                             US 2001-311404P
                                                                                        P 20010810
      US 2005130988
                                  A1
                                             20050616
                                                             US 2005-36282
                                                                                              20050114
                                                            · US 2001-311404P
                                                                                        P 20010810
                                                                                     P 20010810
A2 20020812
                                                              WO 2002-US25574
                                                              US 2003-467442P
                                                                                        P 20030501
                                                              US 2003-474497P
                                                                                        P 20030530
                                                             US 2004-536606P P 20040114
US 2004-761889 A2 20040121
US 2004-762079 A2 20040121
US 2004-546393P P 20040219
US 2004-559741P P 20040405
                                                              US 2004-563739P
                                                                                        P 20040419
                                                             US 2004-563739P P 20040419
US 2004-837519 A2 20040430
US 2005-40838 20050121
US 2001-311404P P 20010810
WO 2002-US25574 A2 20020812
US 2003-467442P P 20030501
US 2003-474497P P 20030530
US 2004-538100P P 20040121
US 2004-761889 A2 20040121
US 2004-762079 A2 20040121
     US 2005124636
                                    A1
                                             20050609
```

```
US 2004-546393P
                                                                          P 20040219
                                                    US 2004-837519 A2 20040430
     2004:652533
FAN
      PATENT NO.
                             KIND
                                      DATE
                                                   APPLICATION NO.
                                                                                DATE
                                                    ______
     US 2004157264
                                                   US 2004-762079
PΙ
                              A1
                                      20040812
                                                                                20040121
                                                                        P 20010810
A2 20020812
                                                    US 2001-311404P
                                                    WO 2002-US25574
                                                                         P 20030530
                                                    US 2003-474497P
     WO 2003013571
                              A1
                                      20030220
                                                    WO 2002-US25574
              AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
               CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
               PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
               NE, SN, TD, TG
                                                 US 2001-311404P P 20010810
WO 2004-US1462 20040121
     WO 2005102340
                              A1 20051103
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
               CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
               GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
               LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
               NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
               TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
          RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
               BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
               ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
               TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                                   US 2003-474497P P 20030530
     US 2005130988
                            A1 .
                                     20050616
                                                    US 2005-36282
                                                                        20050114
P 20010810
A2 20020812
P 20030530
P 20040114
A2 20040121
A2 20040121
P 20040219
P 20040405
P 20040419
A2 20040430
                                                                                20050114
                                                    US 2001-311404P
                                                    WO 2002-US25574
                                                    US 2003-467442P
                                                    US 2003-474497P
                                                    US 2004-536606P
                                                    US 2004-761889
                                                    US 2004-762079
                                                    US 2004-546393P
                                                    US 2004-559741P
                                                    US 2004-563739P
                                                    US 2004-837519
                                                                          A2 20040430
    US 2005124636
                              A1
                                      20050609
                                                    US 2005-40838
                                                                                20050121
                                                                         P 20010810
                                                    US 2001-311404P
                                                    WO 2002-US25574
                                                                          A2 20020812
                                                    US 2003-467442P
                                                                          P 20030501
                                                    US 2003-474497P
                                                                          P 20030530
                                                    US 2004-538100P
                                                                          P 20040121
                                                    US 2004-761889
                                                                          A2 20040121
                                                    US 2004-762079
                                                                          A2 20040121
                                                    US 2004-546393P
                                                                          P 20040219
                                                    US 2004-837519
                                                                          A2 20040430
     US 2005176728
                            A1
                                      20050811
                                                    US 2005-99814
                                                                                20050405
                                                                          P 20010810
A2 20020812
                                                    US 2001-311404P
                                                    WO 2002-US25574
                                                                        P : 20030501
P : 20030530
A2 : 20040121
P : 20040219
P : 20040405
                                                    US 2003-467442P
                                                    US 2003-474497P
                                                    US 2004-762079
                                                    US 2004-546393P
```

US 2004-559741P

```
US 2004-563739P P 20040419
US 2004-837519 A2 20040430
      2004:703130
FAN
       PATENT NO.
                                                              APPLICATION NO.
                                   KIND
                                              DATE
                                                                                                DATE
                                                              ______
                                                              US 2004-776657 20040210

US 2001-311404P P 20010810

WO 2002-US25574 A2 20020812

WO 2002-US25574 20020812
PΙ
                                    A1
                                              20040826
       WO 2003013571
                                    A1
                                              20030220
                 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
                 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
                  RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
                  UZ, VN, YU, ZA, ZW
            RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
                  PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
                  NE, SN, TD, TG
                                                               US 2001-311404P
                                                                                         P 20010810
FAN
      2004:965987
                                                              APPLICATION NO.
       PATENT NO.
                                   KIND
                                              DATE
                                                                                                DATE
       -----
                                                              -----
                                   ____
                                              -----
       US 2004224957
                                                              US 2004-837519
PT
                                    A1
                                              20041111
                                                                                                20040430
                                                              US 2003-467442P P 20030501
US 2004-546393P P 20040219
AU 2004-235792 20040503
      AU 2004235792
                                    A1
                                              20041118
                                                              AU 2004-235792
                                                                                                20040503
                                                              US 2003-467442P P 20030501
US 2004-546393P P 20040219
US 2004-837519 A 20040430
                                                           WO 2004-US13803 W 20040503
WO 2004-US13803 20040503
      WO 2004098602
                                   A1
                                             20041118
                  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
                  CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
                  GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
                  LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
                  NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
                  TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
            RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
                  SN, TD, TG
                                                              US 2003-467442P P 20030501

US 2004-546393P P 20040219

US 2004-837519 A 20040430

EP 2004-751262 20040503
                                   A1
       EP 1622618
                                             20060208
            R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                  IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
                                                               US 2003-467442P P 20030501
                                                                                       P 20040219
A 20040430
                                                               US 2004-546393P
                                                               US 2004-837519
                                                                                       · W 20040503
                                                               WO 2004-US13803
       BR 2004010694
                                    Α
                                              20060620
                                                               BR 2004-10694
                                                                                                20040503
                                                              US 2003-467442P P 20030501

US 2004-546393P P 20040219

US 2004-837519 A 20040430

WO 2004-US13803 W 20040503

CN 2004-80018907 20040503
       CN 1816337
                                                               CN 2004-80018907
                                    Α
                                              20060809
                                                                                                20040503
                                                              US 2004-80018907 20040503

US 2003-467442P P 20030501

US 2004-546393P P 20040219

US 2004-837519 A 20040430

WO 2004-US13803 W 20040503
```

	JP 2006525369	T	20061109	JP 2006-514263 US 2003-467442P US 2004-546393P	20040503 P 20030501 P 20040219
	US 2005130988	A1	20050616	US 2004-837519 WO 2004-US13803 US 2005-36282 US 2001-311404P WO 2002-US25574 US 2003-467442P	A 20040430 W 20040503 20050114 P 20010810 A2 20020812 P 20030501
				US 2003-474497P US 2004-536606P US 2004-761889 US 2004-762079 US 2004-546393P US 2004-559741P US 2004-563739P	P 20030530 P 20040114 A2 20040121 A2 20040121 P 20040219 P 20040405 P 20040419
	US 2005124636	A1	20050609	US 2004-837519 US 2005-40838 US 2001-311404P WO 2002-US25574 US 2003-467442P US 2003-474497P US 2004-538100P US 2004-761889 US 2004-762079	A2 20040430 20050121 P 20010810 A2 20020812 P 20030501 P 20030530 P 20040121 A2 20040121 A2 20040121
	US 2005176728	Al	20050811	US 2004-546393P US 2004-837519 US 2005-99814 US 2001-311404P WO 2002-US25574 US 2003-467442P	P 20040219 A2 20040430 20050405 P 20010810 A2 20020812 P 20030501
	•			US 2003-474497P US 2004-762079 US 2004-546393P US 2004-559741P US 2004-563739P	P 20030530 A2 20040121 P 20040219 P 20040405 P 20040419
	US 2006287330	A1	20061221	US 2004-837519 US 2006-464051 US 2003-467442P US 2004-546393P US 2004-837519	A2 20040430 20060811 P 20030501 P 20040219 A2 20040430
	US 2006287331	A1	20061221	US 2005-707488P US 2006-464053 US 2003-467442P US 2004-546393P US 2004-837519	P 20050811 20060811 P 20030501 P 20040219 A2 20040430
	US 2006287332	Al	20061221	US 2005-707488P US 2006-464069 US 2003-467442P US 2004-546393P US 2004-837519	P 20050811 20060811 P 20030501 P 20040219 A2 20040430
FAN	2005:497489 PATENT NO.	KIND	DATE	US 2005-707488P APPLICATION NO.	P 20050811 DATE
PI	us 2005124636	A1	20050609	US 2005-40838 US 2001-311404P WO 2002-US25574 US 2003-467442P US 2003-474497P US 2004-538100P US 2004-761889 US 2004-762079	20050121 P 20010810 A2 20020812 P 20030501 P 20030530 P 20040121 A2 20040121 A2 20040121

```
US 2004-546393P
                                                              P 20040219
                                            US 2004-837519
                                                                A2 20040430
    WO 2003013571
                                20030220
                         A1
                                            WO 2002-US25574
                                                                   20020812
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
            NE, SN, TD, TG
                                            US 2001-311404P
                                                                P 20010810
                                            US 2004-761889 .
    US 2004152134
                                20040805
                         Α1
                                                                   20040121
                                            US 2001-311404P
                                                                P 20010810
                                            WO 2002-US25574
                                                                A2 20020812
    US 2004157264
                          A1
                                20040812
                                            US 2004-762079
                                                                   20040121
                                            US 2001-311404P
                                                                P 20010810
                                            WO 2002-US25574
                                                               A2 20020812
                                                                P 20030530
                                            US 2003-474497P
    WO 2005102340
                         A1
                                20051103
                                           WO 2004-US1462
                                                                   20040121
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
                                           US 2003-474497P
                                                             P 20030530
    US 2004224957
                                            US 2004-837519
                         Α1
                                20041111
                                                                   20040430
                                            US 2003-467442P
                                                                Ρ
                                                                   20030501
                                                                P 20040219
                                            US 2004-546393P
FAN
    2005:735324
     PATENT NO.
                        KIND
                                DATE
                                           APPLICATION NO.
                                                                   DATE
                        ____
                                -<del>-</del>----
                                           -----
                                                                   _____
    US 2005176728
PI
                         A1
                                20050811
                                            US 2005-99814
                                                                   20050405
                                            US 2001-311404P
                                                               P 20010810
                                            WO 2002-US25574
                                                              A2 20020812
                                            US 2003-467442P
                                                                P 20030501
                                            US 2003-474497P
                                                                P 20030530
                                            US 2004-762079
                                                                A2 20040121
                                            US 2004-546393P
                                                                P 20040219
                                            US 2004-559741P
                                                                P 20040405
                                            US 2004-563739P
                                                                P 20040419
                                            US 2004-837519
                                                                A2 20040430
    WO 2003013571 ·
                         A1
                                20030220
                                           WO 2002-US25574
                                                                   20020812
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
        W:
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
            NE, SN, TD, TG
                                            US 2001-311404P
                                                                P 20010810
    US 2004157264
                                20040812
                         A1
                                           US 2004-762079
                                                                   20040121
                                            US 2001-311404P
                                                              P 20010810
```

```
WO 2002-US25574
                                                            A2 20020812
                                        US 2003-474497P
                                                               20030530
'WO 2005102340
                     A1
                            20051103
                                        WO 2004-US1462
                                                               20040121
        AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
    W:
        CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
        GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
        LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
        NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
        TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
    RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
        BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
        ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
        TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                        US 2003-474497P
                                                            Ρ
                                                               20030530
US 2004224957
                     A1
                            20041111
                                        US 2004-837519
                                                               20040430
                                        US 2003-467442P
                                                            Ρ
                                                               20030501
                                        US 2004-546393P
                                                               20040219
                                                            P
MARPAT 143:20084
A method of modulating energy homeostasis in a mammal without eliciting a
```

AB sexual response by administration of a therapeutically effective amount of a pharmaceutical composition including a melanocortin receptor compound of the formula I (where R1 = a bond or a linker unit including from one to six backbone atoms and an unsubstituted naphthalene group, L = aconformationally restricted ring system consisting of a single ring or bicyclic nonarom. carbocyclic ring system, etc., R2= -(CH2)4NH2,-(CH2) 3NHC(NH2) = NH, etc., R3 = L-or D-isomer of Phe, Phe(4-F), Phe(4-Br),etc., and Rx = H, C-C6 aliphatic linear chain, etc.).

IT 497935-81-6P 497935-84-9P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(naphthalene-containing melanocortin receptor-specific small mol.)

RN 497935-81-6 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-84-9 CAPLUS

2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-CN [(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2oxoethyl]-5-phenyl-, (2S, 5R)- (9CI) (CA INDEX NAME)

```
ANSWER 3 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
L4
ΑN
       2004:633168 CAPLUS
       141:151030
DN
       Bicyclic melanocortin-specific compounds
ΤI
       Sharma, Shubh D.; Shi, Yi-Qun; Wu, Zhijun; Rajpurohit, Ramesh
IN
PΑ
       Palatin Technologies, Inc., USA
       U.S. Pat. Appl. Publ., 42 pp., Cont.-in-part of WO 2003 13,571.
SO
       CODEN: USXXCO
DT
       Patent
LΑ
       English
FAN.CNT 8
       PATENT NO.
                                    KIND
                                              DATE
                                                               APPLICATION NO.
                                                                                                DATE
                                                               -----
                                                               US 2004-761889
PΙ
       US 2004152134
                                     A1
                                              20040805
                                                                                                20040121
                                                               US 2001-311404P
                                                                                            P 20010810
                                                               WO 2002-US25574
                                                                                           A2 20020812
                                             20030220
       WO 2003013571
                                     A1
                                                               WO 2002-US25574
                                                                                                20020812
                  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                                               US 2001-311404P
                                                                                            P 20010810
       US 2005130988
                                     A1
                                              20050616
                                                               US 2005-36282
                                                                                                20050114
                                                               US 2001-311404P
                                                                                            P 20010810
                                                               WO 2002-US25574
                                                                                           A2 20020812
                                                               US 2003-467442P
                                                                                            P 20030501
                                                               US 2003-474497P
                                                                                           P 20030530
                                                               US 2004-536606P
                                                                                           P 20040114
                                                               US 2004-761889
                                                                                           A2 20040121
                                                               US 2004-762079
                                                                                           A2 20040121
                                                               US 2004-546393P
                                                                                           P 20040219
                                                               US 2004-559741P
                                                                                           P
                                                                                                20040405
                                                               US 2004-563739P
                                                                                           P 20040419
                                                               US 2004-837519
                                                                                           A2 20040430
       US 2005124636
                                              20050609
                                     A1
                                                               US 2005-40838
                                                                                                20050121
                                                               US 2001-311404P
                                                                                          P 20010810
```

```
WO 2002-US25574
                                                                     A2 20020812 ·
                                                US 2003-467442P
                                                                    P 20030501
                                                US 2003-474497P
                                                                    P 20030530
                                                US 2004-538100P
                                                                     P 20040121
                                                US 2004-761889
                                                                     A2 20040121
                                                US 2004-762079
                                                                     A2 20040121
                                               .US 2004-546393P
                                                                     P 20040219
                                               US 2004-837519
                                                                     A2 20040430
PATENT FAMILY INFORMATION:
     2003:133079
FAN
     PATENT NO.
                           KIND
                                  DATE
                                               APPLICATION NO.
                                                                         DATE
                           ____
                                  _____
                                               -----
     WO 2003013571
                          A1
PI
                                  20030220
                                              WO 2002-US25574
                                                                       20020812
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
              CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
              PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
                                               US 2001-311404P
                                                                     P · 20010810
     CA 2462200
                                  20030220
                            A1
                                               CA 2002-2462200
                                                                         20020812
                                               US 2001-311404P
                                                                     P 20010810
                                               WO 2002-US25574
                                                                     W 20020812
     EP 1425029
                                  20040609
                           A1
                                               EP 2002-768507
                                                                        20020812
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
                                               US 2001-311404P
                                                                  P 20010810
                                                                     W 20020812
                                               WO 2002-US25574
                                  20050210
     JP 2005504043
                            Т
                                               JP 2003-518577
                                                                         20020812
                                               US 2001-311404P
                                                                     P 20010810
                                               WO 2002-US25574
                                                                     W 20020812
     US 2004152134
                            A1
                                  20040805
                                               US 2004-761889
                                                                         20040121
                                               US 2001-311404P
                                                                     P 20010810
                                               WO 2002-US25574
                                                                     A2 20020812
     US 2004157264
                                               US 2004-762079
                            A1
                                  20040812
                                                                         20040121
                                               US 2001-311404P
                                                                     Р
                                                                         20010810
                                               WO 2002-US25574
                                                                     A2 20020812
                                               US 2003-474497P
                                                                     P 20030530
     US 2004167201
                                  20040826
                            Α1
                                               US 2004-776657
                                                                         20040210
                                               US 2001-311404P
                                                                     P 20010810
                                               WO 2002-US25574
                                                                     A2 20020812
     US 2004171520
                           A1
                                  20040902
                                               US 2004-776419
                                                                         20040210
                                               US 2001-311404P
                                                                     P 20010810
                                               WO 2002-US25574
                                                                     A1 20020812
     US 2005130988
                                  20050616
                           A1
                                               US 2005-36282
                                                                         20050114
                                               US 2001-311404P
                                                                     P 20010810
                                               WO 2002-US25574
                                                                     A2 20020812
                                               US 2003-467442P
                                                                     P 20030501
                                               US 2003-474497P
                                                                     P 20030530
                                               US 2004-536606P
                                                                     P 20040114
                                               US 2004-761889
                                                                    A2 20040121
                                               US 2004-762079
                                                                    A2 20040121
                                               US 2004-546393P
                                                                    P 20040219
                                                                    P 20040405
                                               US 2004-559741P
                                                                    P 20040419
                                               US 2004-563739P
                                               US 2004-837519
                                                                     A2 20040430
     US 2005124636
                           A1
                                  20050609
                                               US 2005-40838
                                                                         20050121
                                               US 2001-311404P
                                                                    P 20010810
                                               WO 2002-US25574
                                                                    A2 20020812
```

```
US 2003-467442P
                                                                   P 20030501
                                              US 2003-474497P
                                                                   P 20030530
                                              US 2004-538100P ·
                                                                   P 20040121
                                              US 2004-761889
                                                                   A2 20040121
                                              US 2004-762079
                                                                   A2 20040121
                                              US 2004-546393P
                                                                   P 20040219
                                              US 2004-837519
                                                                   A2 20040430
     US 2005176728
                           A1
                                  20050811
                                              US 2005-99814
                                                                       20050405
                                              US 2001-311404P
                                                                   P 20010810
                                              WO 2002-US25574
                                                                   A2 20020812
                                              US 2003-467442P
                                                                   P 20030501
                                              US 2003-474497P
                                                                   Ρ
                                                                      20030530
                                              US 2004-762079
                                                                   A2 20040121
                                              US 2004-546393P
                                                                   Ρ
                                                                      20040219
                                              US 2004-559741P
                                                                   Ρ
                                                                      20040405
                                              US 2004-563739P
                                                                   P 20040419
                                              US 2004-837519
                                                                   A2 20040430
FAN
     2004:652533
     PATENT NO.
                          KIND
                                  DATE
                                              APPLICATION NO.
                                                                      DATE
                                              -----
ΡI
     US 2004157264
                                  20040812
                           A1
                                              US 2004-762079
                                                                      20040121
                                              US 2001-311404P
                                                                   P 20010810
                                              WO 2002-US25574
                                                                  A2 20020812
                                              US 2003-474497P
                                                                   P 20030530
     WO 2003013571
                           A1
                                  20030220
                                              WO 2002-US25574
                                                                      20020812
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
                                              US 2001-311404P
                                                                   P 20010810
     WO 2005102340
                                 20051103
                           A1
                                              WO 2004-US1462
                                                                      20040121
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, RW: BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
                                              US 2003-474497P
                                                                   P 20030530
     US 2005130988
                           A1
                                 20050616
                                              US 2005-36282
                                                                      20050114
                                              US 2001-311404P
                                                                   P 20010810
                                              WO 2002-US25574
                                                                   A2 20020812
                                              US 2003-467442P
                                                                   P 20030501
                                              US 2003-474497P
                                                                   P 20030530
                                              US 2004-536606P
                                                                   P 20040114
                                              US 2004-761889
                                                                  A2 20040121
                                              US 2004-762079
                                                                  A2 20040121
                                              US 2004-546393P
                                                                   P 20040219
                                              US 2004-559741P
                                                                   P 20040405
                                                                   P 20040419
                                              US 2004-563739P
                                              US 2004-837519
                                                                   A2 20040430
                                 20050609
     US 2005124636
                          A1
                                              US 2005-40838
                                                                      20050121
                                              US 2001-311404P
                                                                   P 20010810
                                              WO 2002-US25574
                                                                   A2 20020812
```

```
US 2003-467442P
                                                                  P 20030501
                                             US 2003-474497P
                                                                 P 20030530
                                             US 2004-538100P
                                                                 P 20040121
                                             US 2004-761889
                                                                 A2 20040121
                                             US 2004-762079
                                                                 A2 20040121
                                             US 2004-546393P
                                                                 P 20040219
                                             US 2004-837519
                                                                 A2 20040430
     US 2005176728
                          A1
                                 20050811
                                             US 2005-99814
                                                                     20050405
                                             US 2001-311404P
                                                                 P 20010810
                                             WO 2002-US25574
                                                                 A2 20020812
                                             US 2003-467442P
                                                                 Ρ
                                                                     20030501
                                                                 P
                                             US 2003-474497P
                                                                     20030530
                                             US 2004-762079
                                                                 A2 20040121
                                             US 2004-546393P
                                                                 P 20040219
                                             US 2004-559741P
                                                                 P 20040405
                                                                 P 20040419
                                             US 2004-563739P
                                             US 2004-837519
                                                                 A2 20040430
FAN
     2004:703130
                                DATE .
     PATENT NO.
                         KIND
                                            APPLICATION NO.
                                                                     DATE
                         _---
                                             -----
                                -----
                                            US 2004-776657 20040210
US 2001-311404P P 20010810
ΡI
     US 2004167201
                                 20040826
                         . A1
                                             WO 2002-US25574
                                                               ·A2 20020812
     WO 2003013571
                                20030220
                          A1
                                            WO 2002-US25574
                                                                    20020812
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
                                            US 2001-311404P
                                                                P. 20010810
     2004:965987
FAN
     PATENT NO.
                         KIND
                                DATE
                                             APPLICATION NO.
                                                                     DATE
                                ______
                         ____
                                             ______
PΙ
     US 2004224957
                          A1
                                20041111
                                             US 2004-837519
                                                                     20040430
                                                               P. 20030501
P 20040219
                                             US 2003-467442P
                                             US 2004-546393P
     AU 2004235792
                          A1
                                20041118
                                             AU 2004-235792
                                                                     20040503
                                                               P 20030501
P 20040219
                                             US 2003-467442P
                                             US 2004-546393P
                                             US 2004-837519
                                                                 A 20040430
                                             WO 2004-US13803
                                                                 W 20040503
     WO 2004098602
                          A1
                                20041118
                                            WO 2004-US13803
                                                                    20040503
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
                                             US 2003-467442P
                                                                 P 20030501
                                                                 P 20040219
                                             US 2004-546393P
                                                                 A 20040430
                                             US 2004-837519
     EP 1622618
                          A1
                                20060208
                                          EP 2004-751262
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
```

			•	•			
	IE, SI,	LT, LV, F	I, RO, MK,	CY, AL, TR, BG, CZ,			HR
	•			US 2003-467442P	P	20030501	
				US 2004-546393P	P	20040219	
		•		US 2004-837519	A	20040430	
D.D.	2024010604	-	0000000	WO 2004-US13803	W	20040503	
BR	2004010694	Α .	20060620	BR 2004-10694	_	20040503	
				US 2003-467442P	P	20030501	
				US 2004-546393P	P	20040219	
				US 2004-837519	A	20040430	
~~.	1016007	_		WO 2004-US13803	, W	20040503	
CN	1816337	Α	20060809	CN 2004-80018907		20040503	
				US 2003-467442P	· P	20030501	
				US 2004-546393P	P	20040219	
				US 2004-837519	Α	20040430	
	0000505050	_		WO 2004-US13803	W	20040503	
ήÞ	2006525369	T	20061109	JP 2006-514263		20040503	
	·			US 2003-467442P	P	20030501	
				US 2004-546393P	Р	20040219	
				US 2004-837519	A	20040430	
	000540000			WO 2004-US13803	W	20040503	
US	2005130988	A1	20050616	US 2005-36282		20050114	
	•			US 2001-311404P	Р	20010810	
				WO 2002-US25574	A2	20020812	
				US 2003-467442P	P	20030501	
				US 2003-474497P	Р	20030530	
				US 2004-536606P	Р	20040114	
				US 2004-761889		20040121	
				US 2004-762079		20040121	
				US 2004-546393P	P	20040219	
				US 2004-559741P	P	20040405	
				US 2004-563739P	P	20040419	
				US 2004-837519	A2	20040430	
US	2005124636	A1	20050609	US 2005-40838		20050121	
				US 2001-311404P	P	20010810	
			·	WO 2002-US25574	A2	20020812	
				US 2003-467442P	P	20030501	
				US 2003-474497P	P	20030530	
			•	US 2004-538100P		20040121	
				US 2004-761889		20040121	
				US 2004-762079		20040121	•
				US 2004-546393P	P	20040219	
IIC	2005176720	n 1	20050011	US 2004-837519	A2	20040430	
0.5	2005176728	A1	20050811	US 2005-99814	_	20050405	
				US 2001-311404P	P	20010810	
•				WO 2002-US25574		20020812	
				US 2003-467442P	P	20030501	
				US 2003-474497P	P	20030530	
				US 2004-762079		20040121	
				US 2004-546393P	P	20040219	
				US 2004-559741P US 2004-563739P	P	20040405	
					P	20040419	
IIC	2006287330	λ 1	20061221	US 2004-837519	AZ	20040430	
US	2000201330	A1	20001221	US 2006-464051	_	20060811	•
				US 2003-467442P	P	20030501	
				US 2004-546393P	P	20040219	
				US 2004-837519		20040430	
IIC	2006287331	ח ת	20061221	US 2005-707488P	P	20050811	
υD	2000201331	A1	20061221	US 2006-464053	_	20060811	
				US 2003-467442P	P	20030501	
				US 2004-546393P	P	20040219	
				US 2004-837519		20040430	
IIC	2006287332	n 1	20061221	US 2005-707488P	P	20050811	
US	2000201332	A1	20061221	US 2006-464069		20060811	

```
US 2003-467442P
                                                                 P 20030501
                                                               P 20040219
                                            US 2004-546393P
                                            ÚS 2004-837519
                                                               A2 20040430
                                            US 2005-707488P
                                                               P 20050811
    2005:497489
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                                                    DATE
                                            US 2005-40838
PΙ
    US 2005124636
                         . A1
                                20050609
                                                                    20050121
                                            US 2001-311404P
                                                                P 20010810
                                            WO 2002-US25574
                                                               A2 20020812
                                            US 2003-467442P
                                                               P 20030501
                                            US 2003-474497P
                                                               P
                                                                    20030530
                                                              P 20040121
A2 20040121
                                            US 2004-538100P
                                            US 2004-761889
                                            US 2004-762079
                                                               A2 20040121
                                                               P 20040219
                                            US 2004-546393P
                                            US 2004-837519
                                                               A2 20040430
    WO 2003013571
                         A1
                               20030220
                                            WO 2002-US25574
                                                                    20020812
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
                                            US 2001-311404P
                                                                 P 20010810
    US 2004152134
                          A1
                                20040805
                                          US 2004-761889
                                                                    20040121
                                            US 2001-311404P
                                                                P 20010810
                                            WO 2002-US25574
                                                                A2 20020812
    US 2004157264
                          A1
                                20040812
                                            US 2004-762079
                                                                    20040121
                                            US 2001-311404P
                                                               P 20010810
                                            WO 2002-US25574
                                                                A2 20020812
                                            US 2003-474497P
                                                                 P 20030530
    WO 2005102340
                                20051103
                         A1
                                            WO 2004-US1462
                                                                    20040121
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
        TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
                                            US 2003-474497P P 20030530
    US 2004224957
                          A1
                                20041111
                                            US 2004-837519
                                                                   20040430
                                                              P 20030501
P 20040219
                                            US 2003-467442P
                                            US 2004-546393P
FAN
    2005:527392
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                         ____
                                _____
                                            _____
    US 2005130988
PΙ
                        A1
                                20050616
                                            US 2005-36282
                                                                    20050114
                                            US 2001-311404P
                                                              P 20010810
                                                               A2 20020812
                                            WO 2002-US25574
                                            US 2003-467442P
                                                               P 20030501
                                            US 2003-474497P
                                                               P 20030530
                                            US 2004-536606P
                                                               P 20040114
                                                               A2 20040121
A2 20040121
                                            US 2004-761889
                                            US 2004-762079
                                            US 2004-546393P
                                                               P 20040219
```

```
US 2004-559741P
                                                                P 20040405
                                            US 2004-563739P
                                                              P 20040419
                                           US 2004-837519
                                                                A2 20040430
    WO 2003013571
                                20030220
                         A1
                                           WO 2002-US25574
                                                                   20020812
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
            RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
            UZ, VN, YU, ZA, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
            CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
            PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
            NE, SN, TD, TG
                                           US 2001-311404P
                                                                P 20010810
    US 2004152134
                          A1
                                20040805
                                           US 2004-761889
                                                                   20040121
                                           US 2001-311404P
                                                                P 20010810
                                           WO 2002-US25574
                                                                A2 20020812
                                           US 2004-762079
    US 2004157264
                         A1
                                20040812
                                                                   20040121
                                           US 2001-311404P
                                                                P 20010810
                                           WO 2002-US25574
                                                                A2 20020812
                                           US 2003-474497P
                                                                P 20030530
                                20051103
    WO 2005102340
                         A1
                                           WO 2004-US1462
                                                                   20040121
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
            TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
            BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
            ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
            TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
                                           US 2003-474497P
                                                            P 20030530
                                           US 2004-837519
    US 2004224957
                         A1
                                20041111
                                                                   20040430
                                           US 2003-467442P
                                                                P
                                                                   20030501
                                           US 2004-546393P
                                                                P 20040219
FAN
    2005:735324
    PATENT NO.
                        KIND
                               DATE
                                           APPLICATION NO.
                                                                   DATE
                         ____
                                           _____
                               -----
    US 2005176728
PT
                                           US 2005-99814
                         A1
                               20050811
                                                                   20050405
                                                              P 20010810
A2 20020812
                                           US 2001-311404P
                                           WO 2002-US25574
                                           US 2003-467442P
                                                              P
                                                                   20030501
                                           US 2003-474497P
                                                               P 20030530
                                           US 2004-762079
                                                               A2 20040121
                                           US 2004-546393P
                                                               P 20040219
                                           US 2004-559741P
                                                                P 20040405
                                           US 2004-563739P
                                                                P 20040419
                                           US 2004-837519
                                                               A2 20040430
    WO 2003013571
                                           WO 2002-US25574
                         A1 20030220
                                                                   20020812
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
            RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
            UZ, VN, YU, ZA, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
            CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
            PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
            NE, SN, TD, TG
                                           US 2001-311404P
                                                              P 20010810
    US 2004157264
                         A1
                               20040812
                                           US 2004-762079
                                                                   20040121
```

```
US 2001-311404P
                                                                P 20010810
                                          WO 2002-US25574
                                                                A2 20020812
                                          US 2003-474497P
                                                                   20030530
WO 2005102340
                             20051103
                                          WO 2004-US1462
                       A1
                                                                   20040121
        AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
        CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
        GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
        LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
        NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
        TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
    RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
        BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
        TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                          US 2003-474497P
                                                                P 20030530
US 2004224957
                      A1
                             20041111
                                          US 2004-837519
                                                                   20040430
                                          US 2003-467442P
                                                                P
                                                                   20030501
                                        . US 2004-546393P
                                                                P 20040219
```

MARPAT 141:151030

os GI

$$R^2$$
 X
 N
 R^1
 R^3
 N
 Z
 Z

AB The invention discloses melanocortin receptor-specific bicyclic compds. having the structure I (R1 = L1-J wherein L1 is a linker and J is a ring structure selected form the group consisting of substituted or unsubstituted aromatic carboxylic rings, substituted or unsubstituted non-aromatic carboxylic rings, substituted or unsubstituted aromatic fused carbobicyclic ring groups, etc.; R2 = (CH2)y-W wherein W is a heteroarom. unit with at least one cationic center, hydrogen bond donor or hydrogen bond acceptor wherein at least one atom is N; R3 = L2-Q wherein L2 is a linker and Q is an aromatic carboxylic ring selected from the group consisting of Ph, substituted Ph, naphthyl and substituted naphthyl: X = CH2 or C=O and z is O or 1), and stereoisomer and pharmaceutically acceptable salts thereof, which are agonists, antagonists or mixed agonists and antagonists at one or more melanocortin receptors, and having utility in the treatment of melanocortin receptor-related disorders and conditions. Pharmaceutical compns. containing a compound of structure I and methods relating to the use thereof for treating eating disorders and sexual dysfunction are also disclosed.

```
IT
     497935-48-5P 497935-49-6P 497935-50-9P
     497935-51-0P 497935-52-1P 497935-53-2P
    497935-54-3P 497935-55-4P 497935-56-5P
     497935-57-6P 497935-58-7P 497935-59-8P
     497935-60-1P 497935-61-2P 497935-62-3P
     497935-63-4P 497935-64-5P 497935-65-6P
     497935-66-7P 497935-67-8P 497935-68-9P
     497935-69-0P 497935-70-3P 497935-71-4P
     497935-72-5P 497935-73-6P 497935-74-7P
    497935-75-8P 497935-76-9P 497935-77-0P
     497935-78-1P 497935-79-2P 497935-80-5P
     497935-81-6P 497935-82-7P 497935-83-8P
     497935-84-9P 497935-85-0P 497935-86-1P
     497935-87-2P 497935-88-3P 497935-89-4P
     497935-90-7P 728039-00-7P 728039-01-8P
    728039-02-9P 728039-03-0P 728039-04-1P
```

728039-05-2P 728039-06-3P 728039-07-4P 728039-08-5P 728039-09-6P 728039-10-9P 728039-11-0P 728039-12-1P 728039-13-2P 728039-14-3P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (bicyclic melanocortin receptor-specific compds. for treating disorders such as eating disorders and sexual dysfunction) RN 497935-48-5 CAPLUS Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)] hexahydro-5-CN [(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-49-6 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

CN Heptanamide, N-[(1S)-2-[[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-51-0 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-52-1 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

RN 497935-53-2 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-54-3 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-5-phenyl-, (2S,5R)- (9CI) (CA INDEX NAME)

RN 497935-55-4 CAPLUS

CN Heptanamide, N-[(1S)-2-[[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-56-5 CAPLUS

CN 1-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (1S)- (9CI) (CA INDEX NAME)

RN 497935-57-6 CAPLUS

CN 1-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (1R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-58-7 CAPLUS

CN 2-Naphthalenecarboxamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-(9CI) (CA INDEX NAME)

RN 497935-59-8 CAPLUS

CN 1H-Indene-2-acetamide, α-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-60-1 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-(2-naphthalenyloxy)-, (2S,4S)- (9CI) (CA INDEX NAME)

RN 497935-61-2 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-phenoxy-, (2S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-62-3 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

RN 497935-63-4 CAPLUS

CN 1H-Indene-2-carboxamide, 2-amino-N-[(1S)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-64-5 CAPLUS

CN 1H-Indole-2-carboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro-, (2S)- (9CI) (CA INDEX NAME)

RN 497935-65-6 CAPLUS
CN 1H-Indene-1-carboxamide.

1H-Indene-1-carboxamide, 1-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-66-7 CAPLUS

CN 1H-Imidazole-4-propanamide, α-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-2-oxo-1-(phenylmethyl)ethyl]-, (αS)- (9CI) (CA INDEX NAME)

RN 497935-67-8 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-68-9 CAPLUS

Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

RN 497935-69-0 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-70-3 CAPLUS

CN Heptanamide, 7-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

$$H_2N$$
 $(CH_2)_{6}$
 R
 H_2N
 $(CH_2)_{4}$
 R
 R
 R

RN 497935-71-4 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-3-(2-chlorophenyl)-1-oxo-2-[(phenylmethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-72-5 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-3-(4-chlorophenyl)-1-oxopropyl]hexahydro-5-(phenoxymethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-73-6 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-3-(2-chlorophenyl)-1-oxopropyl]hexahydro-5-(phenoxymethyl)-, (2S,5R,7aR)- (9CI)

Absolute stereochemistry.

RN 497935-74-7 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-1-oxo-3-phenylpropyl]hexahydro-5-(phenoxymethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-75-8 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-(phenoxymethyl)-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)-(9CI) (CA INDEX NAME)

RN 497935-76-9 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-1-oxo-3-phenylpropyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

. Absolute stereochemistry.

RN 497935-77-0 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-[[(5,6,7,8-tetrahydro-2-naphthalenyl)oxy]methyl]-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-78-1 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-[[(5,6,7,8-tetrahydro-2-naphthalenyl)oxy]methyl]-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

RN 497935-79-2 CAPLUS
CN Heptanamide, 7-amino-N-[(1S)-2-[[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-[[(5,6,7,8-tetrahydro-2-naphthalenyl)oxy]methyl]-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-80-5 CAPLUS

CN 1H-Imidazole-4-propanamide, α-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-2-oxo-1-(phenylmethyl)ethyl]-, (αS)- (9CI) (CA INDEX NAME)

RN 497935-81-6 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-82-7 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S,4R)- (9CI) (CA INDEX NAME)

RN 497935-83-8 CAPLUS CN Propanamide, 2-amine

Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-84-9 CAPLUS CN 2-Pyrrolidinecarbox

2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-5-phenyl-, (2S,5R)- (9CI) (CA INDEX NAME)

RN 497935-85-0 CAPLUS

CN Butanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2oxoethyl]-4-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-86-1 CAPLUS

CN 2-Piperidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 497935-87-2 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl))hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(2-naphthalenyloxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-88-3 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-phenoxy-, (2S)- (9CI) (CA INDEX NAME)

RN 497935-89-4 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4chlorophenyl)methyl]-2-oxoethyl]-3-(4-chlorophenoxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

497935-90-7 CAPLUS Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-CN[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4chlorophenyl)methyl]-2-oxoethyl]-3-(2-chlorophenoxy)-, (2S)- (9CI) (CA INDEX NAME)

RN 728039-00-7 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(4-chlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 728039-01-8 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(2,4-dichlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

RN 728039-02-9 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(2,4-difluorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 728039-03-0 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(4-chlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 728039-04-1 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(3-chlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$H_2N$$
 H_1
 H_2N
 H_2
 H_2N
 H_3
 H_4
 H_4
 H_5
 H_7
 H

RN 728039-05-2 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(2-chlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 728039-06-3 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(2,4-dichlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 728039-07-4 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(3,4-dichlorophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 728039-08-5 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-[3-[(aminoiminomethyl)amino]propyl]-1-

[(2R)-2-amino-1-oxo-3-[4-(trifluoromethyl)phenyl]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 728039-09-6 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-[3-[(aminoiminomethyl)amino]propyl]-1[(2R)-2-amino-3-(4-methylphenyl)-1-oxopropyl]hexahydro-5-(2naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 728039-10-9 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-[3-[(aminoiminomethyl)amino]propyl]-1-[(2R)-2-amino-1-oxo-3-phenylpropyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 728039-11-0 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 1-[(2R)-2-amino-3-(4-cyanophenyl)-1-oxopropyl]-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

RN 728039-12-1 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-[3-[(aminoiminomethyl)amino]propyl]-1-[(2R)-2-amino-3-(4-methoxyphenyl)-1-oxopropyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 728039-13-2 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-[3-[(aminoiminomethyl)amino]propyl]-1-[[(3S,4R)-4-(4-chlorophenyl)-3-pyrrolidinyl]carbonyl]hexahydro-5-(2-naphthalenylmethyl)-, (2S,5R,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 728039-14-3 CAPLUS

CN Guanidine, [3-[(2S,5R,7aS)-1-[(2R)-2-amino-3-(2,4-dichlorophenyl)propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-2-yl]propyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} NH_2 \\ NH_2 \\ NH_3 \\ NH_4 \\ NH_5 \\ NH_6 \\ NH_7 \\ NH_8 \\ NH$$

```
L4
     ANSWER 4 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ΑN
     2003:133079 CAPLUS
DN
     138:188071
ΤI
     Peptidomimetics of biologically active metallopeptides
IN
     Sharma, Shubh D.; Shi, Yiqun; Rajpurohit, Ramesh; Wu, Zhijun
PA
     Palatin Technologies, Inc., USA
so
     PCT Int. Appl., 168 pp.
     CODEN: PIXXD2
\mathbf{DT}
     Patent
LA English
```

FAN.	FAN.CNT 8 PATENT NO.				KIND DATE			APPLICATION NO.				DATE						
ΡI	WO	2003013571			A1 2		20030220		WO 2002-US25574				20020812					
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB	, BG,	BR,	BY,	BZ,	CA	CH,	CN,
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	, EE,	ES,	FI,	GB,	GD	GE,	GH,
												, KG,						
												, MW,						
								SI,	SK,	SL,	TJ,	, TM,	TR,	TT,	TZ,	UA,	, UG,	US,
		Dīaī •				ZA,		M7	GD.	C.T	C 7	m r	11.0		G 7.7	3 m		5.0
		1700.	CH.	CY.	CZ.	DE.	DK	EE	ъо, ЕС	ът,	SA,	, TZ,	GP,	ZM,	ZW,	AT	, BE,	BG,
												, GB,						
٠.			NE,	SN,	TD,	TG	22,	20,	01,	~~ ,	01,	, 011,	011,	OIV,	ος,	GW,	, 1411,	m,
						•				US 2001-311404P			P 20010810					
	CA	2462200			A1		20030220		. (CA 2	2002-	2462	200			20020		
					•							2001-					20010	
	EP 1425029				A1 20040609			WO 2002-US25574 EP 2002-768507			1		20020					
	EP			יזום	CII			2004									20020	812
		K.	AI,	ST.	UII,	LV.	ET,	ES,	rk,	GB,	GK,	, IT, , TR,	ъс,	LU,	ΝL,	SE,	MC,	
			 ,	J.,	DI,	ш,	ь т,	NO,	PIIC,			2001-:					20010	810
											2002-1					20020		
	JP	2005504043			Т		20050210				2003-		-		_	20020		
										1	US 2	2001-	3114	04P		P 2	20010	810
												2002-1			1	N 2	20020	812
	US 2004152134				A1 2004		0805			2004-					20040			
												2001-					20010	
	פוו	2004	1572	61		A1		2004	0012			2002-1			I		20020	
	05	2004	1312	04		AI		2004	0012			2004-1 2001-1			,		20040 20010	
												2001–. 2002–1					20010	
												2003-					20030	
	US	2004	1672	01		A1		2004	0826			2004-		_			20040	
												2001-3			. 1		20010	
										1	WO 2	2002-0	JS25	574	1	A2 2	20020	812

•	US 2004171520	A1	20040902	US 2004-776419 US 2001-311404P	20040210 P 20010810	
	US 2005130988	A1	20050616	WO 2002-US25574 US 2005-36282 US 2001-311404P	A1 20020812 20050114 P 20010810	
				WO 2002-US25574 US 2003-467442P US 2003-474497P	A2 20020812 P 20030501 P 20030530	•
		•		US 2004-536606P US 2004-761889 US 2004-762079	P 20040114 A2 20040121 A2 20040121	
				US 2004-546393P US 2004-559741P US 2004-563739P	P 20040219 P 20040405 P 20040419	
	US 2005124636	. A1	20050609	US 2004-837519 US 2005-40838 US 2001-311404P	A2 20040430 20050121 P 20010810	
				WO 2002-US25574 US 2003-467442P US 2003-474497P	A2 20020812 P 20030501 P 20030530	
			·	US 2004-538100P US 2004-761889 US 2004-762079	P 20040121 A2 20040121 A2 20040121	
	US 2005176728	A1	20050811	US 2004-546393P US 2004-837519 US 2005-99814	P 20040121 P 20040219 A2 20040430 20050405	
	05 2003470720	,	20030,	US 2001-311404P WO 2002-US25574 US 2003-467442P	P 20010810 A2 20020812	
				US 2003-474497P US 2004-762079 US 2004-546393P	P 20030501 P 20030530 A2 20040121 P 20040219	
				US 2004-559741P US 2004-563739P US 2004-837519	P 20040405 P 20040419	·
PA: FAI	TENT FAMILY INFORM N 2004:633168 PATENT NO.	ATION: KIND	DATE	APPLICATION NO.	A2 20040430 DATE	
PI		 A1	20040805	US 2004-761889 US 2001-311404P	20040121 P 20010810	
	WO 2003013571 W: AE, AG,	A1 AL, AM, AT	20030220	WO 2002-US25574 WO 2002-US25574 BA, BB, BG, BR, BY,	A2 20020812 20020812	« •
•	CO, CR, GM, HR,	CU, CZ, DE HU, ID, IL	, DK, DM, , IN, IS,	DZ, EC, EE, ES, FI, JP, KE, KG, KP, KR, MK, MN, MW, MX, MZ,	GB, GD, GE, GH, KZ, LC, LK, LR,	
	RO, RU, UZ, VN,	SD, SE, SG YU, ZA, ZW	, SI, SK,	SL, TJ, TM, TR, TT, SL, SZ, TZ, UG, ZM,	TZ, UA, UG, US,	
	CH, CY,	CZ, DE, DK SK, TR, BF	, EE, ES,	FI, FR, GB, GR, IE, CG, CI, CM, GA, GN,	IT, LU, MC, NL,	
	US 2005130988	A1	20050616	US 2001-311404P US 2005-36282 US 2001-311404P	P 20010810 20050114 P 20010810	
				WO 2002-US25574 US 2003-467442P US 2003-474497P	A2 20020812 P 20030501 P 20030530	
		*		US 2004-536606P US 2004-761889 US 2004-762079	P 20040114 A2 20040121 A2 20040121	
				US 2004-546393P	P 20040219	

```
US 2004-559741P
                                                                    P 20040405
                                               US 2004-563739P
                                                                    P 20040419
                                               US 2004-837519
                                                                    A2 20040430
     US 2005124636
                          ` A1
                                  20050609
                                               US 2005-40838
                                                                        20050121
                                               US 2001-311404P
                                                                    P 20010810
                                               WO 2002-US25574
                                                                    A2 20020812
                                               US 2003-467442P
                                                                    P
                                                                        20030501
                                               US 2003-474497P
                                                                    P
                                                                        20030530
                                               US 2004-538100P
                                                                    Ρ
                                                                        20040121
                                               US 2004-761889
                                                                    A2 20040121
                                               US 2004-762079
                                                                    A2 20040121
                                               US 2004-546393P
                                                                    P 20040219
                                               US 2004-837519
                                                                    A2 20040430
FAN
     2004:652533
     PATENT NO.
                          KIND
                                  DATE
                                              APPLICATION NO.
                                                                        DATE
                                  _----
                                              ------
PΙ
     US 2004157264
                           A1
                                  20040812
                                              US 2004-762079
                                                                        20040121
                                              US 2001-311404P
                                                                   P 20010810
                                               WO 2002-US25574
                                                                   A2 20020812
                                              US 2003-474497P
                                                                    P 20030530
     WO 2003013571
                                  20030220
                           Α1
                                              WO 2002-US25574
                                                                        20020812
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
                                              US 2001-311404P
                                                                    P 20010810
     WO 2005102340
                           A1
                                  20051103
                                              WO 2004-US1462
                                                                       20040121
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR; HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
                                              US 2003-474497P
                                                                    P 20030530
     US 2005130988
                           A1
                                 20050616
                                              US 2005-36282
                                                                       20050114
                                              US 2001-311404P
                                                                    P 20010810
                                               WO 2002-US25574
                                                                    A2 20020812
                                               US 2003-467442P
                                                                    P 20030501
                                               US 2003-474497P
                                                                    P 20030530
                                               US 2004-536606P
                                                                    P 20040114
                                               US 2004-761889
                                                                    A2 20040121
                                               US.2004-762079
                                                                    A2 20040121
                                               US 2004-546393P
                                                                    P 20040219
                                               US 2004-559741P
                                                                    P 20040405
                                               US 2004-563739P
                                                                   P 20040419
                                               US 2004-837519
                                                                    A2 20040430
     US 2005124636
                                              US 2005-40838
                           A1
                                  20050609
                                                                       20050121
                                               US 2001-311404P
                                                                    P 20010810
                                               WO 2002-US25574
                                                                    A2 20020812
                                               US 2003-467442P
                                                                    P
                                                                       20030501
                                               US 2003-474497P
                                                                  . P
                                                                       20030530
                                                                  P 20040121
                                               US 2004-538100P
                                               US 2004-761889
                                                                   A2 20040121
```

```
US 2004-762079
                                                                 A2 20040121
                                             US 2004-546393P
                                                                 P 20040219
                                             US 2004-837519
                                                                 A2 20040430
    US 2005176728
                                20050811
                          A1
                                             US 2005-99814
                                                                    20050405
                                             US 2001-311404P
                                                                 P 20010810
                                             WO 2002-US25574
                                                                 A2 20020812
                                             US 2003-467442P
                                                                 P
                                                                    20030501
                                             US 2003-474497P
                                                                 P
                                                                    20030530
                                             US 2004-762079
                                                                 A2 20040121
                                             US 2004-546393P
                                                                 Ρ
                                                                    20040219
                                             US 2004-559741P
                                                                 Р
                                                                    20040405
                                             US 2004-563739P
                                                                 Р
                                                                    20040419
                                            US 2004-837519
                                                               · A2 20040430
FAN
    2004:703130
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                                                    DATE
                                ---<del>-</del>---
                                            -----
                                                                    _____
                                            US 2004-776657
PΙ
    US 2004167201
                          A1
                                20040826
                                                                    20040210
                                                               P 20010810
                                            US 2001-311404P
                                                              A2 20020812
                                            WO 2002-US25574
    WO 2003013571
                          A1
                                20030220
                                            WO 2002-US25574
                                                                    20020812
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
                                            US 2001-311404P
                                                                 P 20010810
FAN 2004:965987
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                                                    DATE
                         ____
                                            ______
    US 2004224957
                                20041111
PΙ
                          A1
                                            US 2004-837519
                                                                    20040430
                                            US 2003-467442P
                                                                 P 20030501
                                            US 2004-546393P
                                                               · P 20040219
    AU 2004235792
                          A1
                                20041118
                                            AU 2004-235792
                                                                    20040503
                                            US 2003-467442P
                                                                 P 20030501
                                            US 2004-546393P
                                                                P 20040219
                                           . US 2004-837519
                                                                 A 20040430
                                            WO 2004-US13803
                                                                 W 20040503
    WO 2004098602
                          A1
                                20041118
                                            WO 2004-US13803
                                                                    20040503
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
                                            US 2003-467442P
                                                                 P 20030501
                                            US 2004-546393P
                                                                 P 20040219
                                            US 2004-837519
                                                                 A 20040430
                                20060208
    EP 1622618
                          A1
                                            EP 2004-751262
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
                                            US 2003-467442P
                                                             P 20030501
                                            US 2004-546393P
                                                                P 20040219
                                                                A 20040430
                                            US 2004-837519
```

	BR 2004010694	A	20060620	WO 2004-US13803 BR 2004-10694 US 2003-467442P	W 20040503 20040503 P 20030501	
•				US 2004-546393P US 2004-837519 WO 2004-US13803	P 20040219 A 20040430	
	CN 1816337	Α	20060809	CN 2004-80018907 US 2003-467442P	20040503 P 20030501	
·				US 2004-546393P US 2004-837519 WO 2004-US13803	P 20040219 A 20040430 W 20040503	
	JP 2006525369	T	20061109	JP 2006-514263 US 2003-467442P US 2004-546393P	20040503 P 20030501 P 20040219	
	HC 2005120000	2.1	00050616	US 2004-837519 WO 2004-US13803	A 20040430 W 20040503	
	US 2005130988	A1	20050616	US 2005-36282 US 2001-311404P WO 2002-US25574	20050114 P 20010810 A2 20020812	
				US 2003-467442P US 2003-474497P US 2004-536606P	P 20030501 P 20030530 P 20040114	
				US 2004-761889 US 2004-762079	A2 20040121 A2 20040121	
				US 2004-546393P US 2004-559741P US 2004-563739P	P 20040219 P 20040405 P 20040419	
	US 2005124636	A1	20050609	US 2004-837519 US 2005-40838 US 2001-311404P	A2 20040430 20050121 P 20010810	
				WO 2002-US25574 US 2003-467442P US 2003-474497P	A2 20020812 P 20030501 P 20030530	
	`			US 2004-538100P US 2004-761889 US 2004-762079	P 20040121 A2 20040121	
	0005176700			US 2004-546393P US 2004-837519	A2 20040121 P 20040219 A2 20040430	
	US 2005176728	A1	20050811	US 2005-99814 US 2001-311404P WO 2002-US25574	20050405 P 20010810 A2 20020812	
		·		US 2003-467442P US 2003-474497P US 2004-762079	P 20030501 P 20030530 A2 20040121	
				US 2004-546393P US 2004-559741P US 2004-563739P	P 20040219 P 20040405 P 20040419	
	US 2006287330	A1	20061221	US 2004-837519 US 2006-464051	A2 20040430 20060811	
	•			US 2003-467442P US 2004-546393P US 2004-837519	P 20030501 P 20040219 A2 20040430	
	US 2006287331	A1	20061221	US 2005-707488P US 2006-464053 US 2003-467442P	P 20050811 20060811 P 20030501	
				US 2004-546393P US 2004-837519 US 2005-707488P	P 20040219 A2 20040430 P 20050811	
	US 2006287332	A1	20061221	US 2006-464069 US 2003-467442P US 2004-546393P	20060811 P 20030501 P 20040219	
			٠	US 2004-340393F US 2004-837519 US 2005-707488P	A2 20040430 P 20050811	
	·					
			·			

FAN	2005:497489 PATENT NO.	KIND DATE	APPLICATION NO. DATE		
ΡΙ	US 2005124636	A1 20050609	US 2005-40838 20050121 US 2001-311404P P 20010810 WO 2002-US25574 A2 20020812 US 2003-467442P P 200305501 US 2003-474497P P 20030530 US 2004-538100P P 20040121 US 2004-761889 A2 20040121 US 2004-762079 A2 20040121 US 2004-546393P P 20040219	ž .	
	CO, CR, CU, GM, HR, HU, LS, LT, LU, RO, RU, SD, UZ, VN, YU, RW: GH, GM, KE, CH, CY, CZ,	CZ, DE, DK, DM, D ID, IL, IN, IS, J LV, MA, MD, MG, M SE, SG, SI, SK, S ZA, ZW LS, MW, MZ, SD, S DE, DK, EE, ES, F TR, BF, BJ, CF, C	US 2004-837519 WO 2002-US25574 20020812 BA, BB, BG, BR, BY, BZ, CA, CH, CN, DZ, EC, EE, ES, FI, GB, GD, GE, GH, JP, KE, KG, KP, KR, KZ, LC, LK, LR, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, BL, TJ, TM, TR, TT, TZ, UA, UG, US, EL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, FI, FR, GB, GR, IE, IT, LU, MC, NL, CG, CI, CM, GA, GN, GQ, GW, ML, MR,		
	US 2004152134 US 2004157264	A1 20040805 A1 20040812	US 2001-311404P P 20010810 US 2004-761889 20040121 US 2001-311404P P 20010810 WO 2002-US25574 A2 20020812 US 2004-762079 20040121 US 2001-311404P P 20010810	L D 2 L	
	CN, CO, CR, GE, GH, GM, LK, LR, LS, NO, NZ, OM, TJ, TM, TN, RW: BW, GH, GM, BY, KG, KZ, ES, FI, FR,	CU, CZ, DE, DK, D HR, HU, ID, IL, I LT, LU, LV, MA, M PG, PH, PL, PT, R TR, TT, TZ, UA, U KE, LS, MW, MZ, S MD, RU, TJ, TM, A GB, GR, HU, IE, I	WO 2002-US25574 US 2003-474497P P 20030530 WO 2004-US1462 DA, BB, BG, BR, BW, BY, BZ, CA, CH, DM, DZ, EC, EE, EG, ES, FI, GB, GD, CN, IS, JP, KE, KG, KP, KR, KZ, LC, MD, MG, MK, MN, MW, MX, MZ, NA, NI, RO, RU, SC, SD, SE, SG, SK, SL, SY, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW DD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, AT, BE, BG, CH, CY, CZ, DE, DK, EE, CT, LU, MC, NL, PT, RO, SE, SI, SK, EA, GN, GQ, GW, ML, MR, NE, SN, TD,	ΓG	
FAN	US 2004224957 2005:527392	A1 20041111	US 2003-474497P P 20030530 US 2004-837519 20040430 US 2003-467442P P 20030501 US 2004-546393P P 20040219		
PI	PATENT NO. US 2005130988	A1 20030220	APPLICATION NO. US 2005-36282 20050114 US 2001-311404P P 20010810 WO 2002-US25574 A2 20020812 US 2003-467442P P 20030501 US 2003-474497P P 20030530 US 2004-536606P P 20040114 US 2004-761889 A2 20040121 US 2004-762079 A2 20040121 US 2004-546393P P 20040219 US 2004-559741P P 20040405 US 2004-563739P P 20040419 US 2004-837519 A2 20040430 WO 2002-US25574		
				•	

```
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
                                              US 2001-311404P
                                                                    P 20010810
     US 2004152134
                                  20040805
                           Α1
                                            . US 2004-761889
                                                                       20040121
                                              US 2001-311404P
                                                                    P 20010810
                                              WO 2002-US25574
                                                                    A2 20020812
                                  20040812 .
     US 2004157264
                           A1
                                              US 2004-762079
                                                                       20040121
                                              US 2001-311404P
                                                                    Ρ
                                                                      20010810
                                              WO 2002-US25574
                                                                   A2 20020812
                                              US 2003-474497P
                                                                    P 20030530
     WO 2005102340
                           A1
                                  20051103
                                              WO 2004-US1462
                                                                       20040121
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
                                              US 2003-474497P
                                                                P 20030530
     US 2004224957
                                  20041111
                                              US 2004-837519
                           A1
                                                                       20040430
                                              US 2003-467442P
                                                                   Ρ
                                                                       20030501
                                              US 2004-546393P
                                                                    P.
                                                                      20040219
FAN
     2005:735324
     PATENT NO.
                          KIND
                                  DATE
                                              APPLICATION NO.
                                                                       DATE
                                              -----
                          ____
     US 2005176728
                                              US 2005-99814
PΙ
                           A1
                                  20050811
                                                                       20050405
                                              US 2001-311404P
                                                                  P 20010810
                                              WO 2002-US25574
                                                                  A2 20020812
                                                                   P
                                              US 2003-467442P
                                                                      20030501
                                              US 2003-474497P
                                                                  . Б
                                                                      20030530
                                              US 2004-762079
                                                                   A2 20040121
                                             · US 2004-546393P
                                                                   Р
                                                                      20040219
                                              US 2004-559741P
                                                                   Ρ
                                                                      20040405
                                              US 2004-563739P
                                                                   P 20040419
                                              US 2004-837519
                                                                   A2 20040430
     WO 2003013571
                           A1
                                 20030220
                                              WO 2002-US25574
                                                                       20020812
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
                                              US 2001-311404P
                                                                   P . 20010810
     US 2004157264
                                 20040812
                           A1
                                              US 2004-762079
                                                                       20040121
                                              US 2001-311404P
                                                                   P 20010810
                                              WO 2002-US25574
                                                                   A2 20020812
                                              US 2003-474497P
                                                                   P 20030530
     WO 2005102340
                                 20051103
                           A1
                                              WO 2004-US1462
                                                                       20040121
```

```
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
        CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
        GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
        LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
        NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
        TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
                                                             ZA, ZM, ZW
    RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG,
                                                         ZM,
                                                            ZW, AM, AZ,
        BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
        ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
        TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                       US 2003-474497P
                                                            Ρ
                                                              20030530
US 2004224957
                           20041111
                     A1
                                       US 2004-837519
                                                               20040430
                                       US 2003-467442P
                                                            Р
                                                               20030501
                                       US 2004-546393P
                                                            Р
                                                               20040219
```

MARPAT 138:188071

OS GΙ

RN

CN

$$H_2N$$

AB The invention relates to a method of deriving a peptidomimetic of a biol. active metallopeptide. The peptidomimetic contains at least one non-peptide ring structure and at least two amino acid-related elements. The invention further relates to peptidomimetics with a template space heterocyclic ring structure, including 5-, 6- and 8-membered and 5-5 and 6-5 bicyclic fused ring structure melanocortin receptor-specific peptidomimetics. The examples describe the synthesis of pyrrolidines, 2-piperazinones [e.g., I [R = BuCH2CH2CO-Ser(Bzl)-D-Phe(2-Cl)]], hexahydropyrrolo[1,2-a]pyrazin-4-ones, hexahydropyrrolo[1,2-a]imidazol-3ones, 1,4-benzodiazepines, and piperazines. Competitive inhibition testing of compound I against $\alpha\text{-MSH}$ yielded the following results at 1 μM: melanocortin-1 receptor (MC1-R) 96%, MC3-R 51%, MC4-R 99%, and MC5-R 82%.

Ι

```
IT
     497935-48-5P 497935-49-6P 497935-50-9P
     497935-51-0P 497935-52-1P 497935-53-2P
     497935-54-3P 497935-55-4P 497935-56-5P
     497935-57-6P 497935-58-7P 497935-59-8P
     497935-60-1P 497935-61-2P 497935-62-3P
     497935-63-4P 497935-64-5P 497935-65-6P
     497935-66-7P 497935-67-8P 497935-68-9P
     497935-69-0P 497935-70-3P 497935-71-4P
     497935-72-5P 497935-73-6P 497935-74-7P
     497935-75-8P 497935-76-9P 497935-77-0P
     497935-78-1P 497935-79-2P 497935-80-5P
     497935-81-6P 497935-82-7P 497935-83-8P
     497935-84-9P 497935-85-0P 497935-86-1P
     497935-87-2P 497935-88-3P 497935-89-4P
     497935-90-7P
```

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(peptidomimetics of biol. active metallopeptides) 497935-48-5 CAPLUS

Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)][(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyl]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalenyloxy)methyloxy]-1-[(4-naphthalen chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-49-6 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-50-9 CAPLUS

CN Heptanamide, N-[(1S)-2-[[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)

RN 497935-51-0 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-52-1 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

RN 497935-53-2 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-54-3 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2s,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-5-phenyl-, (2s,5R)- (9CI) (CA INDEX NAME)

RN 497935-55-4 CAPLUS

CN Heptanamide, N-[(1S)-2-[[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]amino]-2-oxo-1-

[(phenylmethoxy)methyl]=thyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-56-5 CAPLUS

CN 1-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (1S)- (9CI) (CA INDEX NAME)

RN 497935-57-6 CAPLUS

CN 1-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (1R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-58-7 CAPLUS

CN 2-Naphthalenecarboxamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-(9CI) (CA INDEX NAME)

RN 497935-59-8 CAPLUS

CN 1H-Indene-2-acetamide, α-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-60-1 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-(2-naphthalenyloxy)-, (2S,4S)- (9CI) (CA INDEX NAME)

RN 497935-61-2 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-phenoxy-, (2S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-62-3 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

RN 497935-63-4 CAPLUS

CN 1H-Indene-2-carboxamide, 2-amino-N-[(1S)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-64-5 CAPLUS

CN 1H-Indole-2-carboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro-, (2S)- (9CI) (CA INDEX NAME)

RN 497935-65-6 CAPLUS

CN 1H-Indene-1-carboxamide, 1-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-66-7 CAPLUS
CN 1H-Imidazole-4-propanamide, α-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-2-oxo-1-(phenylmethyl)ethyl]-,
(αS)- (9CI) (CA INDEX NAME)

RN 497935-67-8 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-68-9 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

RN 497935-69-0 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-70-3 CAPLUS

CN Heptanamide, 7-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2-chlorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 497935-71-4 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-3-(2-chlorophenyl)-1-oxo-2-[(phenylmethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497.935-72-5 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-3-(4-chlorophenyl)-1-oxopropyl]hexahydro-5-(phenoxymethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-73-6 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-3-(2-chlorophenyl)-1-oxopropyl]hexahydro-5-(phenoxymethyl)-, (2S,5R,7aR)- (9CI)

Absolute stereochemistry.

RN 497935-74-7 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-1-oxo-3-phenylpropyl]hexahydro-5-(phenoxymethyl)-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-75-8 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-(phenoxymethyl)-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)-(9CI) (CA INDEX NAME)

RN 497935-76-9 CAPLUS

CN 3H-Pyrrolo[1,2-a]imidazol-3-one, 2-(4-aminobutyl)-1-[(2R)-2-amino-1-oxo-3-phenylpropyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-, (2S,5R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-77-0 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-[[(5,6,7,8-tetrahydro-2-naphthalenyl)oxy]methyl]-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CAINDEX NAME)

Absolute stereochemistry.

RN 497935-78-1 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-[[(5,6,7,8-tetrahydro-2-naphthalenyl)oxy]methyl]-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

RN 497935-79-2 CAPLUS

CN Heptanamide, 7-amino-N-[(1S)-2-[[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-3-oxo-5-[[(5,6,7,8-tetrahydro-2-naphthalenyl)oxy]methyl]-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]amino]-2-oxo-1[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-80-5 CAPLUS
CN 1H-Tmidazole-4-propar

CN 1H-Imidazole-4-propanamide, α-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-2-oxo-1-(phenylmethyl)ethyl]-, (αS)- (9CI) (CA INDEX NAME)

RN 497935-81-6 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-82-7 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)-, (2S,4R)- (9CI) (CA INDEX NAME)

RN 497935-83-8 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2oxoethyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-84-9 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-5-phenyl-, (2S,5R)- (9CI) (CA INDEX NAME)

RN 497935-85-0 CAPLUS

CN Butanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2oxoethyl]-4-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-86-1 CAPLUS

CN 2-Piperidinecarboxamide, N-[(1R)-2-[(2S,5R,7aR)-2-[3-[(aminoiminomethyl)amino]propyl]hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(2,4-dichlorophenyl)methyl]-2-oxoethyl]-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 497935-87-2 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(2-naphthalenyloxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-88-3 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-phenoxy-, (2S)- (9CI) (CA INDEX NAME)

RN 497935-89-4 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(4-chlorophenoxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497935-90-7 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[(2S,5R,7aR)-2-(4-aminobutyl)hexahydro-5-[(2-naphthalenyloxy)methyl]-3-oxo-1H-pyrrolo[1,2-a]imidazol-1-yl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-3-(2-chlorophenoxy)-, (2S)- (9CI) (CA INDEX NAME)

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:962180 CAPLUS

DN 138:170390

TI Total Synthesis of (-)-Fumiquinazolines A, B, C, E, H, and I. Approaches to the Synthesis of Fiscalin A

AU Snider, Barry B.; Zeng, Hongbo

CS Department of Chemistry, Brandeis University, Waltham, MA, 02454-9110, USA

SO Journal of Organic Chemistry (2003), 68(2), 545-563 CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

OS CASREACT 138:170390

GΙ

AB The first syntheses of (-)-fumiquinazolines A, B, and I, which proceed in 14 steps from protected tryptophan, anthranilic acid, leucine, and alanine in 7% overall yield, are described. Tricycle I (R = Me, CH2CHMe2) was formed by a palladium-catalyzed cyclization. Oxidation of I (R = Me) with a saccharine-derived oxaziridine for fumiquinazolines A and B and oxidation of I (r = CH2CHMe2) with dimethyldioxirane for fumiquinazoline I selectively formed the appropriate imidazoindolone stereoisomers. Application of the Ganesan-Mazurkiewicz cyclization completed the syntheses. Efficient 14-step syntheses of (-)-fumiquinazolines C and E and a 15-step synthesis of (-)-fumiquinazoline H using FmocNHCH(CH2SePh)CO2H as a dehydroalanine

precursor that spontaneously eliminated benzeneselenol without oxidation under the cyclization conditions are also reported. Model II for fiscalin A with the H and OH anti to each other has been prepared, but the procedure that worked for the model failed with the fully functionalized side chain: 210702-36-6

RL: RCT (Reactant); RACT (Reactant or reagent)
(total synthesis of (-)-fumiquinazolines A, B, C, E, H, and I and fiscalin A via Ganesan-Mazurkiewicz cyclization)

RN 210702-36-6 CAPLUS

IT

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9a-methoxy-2,9-dimethyl-3-oxo-, phenylmethyl ester, (2S,9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

316828-38-3P 316828-43-0P 316828-44-1P IT316828-45-2P 316828-53-2P 316828-54-3P 316828-55-4P 316828-57-6P 422319-31-1P 422319-35-5P.422319-37-7P 422319-39-9P 422319-40-2P 422319-50-4P 496962-01-7P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT. (Reactant or reagent) (total synthesis of (-)-fumiquinazolines A, B, C, E, H, and I and fiscalin A via Ganesan-Mazurkiewicz cyclization) RN 316828-38-3 CAPLUS CN 1H-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9amethoxy-2-(2-methylpropyl)-3-oxo-1-[(phenylmethoxy)carbonyl]- α -[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, $(\alpha R, 2S, 9R)$ -(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 316828-43-0 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-

(2-methylpropyl)-3-oxo-9-[[(1S,4R)-1,3,4,6-tetrahydro-1-methyl-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9R,9aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 316828-44-1 CAPLUS

CN lH-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9a-methoxy-2-methyl-3-oxo-1-[(phenylmethoxy)carbonyl]- α -[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (α R,2S,9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 316828-45-2 CAPLUS

CN lH-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9a-methoxy-2-methyl-3-oxo-1-[(phenylmethoxy)carbonyl]- α -[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (α R,2S,9R)- (9CI) (CA INDEX NAME)

RN 316828-53-2 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-9-[[(1S,4R)-1,3,4,6-tetrahydro-1-methyl-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 316828-54-3 · CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-9-[[(1R,4R)-1,3,4,6-tetrahydro-1-methyl-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)-(9CI) (CA INDEX NAME)

RN 316828-55-4 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-9-[[(1S,4S)-1,3,4,6-tetrahydro-1-methyl-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 316828-57-6 CAPLUS

CN lH-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-9-[[(1R,4S)-1,3,4,6-tetrahydro-1-methyl-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)-(9CI) (CA INDEX NAME)

RN 422319-31-1 CAPLUS

CN lH-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-9-[[(4R)-1,3,4,6-tetrahydro-1-methylene-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 422319-35-5 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-9-[[(1R,4R)-1,3,4,6-tetrahydro-1-methoxy-1-methyl-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)- (9CI) (CA INDEX NAME)

RN 422319-37-7 CAPLUS

CN 1H-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2methyl-3-oxo-1-[(phenylmethoxy)carbonyl]-α-[[(2,2,2trichloroethoxy)carbonyl]amino]-, methyl ester, (αR,2S,9S,9aR)(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 422319-39-9 CAPLUS

CN lH-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-1-[(phenylmethoxy)carbonyl]- α -[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (α R,2S,9R,9aS)-(9CI) (CA INDEX NAME)

RN 422319-40-2 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-9-[[(4R)-1,3,4,6-tetrahydro-1-methylene-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9R,9aS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 422319-50-4 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-9-[[(4R)-1,3,4,6-tetrahydro-1-methylene-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9R,9aS)- (9CI) (CA INDEX NAME)

RN 496962-01-7 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9a-methoxy-2,9-dimethyl-3-oxo-9-[(triethylsilyl)oxy]-, phenylmethyl ester, (2S,9S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 316828-37-2P 422319-55-9P 496962-04-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(total synthesis of (-)-fumiquinazolines A, B, C, E, H, and I and

fiscalin A via Ganesan-Mazurkiewicz cyclization)

RN 316828-37-2 CAPLUS

CN 1H-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9amethoxy-2-(2-methylpropyl)-3-oxo-1-[(phenylmethoxy) carbonyl]-α[[(2,2,2-trichloroethoxy) carbonyl]amino]-, methyl ester, (αR,2S,9S)(9CI) (CA INDEX NAME)

RN 422319-55-9 CAPLUS

CN $1H-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1-[(phenylmethoxy)carbonyl]-<math>\alpha$ -[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (α R,2S,9R,9aS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 496962-04-0 CAPLUS

CN lH-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9a-methoxy-2-methyl-3-oxo-1-[(phenylmethoxy)carbonyl]- α -[[(2,2,2-trichloroethoxy)carbonyl]amino]-9-[(triethylsilyl)oxy]-, methyl ester, (α R,2S,9S)- (9CI) (CA INDEX NAME)

RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:177405 CAPLUS

DN 136:369882

TI Total Syntheses of (-)-Fumiquinazolines C, E, and H

AU Snider, Barry B.; Zeng, Hongbo

CS Department of Chemistry, Brandeis University, Waltham, MA, 02454-9110, USA

SO Organic Letters (2002), 4(7), 1087-1090 CODEN: ORLEF7; ISSN: 1523-7060

PB American Chemical Society

DT Journal

LA English

OS CASREACT 136:369882

AB Total syntheses of the heptacyclic fumiquinazolines C and H have been accomplished efficiently using FmocNHCH(CH2SePh)CO2H as the precursor for the requisite dehydrofumiquinazoline.

TT 422319-31-1P 422319-35-5P 422319-37-7P

422319-39-9P 422319-40-2P 422319-50-4P

422319-55-9P 422319-66-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(total syntheses of (-)-fumiquinazolines C, E, and H)

RN 422319-31-1 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-9-[[(4R)-1,3,4,6-tetrahydro-1-methylene-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)-(9CI) (CA INDEX NAME)

RN 422319-35-5 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-9-[[(1R,4R)-1,3,4,6-tetrahydro-1-methoxy-1-methyl-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 422319-37-7 CAPLUS

CN lH-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-1-[(phenylmethoxy)carbonyl]- α -[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (α R,2S,9S,9aR)-(9CI) (CA INDEX NAME)

RN 422319-39-9 CAPLUS

CN 1H-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2methyl-3-oxo-1-[(phenylmethoxy)carbonyl]-α-[[(2,2,2trichloroethoxy)carbonyl]amino]-, methyl ester, (αR,2S,9R,9aS)(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 422319-40-2 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-9-[[(4R)-1,3,4,6-tetrahydro-1-methylene-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9R,9aS)-(9CI) (CA INDEX NAME)

RN 422319-50-4 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-9-[[(4R)-1,3,4,6-tetrahydro-1-methylene-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9R,9aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 422319-55-9 CAPLUS

CN lH-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1-[(phenylmethoxy)carbonyl]- α -[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (α R,2S,9R,9aS)-(9CI) (CA INDEX NAME)

RN 422319-66-2 CAPLUS

CN 1H-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2(2-methylpropyl)-3-oxo-1-[(phenylmethoxy)carbonyl]-α-[((2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (αR,2S,9S,9aR)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RECORT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
- AN 2000:818613 CAPLUS
- DN 134:86414
- TI Total Syntheses of (-)-Fumiquinazolines A, B, and I
- AU Snider, Barry B.; Zeng, Hongbo
- CS Department of Chemistry MS015, Brandeis University, Waltham, MA, 02454-9110, USA
- SO Organic Letters (2000), 2(25), 4103-4106 CODEN: ORLEF7; ISSN: 1523-7060
- PB American Chemical Society
- DT Journal
- LA English
- OS CASREACT 134:86414

ĢΙ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The first total syntheses of (-)-fumiquinazolines A (I α -Me, AB α -OH, R = β -Me), B (I β -Me, α -OH, R = β -Me), and I (I α -Me, β -OH, R = β -i-Bu) have been accomplished efficiently using the Pd-catalyzed cyclization of an iodoindole carbamate II (R = Me, i-Bu) to construct the imidazoindolone moiety III (R = Me, i-Bu)i-Bu) and the dehydrative cyclization of a diamide followed by rearrangement through an amidine to construct the quinazolone moiety. IT 316828-38-3P 316828-43-0P 316828-44-1P 316828-45-2P 316828-53-2P 316828-54-3P 316828-55-4P 316828-57-6P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (total syntheses of (-)-fumiquinazolines A, B, and I) RN316828-38-3 CAPLUS CN 1H-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9a $methoxy-2-(2-methylpropyl)-3-oxo-1-[(phenylmethoxy)carbonyl]-\alpha-$ [[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (aR,2S,9R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 316828-43-0 CAPLUS

CN lH-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-9-[[(1S,4R)-1,3,4,6-tetrahydro-1-methyl-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9R,9aS)- (9CI) (CA INDEX NAME)

RN 316828-44-1 CAPLUS

CN lH-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9a-methoxy-2-methyl-3-oxo-1-[(phenylmethoxy)carbonyl]- α -[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (α R,2S,9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 316828-45-2 CAPLUS

CN lH-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9a-methoxy-2-methyl-3-oxo-1-[(phenylmethoxy)carbonyl]- α -[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (α R,2S,9R)- (9CI) (CA INDEX NAME)

RN 316828-53-2 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-9-[[(1S,4R)-1,3,4,6-tetrahydro-1-methyl-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 316828-54-3 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-9-[[(1R,4R)-1,3,4,6-tetrahydro-1-methyl-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)-(9CI) (CA INDEX NAME)

RN 316828-55-4 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-9-[[(1S,4S)-1,3,4,6-tetrahydro-1-methyl-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 316828-57-6 CAPLUS

CN lH-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-methyl-3-oxo-9-[[(1R,4S)-1,3,4,6-tetrahydro-1-methyl-3,6-dioxo-2H-pyrazino[2,1-b]quinazolin-4-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

IT 316828-37-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (total syntheses of (-)-fumiquinazolines A, B, and I)

RN 316828-37-2 CAPLUS

CN 1H-Imidazo[1,2-a]indole-9-propanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9amethoxy-2-(2-methylpropyl)-3-oxo-1-[(phenylmethoxy)carbonyl]-α[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (αR,2S,9S)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1998:411058 CAPLUS

DN 129:136341

TI Total Syntheses of (-)-Asperlicin and (-)-Asperlicin C

AU He, Feng; Foxman, Bruce M.; Snider, Barry B.

CS Department of Chemistry, Brandeis University, Waltham, MA, 02254-9110, USA

SO Journal of the American Chemical Society (1998), 120(25), 6417-6418 CODEN: JACSAT; ISSN: 0002-7863

American Chemical Society PΒ DΤ Journal English LA CASREACT 129:136341 os GI

AΒ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

(-)-Asperlicin (I) was prepared from $N\alpha$ -(2,2,2trichloroethoxycarbonyl)-L-tryptophan and N-(benzyloxycarbonyl)-L-leucine via cyclization of indoloimidazole II with o-[(2,2,2trichloroethoxycarbonyl)amino]benzoic acid and cyclocondensation of benzodiazepinedione III with o-azidobenzoyl chloride. (-)-Asperlicin C (IV) was prepared via a similar cyclocondensation with o-azidobenzoyl chloride. IT 102743-51-1P 210702-36-6P 210702-37-7P 210702-38-8P 210702-40-2P 210702-50-4P 210702-56-0P 210702-57-1P 210702-58-2P 210702-60-6P 210702-62-8P 210704-04-4P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (total syntheses of (-)-asperlicin and (-)-asperlicin C) RN 102743-51-1 CAPLUS CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-9-[[(7s)-5,6,7,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tet

dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl]methyl]-, phenylmethyl

Absolute stereochemistry. Rotation (-).

ester, (2S,9S,9aR) - (9CI) (CA INDEX NAME)

RN210702-36-6 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9a-methoxy-2,9-dimethyl-3-oxo-, phenylmethyl ester, (2S,9S)- (9CI) (CA INDEX NAME)

RN 210702-37-7 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9a-methoxy-2,9-dimethyl-3-oxo-, phenylmethyl ester, (2S,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 210702-38-8 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2,9-dimethyl-3-oxo-, phenylmethyl ester, (2S,9S,9aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 210702-40-2 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2,9-dimethyl-3-oxo-, phenylmethyl ester, (2S,9R,9aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 210702-50-4 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 9-[[(7S,7aS)-5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl]methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-, phenylmethyl ester, (2S,9S,9aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 210702-56-0 CAPLUS

CN lH-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9a-methoxy-9-methyl-2-(2-methylpropyl)-3-oxo-, phenylmethyl ester, (2S,9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 210702-57-1 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9a-methoxy-9-methyl-2-(2-methylpropyl)-3-oxo-, phenylmethyl ester, (2S,9R)- (9CI) (CA INDEX NAME)

RN 210702-58-2 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9-methyl-2-(2-methylpropyl)-3-oxo-, phenylmethyl ester, (2S,9S,9aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 210702-60-6 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 9-[[(7s,7as)-5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl]methyl]-2,3,9,9a-tetrahydro-9-hydroxy-9a-methoxy-2-(2-methylpropyl)-3-oxo-, phenylmethyl ester, (2s)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 210702-62-8 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 9-[[(7S,7aS)-5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl]methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-, phenylmethyl ester, (2S,9R,9aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 210704-04-4 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-9-methyl-2-(2-methylpropyl)-3-oxo-, phenylmethyl ester, (2S,9R,9aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1995:104822 CAPLUS

DN 122:56541

TI Anodic amide oxidations: conformationally restricted peptide building blocks from the direct oxidation of dipeptides

AU Cornille, Fabrice; Fobian, Yvetter M.; Slomczynska, Urszula; Beusen, Denise D.; Marshall, Garland R.; Moeller, Kevin D.

CS Dep. Mol. Biol. Pharmacol., Washington Univ., St. Louis, MO, 63130, USA

SO Tetrahedron Letters (1994), 35(38), 6989-92 CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

OS CASREACT 122:56541

GΙ

AB A pair of bicyclic lactam based conformationally restricted peptide mimetics I (R 1 = H, R2 = CO2Me; R1 = CO2Me, R2 = H) have been synthesized in good yield by the direct anodic oxidation of dipeptides Boc-L-Hse-D-Pro-OMe and Boc-L-Hse-L-Pro-OMe. Similarly, bicyclic product II was obtained in 56% overall yield in a 2-step oxidation-cyclization procedure starting with dipeptide Boc-L-Ala-L-Pro-OMe. This work highlights the simplicity of using electrochem. to construct peptide mimetics and serves to further define the nature of the substituent that are compatible with an electrochem. procedure for annulating rings into amino acid derivs.

IT 159912-49-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of conformationally restricted peptide building blocks via

(preparation of conformationally restricted peptide building blocks via direct anodic oxidation and cyclization of proline-containing dipeptides)

RN 159912-49-9 CAPLUS

CN lH-Pyrrolo[1,2-a]imidazole-1,5-dicarboxylic acid, hexahydro-2-methyl-3-oxo-, l-(1,1-dimethylethyl) 5-methyl ester, [2S-(2α ,5 β ,7a β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1995:46800 CAPLUS

DN 122:10558

 ${\tt TI}$ Application of HMBC and HMQC-TOCSY NMR methods to assign the structures of bicyclic-peptide mimetics

AU d'Avignon, D. Andre; Hanau, Cathleen E.; Fobian, Yvette M.; Moeller, Kevin D.

CS Department of Chemistry, Washington University, St. Louis, MO, 63130, USA

SO Journal of Coordination Chemistry (1994), 32(1-3), 135-44 CODEN: JCCMBQ; ISSN: 0095-8972

DT Journal

LA English

AB The structures of representative bicyclic peptides are confirmed through the NMR methods of HMBC and HMQC-TOCSY. Complete assignment of proton and carbon resonances is afforded by these two-dimensional NMR methods. HMQC-TOCSY is especially useful for assigning spectra in mols. having extensive proton spin systems and in establishing connectivities between protonated carbons. Long-range proton-carbon connectivities obtained by HMBC confirm

structure in mols. containing heteroatoms or non-protonated carbons that interrupt proton spin systems.

IT 159326-38-2P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (application of HMBC and HMQC-TOCSY NMR methods to assign the structures of bicyclic peptide mimetics)

RN 159326-38-2 CAPLUS

CN 1H-Pyrrolo[1,2-a]imidazole-1,5-dicarboxylic acid, hexahydro-2-methyl-3-oxo-, 1-(1,1-dimethylethyl) 5-methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1993:473065 CAPLUS

DN 119:73065

TI Synthesis of a bicyclic γ -lactam dipeptide analog

AU Baldwin, Jack E.; Hulme, Christopher; Edwards, Alison J.; Schofield, Christopher J.; Parkes, Kevin E. B.

CS Dyson Perrins Lab., Oxford, OX1 3QY, UK

SO Tetrahedron Letters (1993), 34(10), 1665-8 CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

OS CASREACT 119:73065

GT

The synthesis of conformationally restrained bicyclic γ -lactam dipeptide mimetics I (R = H, Z, R1 = OH, OCH2Ph, NHCH2CHMe2; Z = PhCH2O2C), involving a diastereoselective bicyclization reaction is described. Thus, oxidative cleavage (OsO4, NaIO4) of dipeptide Z-L-Phe-L-NHCH(CO2CH2Ph)CH2CH2CH:X (II; X = CH2) gave aldehyde II (X = O) as a mixture of the free aldehyde and cyclic hemiaminal forms. Cyclization of this mixture with acid gave bicyclic lactam I (R = Z, R1 = OCH2Ph). The stereochem. at C-5 was determined by MO calcns. on models and by x-ray crystallog. of I (R = Z, R1 = OH). I exist as ca. 1:1 mixts of conformers at ambient temperature

IT 148696-59-7 148766-59-0

RL: PRP (Properties)

(conformation and steric energy of, vs. diastereomer, by MO calcns.)

RN 148696-59-7 CAPLUS

CN lH-Pyrrolo[1,2-a]imidazole-1,5-dicarboxylic acid, hexahydro-2-methyl-3-oxo-, dimethyl ester, $[2S-(2\alpha,5\beta,7a\beta)]-(9CI)$ (CA INDEX NAME)

Absolute stereochemistry.

RN 148766-59-0 CAPLUS

CN lH-Pyrrolo[1,2-a]imidazole-1,5-dicarboxylic acid, hexahydro-2-methyl-3-oxo-, dimethyl ester, [2S-(2 α ,5 β ,7a α)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 148696-56-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation, amidation, crystal structure, and conformation of, by NMR)

RN 148696-56-4 CAPLUS

Absolute stereochemistry.

IT 148696-57-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation, deprotection, and conformation of, by NMR)

RN 148696-57-5 CAPLUS

CN 1H-Pyrrolo[1,2-a]imidazole-1-carboxylic acid, hexahydro-5-[[(2-methylpropyl)amino]carbonyl]-3-oxo-2-(phenylmethyl)-, phenylmethyl ester, $[2S-(2\alpha,5\beta,7a\beta)]-(9CI)$ (CA INDEX NAME)

Absolute stereochemistry.

IT 148696-49-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, saponification, and conformation of, by NMR)

RN 148696-49-5 CAPLUS

CN lH-Pyrrolo[1,2-a]imidazole-1,5-dicarboxylic acid, hexahydro-3-oxo-2-(phenylmethyl)-, bis(phenylmethyl) ester, [2S-(2α ,5 β ,7a β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- L4 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
- AN 1986:626158 CAPLUS
- DN 105:226158
- TI Cholecystokinin antagonists. Synthesis of asperlicin analogs with improved potency and water solubility
- AU Bock, Mark G.; DiPardo, Robert M.; Rittle, Kenneth E.; Evans, Ben E.; Freidinger, Roger M.; Veber, Daniel F.; Chang, Raymond S. L.; Chen, Tsing Bau; Keegan, Maureen E.; Lotti, Victor J.
- CS Dep. Med. Chem., Merck Sharp and Dohme Res. Lab., West Point, PA, 19486, USA
- SO Journal of Medicinal Chemistry (1986), 29(10), 1941-5 CODEN: JMCMAR; ISSN: 0022-2623
- DT Journal
- LA English
- OS CASREACT 105:226158

GΙ

AB Seventeen analogs I [R = H, COCH2CH2CO2CH2Ph, COCH2CH2CO2H; R1 = H, Ac, Et, (CH2)3Ph, protected amino acid, COCH2CH2CO2H; R2 = R3 = H; R2R3 = bond] of the selective, competitive cholecystokinin (II) antagonist asperlicin (I, R = R1 = H, R2R3 = bond) were prepared These compds. were tested as inhibitors of the binding of [125I]-II to rat pancreas and guinea pig brain receptors. I [R = R2 = R3 = H, R1 = H, Et, (CH2)3Ph] were more potent than asperlicin on the pancreatic II receptor. I [R = R2 = R3 = H, R1 = COCH2CH2CO2Na] displayed potency equivalent to asperlicin on the pancreas II receptor and showed a marked improvement in water solubility, thereby facilitating the use of this class of II antagonists in physiol. and pharmacol. studies.

IT 102743-49-7P 102743-52-2P 102743-57-7P 102996-16-7P 102996-17-8P 102996-18-9P 103241-32-3P 103241-34-5P 103303-32-8P 103303-33-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and cholecystokinin antagonist activity of)

RN 102743-49-7 CAPLUS

CN Quinazolino[3,2-a][1,4]benzodiazepine-5,13-dione, 7-[[1-acetyl-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1H-imidazo[1,2-a]indol-9-yl]methyl]-6,7-dihydro-, [2S-[2 α ,9 β ,9(R*),9a β]]- (9CI) (CA INDEX NAME)

RN 102743-52-2 CAPLUS

CN Quinazolino[3,2-a][1,4]benzodiazepine-5,13-dione, 6,7,7a,8-tetrahydro-7-[[2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1-(3-phenylpropyl)-1H-imidazo[1,2-a]indol-9-yl]methyl]-, [2S-[2 α ,9 β ,9(7R*,7aR*),9a β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 102743-57-7 CAPLUS

CN Carbamic acid, [4-[[(1,1-dimethylethoxy)carbonyl]amino]-5-[9-[(5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1H-imidazo[1,2-a]indol-1-yl]-5-oxopentyl]-, phenylmethyl ester, [2S-[1(R*),2\alpha,9\beta,9(7R*,7aR*),9a\beta]]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 102996-16-7 CAPLUS

CN 3H-Imidazo[1,2-a]indol-3-one, 1-(2,6-diamino-1-oxohexyl)-1,2,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-9-[(5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-, dihydrochloride, $[2S-[1(R^*),2\alpha,9\beta,9(R^*),9a\beta]]-$ (9CI) (CA INDEX NAME)

●2 HC1

RN 102996-17-8 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-butanoic acid, 9-[(5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)- γ ,3-dioxo-, [2S-[2 α ,9 β ,9(7R*,7aR*),9a β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 102996-18-9 CAPLUS

CN lH-Imidazo[1,2-a]indole-1-butanoic acid, 9-[(5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)- γ ,3-dioxo-, monosodium salt, [2S-[2 α ,9 β ,9(7R*,7aR*),9a β]]- (9CI) (CA INDEX NAME)

🕨 Na

RN 103241-32-3 CAPLUS

CN Carbamic acid, $[1-[(4-hydroxyphenyl)methyl]-2-[2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-9-[(5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-1H-imidazo[1,2-a]indol-1-yl]-2-oxoethyl]-, 1,1-dimethylethyl ester, [2S-[1(R*),2<math>\alpha$,9 β ,9(R*),9a β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 103241-34-5 CAPLUS

CN Butanedioic acid, 9-[(5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-2,3,9,9a-tetrahydro-2-(2-methylpropyl)-3-oxo-1-[(phenylmethoxy)carbonyl]-1H-imidazo[1,2-a]indol-9-yl phenylmethyl ester, [2S-[2 α ,9 β ,9(7R*,7aR*),9a β]]- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 103303-32-8 CAPLUS

CN Quinazolino[3,2-a][1,4]benzodiazepine-5,13-dione, 7-[[1-ethyl-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1H-imidazo[1,2-a]indol-9-yl]methyl]-6,7,7a,8-tetrahydro-, [2S-[2α,9β,9(7R*,7aR*),9a.beta.]]- (9CI) (CA INDEX NAME)

RN 103303-33-9 CAPLUS

CN $3H-Imidazo[1,2-a]indol-3-one, 1-(2,6-diamino-1-oxohexyl)-1,2,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-9-[(5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-, [2S-[1(R*),2<math>\alpha$,9 β ,9(R*),9a β]]- (9CI) (CA INDEX NAME)

IT 102743-51-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, acylation, and cholecystokinin antagonist activity of)

RN 102743-51-1 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-9-[[(7S)-5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IT 103241-31-2P 103241-33-4P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation, debenzylation, and cholecystokinin antagonist activity of)

RN 103241-31-2 CAPLUS

CN Carbamic acid, [1-[[4-(phenylmethoxy)phenyl]methyl]-2-[2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-9-[(5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-1H-imidazo[1,2-a]indol-1-yl]-2-oxoethyl]-, 1,1-dimethylethyl ester, [2S-[1(R*),2α,9β,9(R*),9aβ]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 103241-33-4 CAPLUS

CN Butanedioic acid, phenylmethyl 2,3,9,9a-tetrahydro-2-(2-methylpropyl)-3-oxo-1-[(phenylmethoxy)carbonyl]-9-[(5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-1H-imidazo[1,2-a]indol-9-yl ester, [2S-[2 α ,9 β ,9(R*),9a β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

IT 102743-57-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation, deblocking, and cholecystokinin antagonist activity of)

RN 102743-57-7 CAPLUS

CN Carbamic acid, $[4-[[(1,1-dimethylethoxy)carbonyl]amino]-5-[9-[(5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1H-imidazo[1,2-a]indol-1-yl]-5-oxopentyl]-, phenylmethyl ester, <math>[2S-[1(R^*),2\alpha,9\beta,9(7R^*,7aR^*),9a\beta]]-(9CI)$ (CA INDEX NAME)

Absolute stereochemistry.

IT 102743-56-6P 102996-15-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, reduction, and cholecystokinin antagonist activity of)

RN 102743-56-6 CAPLUS

CN Carbamic acid, $[4-[(1,1-dimethylethoxy) carbonyl] amino] -5-[2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-9-[(5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-1H-imidazo[1,2-a]indol-1-yl]-5-oxopentyl]-, phenylmethyl ester, [2S-[1(R*),2<math>\alpha$,9 β ,9(R*),9a β]- (9CI) (CA INDEX NAME)

102996-15-6 CAPLUS RN

CN 1H-Imidazo[1,2-a]indole-1-butanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-1- $(2-methylpropyl)-\gamma$, 3-dioxo-9-[(5,6,7,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tetrahydro-5,13-tdioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-, $[2S-[2\alpha,9\beta,9(R^*),9a\beta]]-(9CI)$ (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 13 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN L4

AN 1986:442854 CAPLUS

105:42854 DN

ΤI Quinazolinobenzodiazepinedione derivatives

IN Bock, Mark G.; Freidinger, Roger M.; Evans, Ben E.

Merck and Co., Inc. , USA PA

SO U.S., 13 pp.

CODEN: USXXAM

 $\mathtt{D}\mathbf{T}$ Patent

English LΑ

FAN. CNT I					
	PATENT NO.	KIND DATE	APPLICATION NO.	DATE	
PI	US 4559338	A 198512	17 US 1985-695117	19850125	
	EP 19058 7	A1 198608	EP 1986-100620	19860118	
	R: CH, DE, FR,	GB, IT, LI, N	TL .		
			US 1985-695117 A	19850125	

OS MARPAT 105:42854

GΙ

AB Title compds. I (R1, R2, and R5 are H, Br, Cl, F, OH, alkoxy, alkyl; R3 = H, carboxyalkanoyl, aminoalkanoyl, etc.; R4 = H, alkyl, alkylthioalkyl, etc.; R6 = H, R3) were prepared, and they showed their usefulness as antagonists for cholecystokinins. Also prepared were 7a,8-dihydro derivs. of I. I (R1 = R2 = R3 = R4 = R5 = R6 = H) was treated with succinic anhydride and 4-(dimethylamino)pyridine to give I (R3 = COCH2CH2CO2H, R1 = R2 = R4 = R5 = R6 = H).

Ι

IT 103022-89-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deprotection of)

RN 103022-89-5 CAPLUS

CN Carbamic acid, [5-[[(1,1-dimethylethoxy)carbonyl]amino]-6-oxo-6-[2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-9-[(5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-1H-imidazo[1,2-a]indol-1-yl]hexyl]-, phenylmethyl ester, [2S-[1(R*),2α,9β,9(R*),9aβ]]- (9CI) (CA INDEX NAME)

IT 103066-42-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and selective deprotection of)

RN 103066-42-8 CAPLUS

CN Carbamic acid, [4-[[(1,1-dimethylethoxy)carbonyl]amino]-5-oxo-5-[2,3,9,9a-tetrahydro-2-(2-methylpropyl)-3-oxo-9-[(5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-1H-imidazo[1,2-a]indol-1-yl]pentyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

IT 102743-51-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and O-acylation of, by succinic acid monoester)

RN 102743-51-1 CAPLUS

CN lH-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-9-[[(7S)-5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

Absolute stereochemistry.

RN 102996-16-7 CAPLUS CN 3H-Imidazo[1,2-a]indol-3-one, 1-(2,6-diamino-1-oxohexyl)-1,2,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-9-[(5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-, dihydrochloride, [2S-[1(R*),2 α ,9 β ,9(R*),9a β]- (9CI) (CA INDEX NAME)

●2 HCl

RN 102996-17-8 CAPLUS

CN lH-Imidazo[1,2-a]indole-1-butanoic acid, 9-[(5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)- γ ,3-dioxo-, [2S-[2 α ,9 β ,9(7R*,7aR*),9a β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 102996-18-9 CAPLUS

CN lh-Imidazo[1,2-a]indole-1-butanoic acid, 9-[(5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)- γ ,3-dioxo-, monosodium salt, [2S-[2 α ,9 β ,9(7R*,7aR*),9a β]]- (9CI) (CA INDEX NAME)

102996-19-0 CAPLUS RN

Carbamic acid, [4-amino-5-[9-[(5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-2,3,9,9a-tetrahydro-CN 9-hydroxy-2-(2-methylpropyl)-3-oxo-1H-imidazo[1,2-a]indol-1-yl]-5oxopentyl]-, phenylmethyl ester, hydrochloride (9CI) (CA INDEX NAME)

x HCl

RN

102996-20-3 CAPLUS 1H-Imidazo[1,2-a]indole-1-acetic acid, 9-[(5,6,7,7a,8,13-hexahydro-5,13-CN dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo- (9CI) (CA INDEX NAME)

$$R$$
 HO_2C-CH_2-N
 $i-Bu$
 O

PAGE 2-A

RN

102996-21-4 CAPLUS 1H-Imidazo[1,2-a]indole-1-acetic acid, 9-[(5,6,7,7a,8,13-hexahydro-5,13-CN dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-, monosodium salt (9CI) (CA INDEX NAME)

PAGE 1-A

$$R$$
 HO_2C-CH_2-N
 $i-Bu$
 O

● Na

RN 103066-41-7 CAPLUS

CN lH-Imidazo[1,2-a]indole-1-butanoic acid, 2,3,9,9a-tetrahydro-9-hydroxy-1- (2-methylpropyl)- γ ,3-dioxo-9-[(5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-, monosodium salt, [2S-[2 α ,9 β ,9(R*),9a β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

Na

OS MARPAT 105:35621

GI

```
L4
     ANSWER 14 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
     1986:435621 CAPLUS
ΑN
     105:35621
DN
TΙ
     Quinazolino-1,4-benzodiazepine-5,13-diones
IN
     Bock, Mark G.; Freidinger, Roger M.; Evans, Ben E.; Hartman, George D.
PΑ
     Merck and Co., Inc. , USA
SO
     U.S., 21 pp.
     CODEN: USXXAM
DΤ
     Patent
LΆ
     English
FAN.CNT 1
     PATENT NO.
                         KIND
                                             APPLICATION NO.
                                DATE
                                                                     DATE
                                             US 1985-695108
     US 4563451
                                 19860107
ΡI
                          Α
                                                                     19850125
     EP 189802
                                 19860806
                                             EP 1986-100636
                                                                     19860118
                          A1
         R: CH, DE, FR, GB, IT, LI, NL
                                             US 1985-695108
                                                                    19850125
     JP 61176595
                                 19860808
                                             JP 1986-12276
                                                                     19860124
```

US 1985-695108

19850125

AB Quinazolino-1,4-benzodiazepine-5,13-diones I (X1-X3 = H, Br, C1, F, OH, C1-4 alkyl, C1-4 alkoxy, C2-5 alkanoyl; R = H, OH, Et, substituted Ph, etc.; R1 = H, CH2CHMe2), useful as cholecystokinin (CCK) antagonists in the treatment and prevention of disorders of the gastrointestinal, central nervous, and appetite-regulatory systems of mammals, are prepared from compds. produced by aerobic fermentation of Aspergillus alliaceus. The anti-CCK

activity of 11 prepared compds. was tested using the pancreas CCK receptor-binding method and the IC50 (µM) for each is reported. Thus, 268 mg 7β -[[2,3,9,9a α -tetrahydro-9 α -hydroxy-2-(2methylpropyl)-3-oxo-1H-imidazo[1,2-a]indol-9-yl]methyl]quinazolino[3,2-a]-1,4-benzodiazepine-5,13(6H,7H)-dione was reacted overnight with Ac2O to yield 50 mg 7-[[1-acetyl-2,3,9,9a α -tetrahydro-9 α -hydroxy-2-(2methylpropyl)-3-oxo-1H-imidazo[1,2-a]indol-9-yl]methyl]quinazolino[3,2-a]-1,4-benzodiazepine-5,13(6H,7H)-dione.

IT 102743-49-7P 102743-50-0P 102743-51-1P 102743-52-2P 102743-53-3P 102743-54-4P 102743-55-5P 102743-56-6P 102743-57-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as cholecystokinin antagonist)

RN 102743-49-7 CAPLUS

CN Quinazolino [3,2-a][1,4] benzodiazepine-5,13-dione, 7-[[1-acetyl-2,3,9,9atetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1H-imidazo[1,2-a]indol-9yl]methyl]-6,7-dihydro-, $[2S-[2\alpha,9\beta,9(R^*),9a\beta]]-(9CI)$ (CA INDEX NAME)

RN 102743-50-0 CAPLUS

CN Quinazolino[3,2-a][1,4]benzodiazepine-5,13-dione, 7-[[1-ethyl-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1H-imidazo[1,2-a]indol-9-yl]methyl]-6,7,7a,8-tetrahydro- (9CI) (CA INDEX NAME)

RN 102743-51-1 CAPLUS

CN 1H-Imidazo[1,2-a]indole-1-carboxylic acid, 2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-9-[[(7S)-5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl]methyl]-, phenylmethyl ester, (2S,9S,9aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 102743-52-2 CAPLUS

CN Quinazolino[3,2-a][1,4]benzodiazepine-5,13-dione, 6,7,7a,8-tetrahydro-7-[[2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1-(3-phenylpropyl)-1H-imidazo[1,2-a]indol-9-yl]methyl]-, [2S-[2 α ,9 β ,9(7R*,7aR*),9a β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 102743-53-3 CAPLUS

CN Carbamic acid, [2-[9-[(5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1H-imidazo[1,2-a]indol-1-yl]-2-oxo-1-[[3-(phenylmethoxy)phenyl]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 102743-54-4 CAPLUS

CN Carbamic acid, [2-[9-[(5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1H-imidazo[1,2-a]indol-1-yl]-1-[(3-hydroxyphenyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 102743-55-5 CAPLUS

CN Carbamic acid, [5-[[(1,1-dimethylethoxy)carbonyl]amino]-6-[9-[(5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1H-imidazo[1,2-a]indol-1-yl]-6-oxohexyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 102743-56-6 CAPLUS

Carbamic acid, $[4-[[(1,1-dimethylethoxy)carbonyl]amino]-5-[2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-9-[(5,6,7,13-tetrahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-1H-imidazo[1,2-a]indol-1-yl]-5-oxopentyl]-, phenylmethyl ester, [2S-[1(R*),2<math>\alpha$,9 β ,9(R*),9a β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 102743-57-7 CAPLUS

CN Carbamic acid, [4-[[(1,1-dimethylethoxy)carbonyl]amino]-5-[9-[(5,6,7,7a,8,13-hexahydro-5,13-dioxoquinazolino[3,2-a][1,4]benzodiazepin-7-yl)methyl]-2,3,9,9a-tetrahydro-9-hydroxy-2-(2-methylpropyl)-3-oxo-1H-imidazo[1,2-a]indol-1-yl]-5-oxopentyl]-, phenylmethyl ester, [2S-[1(R*),2\alpha,9\beta,9(7R*,7aR*),9a\beta]]-(9CI) (CA INDEX NAME)

=> fil medline biosis caplus scisearch embase wpids COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 106.49 274.08 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -11.25-11.25

FILE 'MEDLINE' ENTERED AT 18:19:57 ON 22 DEC 2006

FILE 'BIOSIS' ENTERED AT 18:19:57 ON 22 DEC 2006 Copyright (c) 2006 The Thomson Corporation

FILE 'CAPLUS' ENTERED AT 18:19:57 ON 22 DEC 2006 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'SCISEARCH' ENTERED AT 18:19:57 ON 22 DEC 2006 Copyright (c) 2006 The Thomson Corporation

FILE 'EMBASE' ENTERED AT 18:19:57 ON 22 DEC 2006 Copyright (c) 2006 Elsevier B.V. All rights reserved.

FILE 'WPIDS' ENTERED AT 18:19:57 ON 22 DEC 2006 COPYRIGHT (C) 2006 THE THOMSON CORPORATION

=> e shubh sharma/au

E1	2	SHUBEVSKA S/AU
E2	1	SHUBEYEV I/AU
E3	0	> SHUBH SHARMA/AU
E4	13	
E5	. 1	SHUBHA A B/AU
E6	5	SHUBHA B K/AU
E7	10	SHUBHA B S/AU
E8	5	SHUBHA G/AU
E9	2	SHUBHA G N/AU
E10	2	SHUBHA J P/AU
E11	12	SHUBHA K/AU
E12	12	SHUBHA K P/AU

```
=> e shubh s?/au
E1
            2
                   SHUBEVSKA S/AU
E2
             1
                   SHUBEYEV I/AU
E3
            0 --> SHUBH S?/AU
E4
            13
                   SHUBHA/AU
            1
E5 :
                   SHUBHA A B/AU
E6
            5
                   SHUBHA B K/AU
Ę7
           10
                   SHUBHA B S/AU
           5
E8
                   SHUBHA G/AU
            2
E9
                   SHUBHA G N/AU
            2
                   SHUBHA J P/AU
E10
            12
E11
                   SHUBHA K/AU
            12
E12
                   SHUBHA K P/AU
=> e sharma shubh?/au
             2
                   SHARMA SHUBH DEV/AU
E2
             3
                   SHARMA SHUBH S/AU
E3
            0 --> SHARMA SHUBH?/AU
                   SHARMA SHUBHADA/AU
E4
            15
                   SHARMA SHUBHANGNA/AU
E5
             3
                   SHARMA SHUBHARA/AU
E6
             1
            8
E7
                   SHARMA SHUBHRA/AU
E8
             1
                   SHARMA SHUBRA/AU
E9
             9
                   SHARMA SHUKRITI/AU
E10
             1
                   SHARMA SHUNIL/AU
E11
             1
                   SHARMA SHUSHIL/AU
E12
             1
                   SHARMA SHUSHIL K/AU
=> e1-38
'E38' NOT FOUND
The E# entered is not currently defined.
=> e1-e8
           130 ("SHARMA SHUBH DEV"/AU OR "SHARMA SHUBH S"/AU OR "SHARMA SHUBH?"
T.5
               /AU OR "SHARMA SHUBHADA"/AU OR "SHARMA SHUBHANGNA"/AU OR "SHARMA
               SHUBHARA"/AU OR "SHARMA SHUBHRA"/AU OR "SHARMA SHUBRA"/AU)
=> melan? and 15
            70 MELAN? AND L5
L6
=> dub rem 16
MISSING OPERATOR REM L6
The search profile that was entered contains terms or
nested terms that are not separated by a logical operator.
=> dup rem 16
PROCESSING COMPLETED FOR L6
L7
             55 DUP REM L6 (15 DUPLICATES REMOVED)
=> t ti 17 ·
L7
     ANSWER 1 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
TI
     Melanocortin metallopeptide constructs, combinatorial libraries
     and applications.
```

- => t ti 17 1-55
- L7 ANSWER 1 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
- TI Melanocortin metallopeptide constructs, combinatorial libraries and applications.
- L7 ANSWER 2 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

- TI Cyclic peptide melanocortin-4 receptor antagonists for the treatment of cachexia and other disorders
- L7 ANSWER 3 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Cyclic peptide melanocortin-4 receptor antagonists for the treatment of cachexia and other disorders
- L7 ANSWER 4 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Preparation of bicyclic melanocortin-specific compounds
- L7 ANSWER 5 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI α -MSH-, γ -MSH-, and bombesin-derived metallopeptide compounds
- L7 ANSWER 6 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Phosphodiesterase V inhibitor combination with melanocortin 3 and/or 4 receptor agonist for treatment of sexual dysfunction
- L7 ANSWER 7 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Substituted melanocortin receptor-specific piperazine compounds
- L7 ANSWER 8 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Metallopeptide compositions for treatment of sexual dysfunction
- L7 ANSWER 9 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Naphthalene-containing melanocortin receptor-specific small molecule
- L7 ANSWER 10 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Preparation of thieno[2,3-d]pyrimidine-2,4-diones as melanocortin receptor modulators
- L7 ANSWER 11 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN.
- TI Identification of target-specific folding sites in proteins using metallopeptide derivatives of sequences of interest
- L7 ANSWER 12 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Melanocortin metallopeptide constructs, combinatorial libraries, and therapeutic applications
- L7 ANSWER 13 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Knockout identification of target-specific sites in peptides by serial substitution of conformationally restricted metal-complexed residues in metallopeptide analogs
- L7 ANSWER 14 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Peptide composition for treatment of sexual dysfunction
- L7 ANSWER 15 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Preparation of piperazine melanocortin receptor-specific compounds .
- L7 ANSWER 16 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Preparation of pyrrolidine melanocortin-specific compounds
- L7 ANSWER 17 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Preparation of piperazines as melanocortin-specific agonists, antagonists, or mixed agonists and antagonists.
- L7 ANSWER 18 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Bicyclic melanocortin-specific compounds
- L7 ANSWER 19 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Cyclic peptide compositions and methods for treatment of sexual

dysfunction

- L7 ANSWER 20 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Peptidomimetics of biologically active metallopeptides
- L7 ANSWER 21 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Linear and cyclic melanocortin receptor-specific peptides, and therapeutic use
- L7 ANSWER 22 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Melanocortin-4 receptor selective small molecules
- L7 ANSWER 23 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
- TI Melanocortin-4 receptor selective small molecules.
- L7 ANSWER 24 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Identification of target-specific folding sites in peptides and proteins
- L7 ANSWER 25 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Melanocortin metallopeptides for treatment of sexual dysfunction
- L7 ANSWER 26 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Conformationally-restricted N-alkylated amino acid analogs of MT-II to probe the message sequence of $\alpha-$ melanotropin
- L7 ANSWER 27 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
- TI Conformationally restricted N-alkylated amino acid analogs of MT-II to probe the message sequence of alpha-melanotropin.
- L7 ANSWER 28 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Melanocortin metallopeptide constructs, combinatorial libraries, and applications
- L7 ANSWER 29 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Metallopeptide combinatorial libraries synthesis and applications
- L7 ANSWER 30 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Structurally determined metallo-constructs peptides as imaging and diagnostic and radiotherapeutic agents
- L7 ANSWER 31 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Preparation of peptides having potent antagonist and agonist bioactivities at melanocortin receptors
- L7 ANSWER 32 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 1
- TI Prevention of reflex natriuresis after acute unilateral nephrectomy by melanocortin receptor antagonists.
- L7 ANSWER 33 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Preparation and biological activity of cyclic bridged α -MSH analogs
- L7 ANSWER 34 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 2
- TI Biological and conformational examination of stereochemical modifications using the template melanotropin peptide, Ac-Nle-c(Asp-His-Phe-Arg-Trp-Ala-Lys)-NH-2, on human melanocortin receptors.
- L7 ANSWER 35 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 3
- TI Selectivity of cyclic (D-Nal-7) and (D-Phe-7) substituted MSH analogues

- for the melanocortin receptor subtypes.
- L7 ANSWER 36 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 4
- TI Characterisation of D117A and H260A mutations in the melanocortin 1 receptor.
- L7 ANSWER 37 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 5
- TI Melanotropic peptide-conjugated beads for microscopic visualization and characterization of melanoma melanotropin receptors.
- L7 ANSWER 38 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
- TI Melanocortin antagonists define two distinct pathways of cardiovascular control by alpha- and gamma-melanocyte -stimulating hormones.
- L7 ANSWER 39 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Melanotropic peptide receptors: membrane markers of human melanoma cells
- L7 ANSWER 40 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 6
- TI Human epidermal melanocyte and keratinocyte melanocortin receptors: Visualization by melanotropic peptide conjugated microspheres (Latex beads).
- L7 ANSWER 41 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 7
- TI Melanocortin receptors: Identification and characterization by melanotropic peptide agonists and antagonists.
- L7 ANSWER 42 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 8
- TI Cyclic Lactam alpha-Melanotropin Analogues of Ac-Nle-4-cyclo(Asp-5,D-Phe-7,Lys-10) alpha-Melanocyte -Stimulating Hormone-(4-10)-NH-2 with Bulky Aromatic Amino Acids at Position 7 Show High Antagonist Potency and Selectivity at Specific Melanocortin Receptors.
- LT ANSWER 43 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 9
- TI Design, synthesis, biology, and conformations of bicyclic alphamelanotropin analogues.
- L7 ANSWER 44 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 10
- TI The melanotropic peptide, (Nle-4, D-Phe-7)alpha-MSH, stimulates human melanoma tyrosinase activity and inhibits cell proliferation.
- L7 ANSWER 45 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 11
- TI Preformulation studies with melanotan-II: A potential skin cancer chemopreventive peptide.
- L7 ANSWER 46 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 12
- TI Multivalent melanotropic Peptide and Fluorescent Macromolecular Conjugates: New Reagents for Characterization of Melanotropin Receptors.

- L7 ANSWER 47 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 13
- TI Kinetics of degradation of a cyclic lactam analog of alphamelanotropin (MT-II) in aqueous solution.
- L7 ANSWER 48 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 14
- TI Melanotropic peptides for therapeutic and cosmetic tanning of the skin.
- L7 ANSWER 49 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Melanotropic peptides and melanoma cell receptors
- L7 ANSWER 50 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI A new class of positively charged melanotropin analogs: a new concept in peptide design
- L7 ANSWER 51 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Melanotropic peptides for the identification, localization (imaging) and chemotherapy of melanoma
- L7 ANSWER 52 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 15
- TI Design, synthesis, and conformation of superpotent and prolonged acting melanotropins.
- L7 ANSWER 53 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Multivalent ligands for diagnosis and therapeutics
- L7 ANSWER 54 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Design of different conformational isomers of the same peptide: $\alpha-$ melanotropin
- L7 ANSWER 55 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Antisense peptides of melanocyte-stimulating hormone (MSH): surprising results

=> d ibib abs 17 1-55

L7 ANSWER 1 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 2006:589657 BIOSIS DOCUMENT NUMBER: PREV200600593265

TITLE: Melanocortin metallopeptide constructs,

combinatorial libraries and applications.
Anonymous; Sharma, Shubh D. [Inventor]; Shi,

AUTHOR(S): Anonymous; Sharma, Shubh D. [Inventor]; Shi, Yiqun [Inventor]; Yang, Wei [Inventor]; Cai, Hui-Zhi

[Inventor]

CORPORATE SOURCE: Cranbury, NJ USA

Cranbury, NJ USA ASSIGNEE: Palatin Technologies Inc

PATENT INFORMATION: US 07049398 20060523

PAIENT INFORMATION: 05 0/049396 20060323

SOURCE: Official Gazette of the United States Patent and Trademark .

Office Patents, (MAY 23 2006) CODEN: OGUPE7. ISSN: 0098-1133.

DOCUMENT TYPE: Patent LANGUAGE: English

ENTRY DATE: Entered STN: 8 Nov 2006

Last Updated on STN: 8 Nov 2006

AB Metallopeptides and metallopeptide combinatorial libraries specific for melanocortin receptors are provided, for use in biological, pharmaceutical and related applications. The metallopeptides and combinatorial libraries are made of peptides, peptidomimetics and

peptide-like constructs, in which the peptide, peptidomimetic or construct is conformationally fixed on complexation of a metal ion-binding portion thereof with a metal ion.

ANSWER 2 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2006:52833 CAPLUS

DOCUMENT NUMBER:

144:143091

TITLE:

Cyclic peptide melanocortin-4 receptor

antagonists for the treatment of cachexia and other

disorders

INVENTOR(S):

Sharma, Shubh D.; Rajpurohit, Ramesh;

Shadiack, Annette M.; Shi, Yi-Qun; Burris, Kevin D.

PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA

SOURCE:

U.S. Pat. Appl. Publ., 23 pp., Cont.-in-part of 1; U.S.

Ser. No. 638,071.

CODEN: USXXCO

DOCUMENT TYPE:

Patent English

LANGUAGE:

7

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	CENT 1	NO.			KIN		DATE			APPL	I CAT	ion i	NO.		Dž	ATE	
WO	2006 2003 2003	0066	20		A1 A2 A3		2006 2003 2003	0119 0123		US 2 WO 2						0050° 0020°	
,,,	W:			ΔТ.					RΔ	BB,	B.C.	BD	RV	B 7	CA	СĦ	CM
	VI .									EC,							
										KE,							
										MN,			•				
										TJ,							
					ZA,		SI,	SK,	ъь,	10,	1141,	IK,	11,	14,	UA,	uu,	05,
	DW.	,		•			1.477	C D	СТ	C F	mø	110	734	77.77	71.16	7.17	DV
	RW:									SZ,							
										BG,							
										NL,					Br,	BJ,	Cr.,
***	2004			CM,						MR,				TG	•	0000	200
	2004				A1		2004			US 2						0030	
WO	2006				A2		2006			WO 2					_	0050	
	W:									BB,				-	-	-	
										DZ,							
										IS,							
					-					MD,					•		
				-	•					PT,		•	•	•	•	•	•
		SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,
			ZM,														
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	· RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
		GM,	KE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	ŪG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	ΚZ,	MD,	RU,	ТJ,	TM										
PRIORIT	Y APP	LN.	INFO	.:						US 2	001-	3048	36P		P 2	0010	711
								•		WO 2	002-	US22.	196		A2 2	0020	711
•										US 2	003-	6380	71		A2 2	0030	808
•										US 2					P 2	0040	706
										US 2					A2 2	0000	628
										US 2					A2 2		
OTHER SO	OURCE	(S):			MAR	PAT	144:	1430									

. GI

AB The invention discloses highly selective melanocortin-4 receptor antagonist cyclic hexapeptides I [R1 = H, NH2, etc.; R2 = C(O)NH, NHC(O), S, SS; R3 = 4-imidazolyl, 3-indolyl; R4a, R4b (when present) = OH, halo, etc.; R5 = NH2, NH(C=NH)NH2; R6 = (un)substituted 1- or 2-naphthyl, (un)substituted 3-indolyl; R7 = OH, N(R11)(R12); R11, R12 = H, C1-4 linear or branched alkyl (with proviso); x = 1-4; y = 1-5 (x + y = 2-7); z = 2-5], as well as a method for treating body weight disorders, including cachexia, sarcopenia and wasting syndrome or disease, and treating inflammation and immune disorders.

L7 ANSWER 3 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2006:52895 CAPLUS

DOCUMENT NUMBER:

144:143092

TITLE:

Cyclic peptide melanocortin-4 receptor

antagonists for the treatment of cachexia and other

disorders

INVENTOR(S):

Sharma, Shubh D.; Rajpurohit, Ramesh;

Shadiack, Annette M.; Shi, Yi-Qun; Burris, Kevin D.

PATENT ASSIGNEE(S):

Palatin Technologies, Inc., USA

SOURCE:

U.S. Pat. Appl. Publ., 19 pp., Cont.-in-part of U.S.

Ser. No. 638,071.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PAT	ENT 1	NO.			KIN	D :	DATE		1	APPL	ICAT:	ION I	NO.		D	ATE	
WO	2006 2003 2003	0066	20		A1 A2 A3		2006 2003 2003	0123	. 1	US 2 WO 2			51 196		_	0050	
	W: AE, AG, AI CO, CR, CU GM, HR, HU LS, LT, LU RO, RU, SI UZ, VN, YU		CU, HU, LU, SD,	AM, CZ, ID, LV, SE,	AT, DE, IL, MA, SG,	AU, DK, IN, MD,	AZ, DM, IS, MG,	BA, DZ, JP, MK,	EC, KE, MN,	EE, KG, MW,	ES, KP, MX,	FI, KR, MZ,	GB, KZ, NO,	GD, LC, NZ,	GE, LK, PL,	GH, LR, PT,	
	RW:	GH, KG, FI,	GM, KZ, FR,	KE, MD, GB,	LS, RU, GR,	MW, TJ, IE,	MZ, TM, IT, GQ,	AT, LU,	BE, MC,	BG, NL,	CH, PT,	CY, SE,	CZ, SK,	DE, TR,	DK,	EE,	ES,

```
20040715
     US 2004138136
                               A1
                                                     US 2003-638071
                                                                                 20030808
     WO 2006014552
                                                     WO 2005-US24125
                              A2
                                      20060209
                                                                                 20050706
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
               CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
               GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
               ZA, ZM, ZW
          RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
               IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
               CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
               GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
               KG, KZ, MD, RU, TJ, TM
                                                     WO 2005-US24138
     WO 2006014559 A2
                                       20060209
                                                                                20050706
     WO 2006014559
                              A3
                                      20061207
               AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
               CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
               GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
               LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
               NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
               SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
               ZA, ZM, ZW
          RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
               IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
               KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.:
                                                     US 2001-304836P
                                                                              P 20010711
                                                     WO 2002-US22196
                                                                              A2 20020711
                                                     US 2003-638071
                                                                             A2 20030808
                                                     US 2004-585971P
                                                                             P 20040706
                                                     US 2000-606501
                                                                             A2 20000628
                                                     US 2002-40547
                                                                             A2 20020104
                                                     US 2005-174851
                                                                             A 20050705
OTHER SOURCE(S):
                              MARPAT 144:143092
GI
```

Ι

AB The invention discloses highly selective melanocortin-4 receptor antagonist cyclic hexapeptides I [R1 = H, NH2, R6C(0)NH; R2 = C(0)NH, NHC(0), S; R3a, R3b (when present) = OH, halo, alkyl, etc.; R4 = NH2, NH(C=NH)NH2; R5 = (un) substituted 1- or 2-naphthyl, (un) substituted 3-indolyl; R6 = H, NH2, etc.; x = 1-4; y = 1-5 (x + y = 2-7); z = 2-5], as well as a method for treating body weight disorders, including cachexia, sarcopenia and wasting syndrome or disease, and treating inflammation and immune disorders.

L7 ANSWER 4 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:961972 CAPLUS

DOCUMENT NUMBER: 143:248665

TITLE: Preparation of bicyclic melanocortin

-specific compounds

INVENTOR(S): Sharma, Shubh D.; Shi, Yi-Qun; Wu, Zhijun;

'Rajpurohit, Ramesh

PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA

SOURCE: PCT Int. Appl., 82 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.					KIN	D :	DATE			APPL	ICAT:	ION I	.00		D	ATE		
	WO	2005	0795	74		A1	-	2005	0901	1	WO 2	004-1	ບຣ15	 05		2	0040	121	
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
			-	-	-	HR,	-				•					•		•	
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
			NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
			ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	·ZM,	ZW	
		RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	
			BY,	KG,	KZ,	MĎ,	RU,	ТJ,	TM,	AT,	ΒE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	
			ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	
			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG
PRIO	PRIORITY APPLN. INFO.:									1	WO 2	004-1	US15	05		2	0040	121	
OTHE	OTHER SOURCE(S):						PAT	143:	2486	65									
GI	GI																		

$$\begin{array}{c|c}
R^2 & X & N & R^1 \\
R^3 & X_1 & & & & \\
\end{array}$$

II

The invention discloses melanocortin receptor (MC-R)-specific bicyclic compds. having the structure I [R1 is L1-J, where L1 is a linker and J is a ring structure; R2 is (CH2)1-6-W, where W is a heteroarom. unit with at least one cationic center, hydrogen bond donor or acceptor in which at least one atom is N; R3 is L2-Q, where L2 is a linker and Q is (un)substituted Ph or naphthyl: X = CH2 or CO; X1 is null or CH2], or stereoisomers or pharmaceutically-acceptable salts, which are agonists, antagonists or mixed agonists and antagonists at one or more melanocortin receptors and have utility in the treatment of melanocortin receptor-related disorders and conditions. Thus, pyrroloimidazolyl peptide II was prepared and assayed for competitive binding against 128I-NDP- α -MSH (90, 14, 81 and 86% inhibition for MC1-R, MC3-R, MC4-R and MC5-R, resp., at 1 μ M).

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:1333961 CAPLUS

DOCUMENT NUMBER:

144:64389

TITLE:

 α -MSH-, γ -MSH-, and bombesin-derived

metallopeptide compounds

INVENTOR(S):

Sharma, Shubh D.; Shi, Yi-Qun; Rajpurohit, Ramesh; Cai, Hui-Zhi; Bastos, Margarita

PATENT ASSIGNEE(S):

Palatin Technologies, Inc., USA

SOURCE:

U.S. Pat. Appl. Publ., 43 pp., Cont.-in-part of U.S.

Ser. No. 769,695.

CODEN: USXXCO

DOCUMENT TYPE:

Patent ·

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	CENT I	NO.			KIN		DATE		1	APPL	ICAT:	ION 1	10.		D	ATE	
WO	2005: 2002: 2002:	0647	34		A1 A2		2002	0822			005-: 001 - :				-	0050° 00112	
	2005	CO, GM, LS, RO, UZ, GH, KG, GR, GN,	CR, HR, LT, RU, VN, GM, KZ, IE, GQ,	CU, HU, LU, SD, YU, KE, MD, IT, GW,	CZ, ID, LV, SE, ZA, LS, RU, LU, ML,	DE, IL, MA, SG, ZW MW, TJ, MC, MR,	DK, IN, MD, SI, MZ, TM, NL, NE, 2005	DM, IS, MG, SK, SD, AT, PT, SN,	DZ, JP, MK, SL, SL, SE, TD,	EC, KE, MN, TJ, SZ, CH, TR, TG		ES, KP, MX, TR, UG, DE, BJ,	FI, KR, MZ, TT, ZM, DK, CF,	GB, KZ, NO, TZ, ZW, ES, CG,	GD, LC, NZ, UA, AM, FI, CI,	GE, LK, PL, UG, AZ, FR, CM,	GH, LR, PT, US, BY, GB, GA,
US PRIORIT		2482 LN.	INFO	. :						US 2 US 2 WO 2 US 2 US 2 US 2 US 2 US 2	004- 000- 001- 001- 003- 003- 004-	25684 3048; 3278; US50 4441; 4641; 7696; 5909;	42P 35P 35P 075 29P 17 95	. :	P 2 P 2 A2 2 P 2 A2 2 A2 2	0011; 0030; 0030; 0040; 0040;	219 711 004 219 131 617

AB The invention discloses metallopeptides with a sequence of a biol. active α -MSH, γ -MSH, or bombesin sequence of length n residues, wherein a residue including a nitrogen atom and sulfur atom each available for complexation to a metal ion is inserted at any position from between the two and three position to the C-terminus side of the n position, or alternatively is substituted for the residue at any position from the

three position to the n position, with a metal ion complexed thereto, with any proline residue which is either of the two residues on the immediately adjacent amino-terminus side of the inserted or substituent residue comprising a nitrogen atom and sulfur atom available for complexation to a metal ion is substituted with a homolog. In one embodiment, the metal atom is rhenium.

L7 ANSWER 6 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:1078201 CAPLUS

DOCUMENT NUMBER:

143:319194

TITLE:

Phosphodiesterase V inhibitor combination with melanocortin 3 and/or 4 receptor agonist for

treatment of sexual dysfunction

INVENTOR(S):

Diamond, Lisa E.; Earle, Dennis; Shadiack, Annette M.;

Sharma, Shubh D.; Spana, Carl

PATENT ASSIGNEE(S):

Palatin Technologies, Inc., USA

SOURCE:

U.S. Pat. Appl. Publ., 20 pp., Cont.-in-part of U.S.

DATE

Ser. No. 638,071. CODEN: USXXCO ·

DATE

DOCUMENT TYPE:

Patent

LANGUAGE:

English

KIND

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.

```
APPLICATION NO.
                                20051006
    US 2005222014
                         A1
                                           US 2005-139730
                                                                   20050526
    US 6579968
                         В1
                                20030617
                                           US 2000-606501
                                                                   20000628
    EP 1593384
                         A2
                                20051109
                                            EP 2005-75914
                                                                   20000629
    EP 1593384
                         A3
                                20060426
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, FI, CY
    US 2002107182
                                20020808
                                           US 2002-40547
                         A1.
                                                                   20020104
    US 6794489
                         B2
                                20040921
    US 2004138136
                         Α1
                                20040715
                                            US 2003-638071
                                                                   20030808
    WO 2005117935
                                                                 20050527
                         A1
                                20051215
                                            WO 2005-US18739
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
            LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
            NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
            SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
            ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
            RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
            MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:
                                           US 1999-142346P
                                                                P 19990629
                                                                P 20000405
                                            US 2000-194987P
                                            US 2000-606501:
                                                                A2 20000628
                                            US 2002-40547
                                                                A2 20020104
                                            US 2003-638071
                                                                A2 20030808
                                            US 2004-575082P
                                                                P 20040527
                                            EP 2000-950283
                                                                A3 20000629
                                            WO 2002-US22196
                                                                A 20020711
                                            US 2005-139730
                                                                A 20050526
```

AB The invention discloses a multiple agent therapy for treatment of sexual dysfunction, including male erectile dysfunction, with sequential administration of a type V phosphodiesterase (PDE-5) inhibitor, e.g. sildenafil, preferably where the PDE-5 inhibitor is administered by oral dose means, and a melanocortin 3 and/or 4 receptor agonist, e.g. Ac-Nle-cyclo(-Asp-His-D-Phe-Arg-Trp-Lys)-OH (PT-141), preferably wherein the PT-141 is formulated for and administered by intranasal means, and further preferably wherein the PDE-5 inhibitor is administered prior to PT-141.

L7 ANSWER 7 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:735324 CAPLUS

DOCUMENT NUMBER:

143:211935

TITLE:

Substituted melanocortin receptor-specific

piperazine compounds

INVENTOR(S):

Sharma, Shubh D.; Shi, Yi-qun; Rajpurohit,

Ramesh; Wu, Zhijun

PATENT ASSIGNEE(S):

Palatin Technologies, Inc., USA

SOURCE:

U.S. Pat. Appl. Publ., 43 pp., Cont.-in-part of U.S.

Ser. No. 837,519.

CODEN: USXXCO

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

```
PATENT NO.
                                            APPLICATION NO.
                         KIND
                                DATE
                                                                   DATE
                         ____
                                _____
                                            _____
    US 2005176728
                         A1
                                20050811
                                            US 2005-99814
                                                                   20050405
    WO 2003013571
                         A1
                                20030220
                                            WO 2002-US25574
                                                                   20020812
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
    US 2004157264
                                20040812
                                            US 2004-762079
                         Α1
                                                                   20040121
    WO 2005102340
                                20051103
                         A1
                                            WO 2004-US1462
                                                                   20040121
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
        W:
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
            TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2004224957
                         A1
                                20041111
                                            US 2004-837519
                                                                   20040430
PRIORITY APPLN. INFO.:
                                                                P 20010810
                                            US 2001-311404P
                                            WO 2002-US25574
                                                                A2 20020812
                                            US 2003-467442P
                                                                Ρ
                                                                   20030501
                                            US 2003-474497P
                                                                P
                                                                   20030530
                                            US 2004-762079
                                                                A2 20040121
                                            US 2004-546393P
                                                                Ρ
                                                                   20040219
                                            US 2004-559741P
                                                                Ρ
                                                                   20040405
                                            US 2004-563739P
                                                                P
                                                                   20040419
                                            US 2004-837519
                                                                A2 20040430
OTHER SOURCE(S):
                        MARPAT 143:211935
GI
```

AB Melanocortin receptor-specific compds. of the general formulas I and II and pharmaceutically acceptable salts thereof, where J is a substituted or unsubstituted monocyclic or bicyclic ring structure; L is a linker; W is a heteroatom unit with at least one cationic center, hydrogen bond donor or hydrogen bond acceptor; Q includes a substituted or unsubstituted aromatic carbocyclic ring; R6 = H, :O, :S or CH3; R7 = NH2, NH-R8, or R8-N-R8; R8 = C1 to C6 linear or branched chain or an amine capping group, and where there are two R8 groups, each R8 is independently a C1 to C6 linear or branched chain or an amine capping group; y = 0-6; and z = 0-6, and the carbon atom marked with an asterisk can have any stereochem. configuration, and optionally with one or two addnl. ring substituents, which compds. bind to one or more melanocortin receptors and are optionally an agonist, a partial agonist, an antagonist, an inverse agonist or an antagonist of an inverse agonist, and may be employed for treatment of one or more melanocortin receptor-associated conditions or disorders, and methods for the use of the compds. of the invention.

L7 ANSWER 8 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:672855 CAPLUS

DOCUMENT NUMBER:

143:166711

TITLE:

Metallopeptide compositions for treatment of sexual

dysfunction

INVENTOR(S):

Sharma, Shubh; Shadiack, Annette M.; Yang,

Wei; Rajpurohit, Ramesh

PATENT ASSIGNEE(S):

Palatin Technologies, Inc., USA

SOURCE:

U.S. Pat. Appl. Publ., 17 pp., Cont.-in-part of U.S.

Ser. No. 640,755.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 6

PAT	ENT 1	10.			KIN	D	DATE		1	APPL	ICAT:	ION 1	NO.		D	ATE	
US	2005	1649:	 14		A1		2005	<u>-</u>	1	US 2	005-	3627:	 3		2	0050	 114
US	5891	418			Α		1999	0406	1	US 1	995-	4766	52		19	9950	607
US	6027	711			Α		2000	0222	1	US 1	996-	6606	97		1	9960	605
WO	2002064091 2002064091				A2		2002	0822	1	WO 2	002-1	JS44	31		2	00202	213
WO					A3		2003	0313									
	W: ·	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
											EE,						
											KG,						
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,
		RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,
		UZ,	VN,	YU,	ZA,	ZW									•		
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,
											TT.						

```
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
    US 2004038897
                        A1
                              20040226
                                          US 2003-640755
                                                                 20030813
PRIORITY APPLN. INFO.:
                                          US 1995-476652
                                                              A2 19950607
                                          US 1996-660697
                                                              A3 19960605
                                          US 2000-483837
                                                              A2 20000117
                                          US 2001-268591P
                                                             P 20010213
                                          WO 2002-US4431
                                                              A1 20020213
                                          US 2003-640755
                                                              A2 20030813
                                          US 2004-536691P
                                                              P 20040114
                        MARPAT 143:166711
```

OTHER SOURCE(S):

Metallopeptide compns. are provided for treatment of sexual dysfunction in mammals, including male sexual dysfunction, such as erectile dysfunction, and female sexual dysfunction. The metallopeptides include at least one, and preferably two, aromatic amino acid side chain moieties, and are further characterized in that the metallopeptides preferably do not bind or significantly bind to a melanocortin receptor. Preparation of the metallopeptides is described.

ANSWER 9 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:527392 CAPLUS

DOCUMENT NUMBER:

143:20084

TITLE:

Naphthalene-containing melanocortin receptor-specific small molecule

INVENTOR(S):

Sharma, Shubh D.; Shadiack, Annette M.; Shi,

Yi-Qun; Wu, Zhijun; Rajpurohit, Ramesh; Burris, Kevin;

Purma, Papireddy

PATENT ASSIGNEE(S):

Palatin Technologies, Inc., USA

SOURCE:

U.S. Pat. Appl. Publ., 23 pp., Cont.-in-part of U.S.

Ser. No. 837,519.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACG. NUM. COUNT:

PATENT INFORMATION: DAMPNM NO

PAT	CENT	NO.			KIN	D	DATE			APPL:	I CAT	ION 1	. O <i>v</i>						
	2005				A1					US 2		–	_			0050			
WO	2003									WO 2					_	0020			
	W:									BB,									
										EC,									
										KE,									
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	ΝZ,	PL,	PT,		
		RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	ŪG,	US,		
		UΖ,	VN,	ΥU,	ZA,	ZW													
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŪG,	ZM,	ZW,	ΑT,	BE,	BG,		
		CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,		
		PT,	SE,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,		
				TD,															
US	2004	1521	34		A1		2004	0805		US 2	004-	7618	89		2	0040	121		
US	2004	1572	64		A1		2004	0812		US 2	004-	7620	79		2	0040	121		
WO	2005	1023	40		A1		2005	1103		WO 2	004-1	US14	62		2	0040	121		
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
										DZ,									
										IS,									
										MG,									
										RU,									
										US,									
	RW:									SL,									
										BE,									
										LU,									
																		-	
110	2004																		
U.J	2004	2243	<i>J</i> /		ΛI		2004	***		03 2	004-	03/3	エフ		, NE, SN, TD, 5 20040430				

```
PRIORITY APPLN. INFO.:
                                            US 2001-311404P
                                                                 P 20010810
                                            WO 2002-US25574
                                                                 A2 20020812
                                                                 Р
                                            US 2003-467442P
                                                                    20030501
                                            US 2003-474497P
                                                                 Р
                                                                    20030530
                                            US 2004-536606P
                                                                 Ρ
                                                                    20040114
                                            US 2004-761889
                                                                 A2 20040121
                                            US 2004-762079
                                                                 A2 20040121
                                            US 2004-546393P
                                                                 Ρ
                                                                    20040219
                                            US 2004-559741P
                                                                 Ρ
                                                                    20040405
                                             US 2004-563739P
                                                                    20040419
                                             US 2004-837519
                                                                 A2 20040430
                         MARPAT 143:20084
OTHER SOURCE(S):
     A method of modulating energy homeostasis in a mammal without eliciting a
     sexual response by administration of a therapeutically effective amount of a
     pharmaceutical composition including a melanocortin receptor compound
     of the formula I (where R1 = a bond or a linker unit including from one to
     six backbone atoms and an unsubstituted naphthalene group, L = a
     conformationally restricted ring system consisting of a single ring or
     bicyclic nonarom. carbocyclic ring system, etc., R2= -(CH2)4NH2,-
     (CH2) 3NHC(NH2)=NH, etc., R3 = L-or D-isomer of Phe, Phe(4-F), Phe(4-Br),
     etc., and Rx = H, C-C6 aliphatic linear chain, etc.).
     ANSWER 10 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                         2005:497489 CAPLUS
DOCUMENT NUMBER:
                         143:26632
TITLE:
                         Preparation of thieno[2,3-d]pyrimidine-2,4-diones as
                         melanocortin receptor modulators
                         Sharma, Shubh D.; Shi, Yiqun
INVENTOR(S):
                         Palatin Technologies, Inc., USA
PATENT ASSIGNEE(S):
SOURCE:
                         U.S. Pat. Appl. Publ., 16 pp., Cont.-in-part of U.S.
                         Ser. No. 837,519.
                         CODEN: USXXCO
DOCUMENT TYPE:
                         Patent
                         English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                         KIND
                                DATE
                                             APPLICATION NO.
                                                                    DATE
                          A1
                                20050609
   . US 2005124636
                                             US 2005-40838
                                                                    20050121
                                            WO 2002-US25574
     WO 2003013571
                          A1
                                20030220
                                                                    20020812
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
```

```
RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
       UZ, VN, YU, ZA, ZW
   RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
       CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
        PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
       NE, SN, TD, TG
US 2004152134
                           20040805
                                       US 2004-761889
                                                               20040121
                     Α1
US 2004157264
                     A1
                           20040812
                                       US 2004-762079
                                                               20040121
WO 2005102340
                    A1
                           20051103
                                       WO 2004-US1462
                                                               20040121
       AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
        CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
        GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
        LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
       NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
        TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
    RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
        BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
        ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
```

TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 2004-837519 US 2004224957 20041111 A1 20040430 PRIORITY APPLN. INFO.: US 2001-311404P 20010810 Р WO 2002-US25574 A2 20020812 US 2003-467442P Ρ 20030501 US 2003-474497P Ρ 20030530 US 2004-538100P Р 20040121 US 2004-761889 A2 20040121 US 2004-762079 A2 20040121 US 2004-546393P 20040219 US 2004-837519 A2 20040430

MARPAT 143:26632

AB Title compds. I [R1 = L1-J; R2 = L2-W; R3 = L3-T; R4 = L4-Q; L1 = bond orlinker with provisos; J = carbocyclic ring group comprising at least one aromatic ring; L2 = (CX)m; W = (un) substituted aromatic carbocyclic ring, non-aromatic carbocyclic ring, aromatic fused carbocyclic rings, etc.; L3, L4 = (CH2)m; T = heteroatom unit with at least one cationic center with provisos; Q = carbocyclic ring comprising at least one aromatic ring; X = H, H2, alkyl; m = 1-6] and their pharmaceutically acceptable salts were prepared For example, 4-chlorobenzyl chloride N-alkylation of pyrimidine II, afforded thienopyrimidinyldione III (no data). Compds. I are claimed to be useful for the treatment of melanocortin receptor-associated disorders.

ANSWER 11 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN L7

ACCESSION NUMBER:

2005:59906 CAPLUS

DOCUMENT NUMBER:

142:148744

TITLE:

Identification of target-specific folding sites in proteins using metallopeptide derivatives of sequences

of interest

INVENTOR(S):

Sharma, Shubh D.; Shi, Yi-qun

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 75 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2005014193	A1	20050120	US 2003-464117		20030617
US 2004248212	A1	20041209	US 2004-769695		20040130
US 2005282739	A1	20051222	US 2005-188552		20050725
PRIORITY APPLN. INFO.:			US 2000-256842P	P	20001219
			US 2001-304835P	. P	20010711
			US 2001-327835P	P	20011004
			WO 2001-US50075	A1	20011219
			US 2003-444129P	P	20030131
			US 2003-464117	A2	20030617
	•		US 2004-769695	A2	20040130
			US 2004-590933P	P	20040723

AB A method of identifying peptides that take up folded conformations and that bind to specific protein target is described. The method involves creating a systematic series of substitution derivs. of the peptide. These derivs. use amino acids or amino acid analogs containing a nitrogen or sulfur atom that can bind to a metal atom. The resulting metallopeptides are then used in binding or functional assays related to the target of interest, and the metallopeptide demonstrating binding or functional activity is selected. The structure of the metallopeptide can then be determined and a novel pharmacophore can be identified. The invention provides for defined pharmacophores of receptors or targets of interest and directed libraries for identification and determination of target-specific folding

sites in peptides and proteins and for identification and determination of pharmacophores of receptors or targets of interest.

ANSWER 12 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2006:425889 CAPLUS

DOCUMENT NUMBER:

144:481641

TITLE:

Melanocortin metallopeptide constructs,

combinatorial libraries, and therapeutic applications

· INVENTOR(S):

Cai, Hui-Zhi; Yang, Wei; Shi, Yi-Qun; Sharma,

Shubh D.

PATENT ASSIGNEE(S):

Palatin Technologies, Inc., USA

SOURCE:

Aust. Pat. Appl., 81 pp.

CODEN: AUXXCM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AU 2005201166	A1	20050505	AU 2005-201166	20050317
PRIORITY APPLN. INFO.:			AU 2000-58742	A3 20000615

AB The present invention relates to metallopeptides, metal ion-complexed peptidomimetics, and metallo-constructs, including metallopeptide combinatorial libraries, metal ion-complexed peptidomimetic and peptide-like combinatorial libraries and metallo-construct combinatorial libraries, specific for melanocortin receptors, including methods for the use and making of the same. The invention also relates to methods for synthesizing and assembling such libraries, and methods for identification and characterization of library constituents which are capable of binding a melanocortin receptor of interest, or

mediating a melanocortin receptor-related biol. activity of interest. Metallopeptides of this invention that are melanocortin receptor 1 specific can be used as radiodiagnostic agents or radiotherapeutic agents when complexed to radionuclides. Metallopeptides of this invention that are melanocortin receptor 1 specific can be used as chemopreventive agents against sun-induced neoplastic activity in human skin. Metallopeptides of this invention that are melanocortin receptor 4 antagonists can also be used as a therapeutic agent in eating disorders.

L7 ANSWER 13 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:740117 CAPLUS

DOCUMENT NUMBER: 141:256945

TITLE: Knockout identification of target-specific sites in

peptides by serial substitution of conformationally restricted metal-complexed residues in metallopeptide

analogs

INVENTOR(S): Sharma, Shubh D.; Shi, Yi-Qun; Rajpurohit,

Ramesh; Bastos, Margarita; Cai, Hui-Zhi

PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA

SOURCE: PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

```
PATENT NO.
                        KIND
                               DATE
                                           APPLICATION NO.
                                                                  DATE
                        ____
                                           ______
                         A2
    WO 2004075830
                               20040910
                                           WO 2004-US2933
                                                                   20040202
                         A3
    WO 2004075830
                               20060928
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
            TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,
            BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,
            MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
            GQ, GW, ML, MR, NE, SN, TD, TG, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
    US 2004248212
                               20041209
                                           US 2004-769695
                         A1
                                                                 20040130
     CA 2516750
                         A1
                                20040910
                                           CA 2004-2516750
                                                                  20040202
     EP 1594442
                                20051116
                                           EP 2004-737267
                         A2
                                                                  20040202
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
PRIORITY APPLN. INFO.:
                                           US 2003-444129P
                                                               P 20030131
                                            US 2004-769695
                                                               A 20040130
                                           US 2000-256842P
                                                                Ρ.
                                                                   20001219
                                           US 2001-304835P
                                                               Ρ
                                                                   20010711
                                           ·US 2001-327835P
                                                               Р
                                                                   20011004
                                           WO 2001-US50075
                                                               A1 20011219
                                           US 2003-464117
                                                                A2 20030617
                                           WO 2004-US2933
                                                               W 20040202
```

AB The invention provides methods for identification and determination of target-specific sites in peptides and proteins, including a method for determining the primary sequence of a secondary structure within a known parent polypeptide that binds to the target of interest. A residue or mimetic containing a nitrogen atom and a sulfur atom available for binding to a metal ion is serially substituted for single residues in or inserted between adjacent residues in a known primary sequence of the peptide or protein. The resulting sequence is complexed with a metal ion thereby forming a metallopeptide with a conformationally fixed and predictable secondary

structure of the residues involved in metal ion complexation. resulting metallopeptides are then used in binding or functional assays related to the target of interest, and the metallopeptide(s) which result in significant or substantially decreased or changed binding or functionality are determined to identify the primary sequence involved in such binding or functionality. The method is exemplified by $\alpha\text{-MSH}$ and bombesin analogs containing L-/D-cysteine insertions or substitutions complexed to the rhenium metal ion, and their binding to their resp. receptors.

ANSWER 14 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN L7

ACCESSION NUMBER: 2004:41502 CAPLUS

DOCUMENT NUMBER: 140:105305

TITLE: Peptide composition for treatment of sexual

dysfunction

INVENTOR(S): Sharma, Shubh D.; Shadiack, Annette M.;

Yang, Wei; Rajpurohit, Ramesh Palatin Technologies, Inc., USA

PATENT ASSIGNEE(S): PCT Int. Appl., 80 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.					KIN	D	DATE		•	APPL:	ICAT	ION 1	NO.		D	ATE	
		2004						2004	0115	1	WO 2	003-	US21	417		2	0030	709
	WO	2004	00532	24		A 3		2004	0325									
		w:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
			PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,
			UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW						
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŪG,	ZM,	ZW,	AM,	AZ,	BY,
			KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES.
			FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
			BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
	ΑU	2003	2488	88		A1		2004	0123		AU 2	003-	2488	88		2	0030	709
	BR	2003	0056	28		A		2004	0908		BR 2	003-	5628			2	0030.	709
	US	2005	1245	53		A1		2005	0609		US 2	005-	3189	8		2	0050	107
PRIOR	PRIORITY APPLN. INFO			.:						US 2	002-	3947	56P		P 2	0020	709	
										,	WO 2	003-	US21	417	. 1	W 2	0030	709

OTHER SOURCE(S): MARPAT 140:105305

Peptides for treatment of sexual dysfunction, including erectile dysfunction and female sexual dysfunction, and combination drugs and method of use thereof, including a peptide of the invention and one or more second sexual dysfunction pharmaceutical agents are disclosed.

ANSWER 15 OF 55 .CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:965987 CAPLUS

DOCUMENT NUMBER:

141:411221

TITLE:

Preparation of piperazine melanocortin

receptor-specific compounds

INVENTOR(S): Sharma, Shubh D.; Shi, Yi-qun; Rajpurohit,

Ramesh; Wu, Zhijun; Purma, Papireddy; Shadiack,

Annette M.; Burris, Kevin D.

PATENT ASSIGNEE(S): SOURCE:

Palatin Technologies, Inc., USA U.S. Pat. Appl. Publ., 69 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

GI

PA	TENT 1	NO.			KIN		DATE			APPL	ICAT	ION :	NO.		:	DATE		
AU	2004 2004 2004 W:	2357 0986 AE, CN, GE, LK, NO, TJ, BW, AZ, EE,	92 02 AG, CO, GH, LR, NZ, TM, GH, BY, ES,	AL, CR, GM, LS, OM, TN, GM, KG,	CU, HR, LT, PG, TR, KE, KZ, FR,	AT, CZ, HU, LU, PH, TT, LS, MD,	DE, ID, LV, PL, TZ, MW, RU, GR,	1118 1118 AZ, DK, IL, MA, PT, UA, MZ, TJ, HU,	BA, DM, IN, MD, RO, UG, NA, TM, IE,	AU 2 WO 2 BB, DZ, IS, MG, RU, US, SD, AT,	EC, JP, MK, SC, UZ, SL, BE, LU,	2357 US13 BR, EE, KE, MN, SD, VC, SZ, BG, MC,	92 803 BW, EG, KG, MW, SE, VN, TZ, CH,	ES, KP, MX, SG, YU, UG, CY, PL,	BZ FI KR MZ SK ZA ZM CZ PT	20040 20040 20040 , CA, , KZ, , NA, , SL, , ZM, , DE, , RO,	503 503 CH, GD, LC, NI, SY, ZW AM, DK, SE,	
			TD,	•	21,	20,	01,	00,	01,	0.1,	01.1	01.7	OQ,	J.,		, 1111,	,	
EP	1622 R:		ממ	CII.			2006				004-			ATT.		20040 , MC,		
	2004 1816	IE, 0106	SI,			F.I,		MK, 0620	CY,	AL, BR 2		ВG, 1069	CZ, 4	EE,	HU	, MC, , PL, 20040 20040	SK, 503	HR
	2006		69		Т		2006				004-					20040		
	2005				A1		2005				005-					20050		
	2005				A1		2005				005-					20050		
	2005				A1		2005				005-					20050		
	2006				A1		2006				006-					20060		
	2006 2006				A1 A1		2006				006-					20060		
PRIORIT					ΑI		2006	1221			006-					20060		
PRIORII	1 AFF	T114 •	INTO	• •							004-					20030 20040		
											001-				_	20040		
											002-					20020		
											003-					20030		
											004-					20040		
					,						004-					20040		
										US 2	004-	7618	89		A2 .	20040	121	
										US 2	004-	7620	79	•	A2	20040	121	
										US 2	004-	5597	41P		P :	20040	405	
											004-					20040		
											004-					20040		
											004-					20040		
										US 2	005-	7074	88P		P	20050	811	
OTHER S	OURCE	(S):			MAR.	PAT	141:	4112	21							٠		

The invention relates to amino acid-derived piperazine compds. I [X is CH2, CO or CS; R1 is -L1-J; one of R2a and R2b is -L2-W and the other is H; R3 is -L3-Q; L1 is a bond or a linker unit comprising from one to eight backbone atoms selected from carbon, sulfur, oxygen or nitrogen; J is a ring structure, e.g., an (un) substituted aromatic or non-aromatic carbocyclic ring; L2 is a bond or (CH2)1-6; W is a heteroatom unit with at least one cationic center, hydrogen bond donor or acceptor (at least one heteroatom is nitrogen or oxygen); L3 is a bond or a linker unit comprising from one to nine backbone atoms selected from carbon, sulfur, oxygen or nitrogen; Q is (un)substituted Ph or naphthyl; one or two of R4a, R4b, R5a and R5b are independently -L2-W or an aliphatic chain and the others are H, provided that at least one of R4a and R4b and at least one of R5a and R5b is H], including enantiomers, stereoisomers, diastereoisomers or pharmaceutically-acceptable salts, which bind with high affinity to one or more melanocortin receptors (MCR) and may be employed for treatment of melanocortin receptor-associated conditions or disorders. Thus, piperazine derivative II was prepared via reactions of 2-naphthylacetic acid, (R)-(-)-2-amino-1-propanol, Fmoc-L-Arg(Boc)2-OH (Fmoc = fluorenylmethoxycarbonyl, Boc = tert-butoxycarbonyl), and Boc-D-4-chloro-2-methyl-L-phenylalanine. Compound II was shown to be a partial agonist as to MC4-R and in rats caused a decrease in food intake (administration 2 h prior to food presentation) and induced penile erection at $0.3-30 \mu g/Kg$.

II

ANSWER 16 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:703130 CAPLUS

DOCUMENT NUMBER:

141:207526

TITLE:

Preparation of pyrrolidine melanocortin

-specific compounds

INVENTOR(S):

Sharma, Shubh D.; Shi, Yi-qun; Wu, Zhijun;

Rajpurohit, Ramesh

PATENT ASSIGNEE(S):

Palatin Technologies, Inc., USA

SOURCE:

U.S. Pat. Appl. Publ., 30 pp., Cont.-in-part of Appl.

No PCT/US02/25574.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

PAT	ENT	NO.			KIN	D	DATE		i	APPL	CAT	ION I	NO.		· Di	ATE	
	20Ò4				A1		2004									00402	
WO	2003	0135	71		A1		2003	0220	Ţ	NO 2	002-1	US25.	574		20	0020	812
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,
		RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	ŬĠ,	US,
		UZ,	VN,	YU,	ZA,	ZW											
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	ΒE,	BG,
		CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LÜ,	MC,	NL,
		PT,	SE,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,
	•	ΝE,	SN,	TD,	TG	•			•								
PRIORITY	APP	LN.	INFO	.:						JS 2	001-	3114	04P ·]	P 2	0010	810
	•								. 1	WO 2	002-1	US25	574	. 7	A2 2	0020	812
OTHER SO	URCE	(S):			MAR:	PAT	141:	2075	26								

Ι

GΙ

II ·

AB The invention relates to melanocortin receptor (MC-R)-specific pyrrolidine compds. I [R1 is -L1-J, where L1 is a linker (CH2)0-6, O, NH, etc. and J is a ring structure; R2 is CO-W or CONH(CH2)0-6-W, where W is a heteroatom unit with at least one nitrogen atom and at least one cationic center, hydrogen bond donor or acceptor; R3 is -L2-Q, where L2 is a linker COCH(NH2)CH2, COCH2O, 5-carbonyl-substituted 3-pyrrolidinyl, etc.; preferably R3 is a D-amino acid with at least one (un)substituted Ph or naphthyl ring or 1-3 addnl. amino acid residues, optionally with an amine capping group] and their pharmaceutically-acceptable salts, which are agonists/antagonists at one or more melanocortin receptors and having utility in the treatment of melanocortin receptor-related disorders and conditions. Thus, peptide II was prepared by the solid-phase method and shown to be an agonist of MC1-R (Ki = 10 nM).

ANSWER 17 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:652533 CAPLUS

DOCUMENT NUMBER:

141:191073

TITLE:

Preparation of piperazines as melanocortin

-specific agonists, antagonists, or mixed agonists and

antagonists.

INVENTOR(S):

Sharma, Shubh D.; Shi, Yi-qun; Wu, Zhijun;

Rajpurohit, Ramesh

PATENT ASSIGNEE(S):

Palatin Technologies, Inc., USA

SOURCE:

U.S. Pat. Appl. Publ., 70 pp., Cont.-in-part of Appl.

No. PCT/US02/25574.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

	PATENT NO.					KIN	D	DATE			APPI	ICAT	ION	ΝΟ.		D.	ATE		
		2004 2003	_			A1 A1		2004 2003				:004- :002-				_	 0040 0020		•
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	ΒG,	BR,	BY,	BZ,	CA,	CH,	CN,	
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	·DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
				-	-		•	IN,	•	•	•	•	•	•	•	•	•		
								MD,											
			RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	ŬĠ,	US,	
			UZ,	VN,	YU,	ZA,	ZW												
		RW:					-	MZ,				-			-		-		
								EE,											
			PT,	SE,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	,GN,	GQ,	GW,	ML,	MR,	
			•	•	TD,	ΤG								-					
	MO	2005				A1		2005				004-					0040		
		W:						ΑU,				-				-	-	-	
				•		•		DE,	•		•	•	•	•	•	•	•		
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	ΚP,	KR,	ΚZ,	LC,	
								LV,											•
								PL,											
								TZ,											
		RW:						MW,											
•-								ТJ,											
								ΗU,											
					ВJ,		CG,	CI,							MR,				ΤG
		2005				A1		2005				005-					0050		
		2005				A1		2005				005-					0050		•
		2005				A1		2005	0811			005-					0050		
PRIOF	RIT	Y APP	LN.	INFO	.:							001-					0010		
	•											002-				A2 2			
												0.03-					0030		
												003-					0030		
												004-					0040		
												004-					0040		
												2004-				A2 2			٠.
												2004-				A2 2			
		•										2004-					0040		
												2004-				P . 2			
												2004-					0040		
							:				US 2	2004-	8375	19		A2 2	0040	430	
OTHER	≺ S(DURCE	(S):			MAR	PAT'	141:	1910	73									

OTHER SOURCE(S): GI

MARPAT 141:191073

$$R^{2}$$
 $R^{3}N$
 I
 Q^{1}
 Q^{2}
 Q^{2}
 Q^{2}
 Q^{3}
 Q^{4}
 Q^{4}
 $Q^{5}N$
 $Q^{5}N$
 $Q^{5}N$
 Q^{6}
 Q^{7}
 Q^{1}
 Q^{1}
 Q^{1}
 Q^{1}
 Q^{2}
 Q^{2}
 Q^{3}
 Q^{4}
 Q^{5}
 Q^{5}
 Q^{5}
 Q^{5}

AB Title compds. [I; R1 = L1J, H; R2 = (CH2)yW, J, L1J; R3 = L2Q; L1 = (CH2)y, O(CH2)y, NH(CH2)y, CO(CH2)y, CO2(CH2)y, CH2CONH; J = (substituted) aryl, carbocyclyl, carbobicyclyl, heterobicyclyl; W = heteroatom unit with ≥1 cationic center, hydrogen bond donor, or hydrogen bond acceptor wherein ≥1 atom = N; L2 = Q1, Q2, Q3, Q4, etc.; Q = (substituted) Ph, naphthyl; R4 = H, R5, R5R6; R5 = amino acid residue, amine capping group; R6 = H, amine capping group; y = 1-6], were prepared Thus, title compound (II; Q5 = 2,4-dichloro-D-phenylalanyl) (general preparation given) at

μM gave 95% inhibition of melanocortin MC4-R.

L7 ANSWER 18 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:633168 CAPLUS

DOCUMENT NUMBER:

INVENTOR(S):

141:151030

TITLE:

Bicyclic melanocortin-specific compounds Sharma, Shubh D.; Shi, Yi-Qun; Wu, Zhijun;

Rajpurohit, Ramesh

PATENT ASSIGNEE(S):

Palatin Technologies, Inc., USA

SOURCE:

U.S. Pat. Appl. Publ., 42 pp., Cont.-in-part of WO

2003 13,571. CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PA	FENT	NO.			KIN	D	DATE		i	APPL	ICAT	ION I	NO.		D	ATE	
	2004 2003		34		A1 A1		2004 2003		1		 004- 002-				-	0040	121
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,
		RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,
		UZ,	VN,	YU,	ZA,	ZW											
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	BG,
		CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,
		PT,	SE,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,
			SN,														

US 2005130988	A1	20050616	US	2005-36282		20050114
US 2005124636	A1	20050609	US	2005-40838		20050121
PRIORITY APPLN. INFO.:			US	2001-311404P	P	20010810
			WO	2002-US25574	A2	20020812
•			US	2003-467442P	P	20030501
			US	2003-474497P	P	20030530
			US	2004-536606P	P	20040114
			US	2004-538100P	P	20040121
·			US	2004-761889	A2	20040121
			US	2004-762079	A2	20040121
			US	2004-546393P	P	20040219
·			US	2004-559741P	Р	20040405
			US	2004-563739P	P	20040419
			US	2004-837519	A2	20040430

OTHER SOURCE(S):

MARPAT 141:151030

GI

$$\begin{array}{c|c} R^2 & X & R^1 \\ \hline R^3 & N & T \end{array}$$

The invention discloses melanocortin receptor-specific bicyclic AB compds. having the structure I (R1 = L1-J wherein L1 is a linker and J is a ring structure selected form the group consisting of substituted or unsubstituted aromatic carboxylic rings, substituted or unsubstituted non-aromatic carboxylic rings, substituted or unsubstituted aromatic fused carbobicyclic ring groups, etc.; R2 = (CH2)y-W wherein W is a heteroarom. unit with at least one cationic center, hydrogen bond donor or hydrogen bond acceptor wherein at least one atom is N; R3 = L2-Q wherein L2 is a linker and ${\tt Q}$ is an aromatic carboxylic ring selected from the group consisting of Ph, substituted Ph, naphthyl and substituted naphthyl: X = CH2 or C=O and z is 0 or 1), and stereoisomer and pharmaceutically acceptable salts thereof, which are agonists, antagonists or mixed agonists and antagonists at one or more melanocortin receptors, and having utility in the treatment of melanocortin receptor-related disorders and conditions. Pharmaceutical compns. containing a compound of structure I and methods relating to the use thereof for treating eating disorders and sexual dysfunction are also disclosed.

ANSWER 19 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:569853 CAPLUS

DOCUMENT NUMBER:

141:117192

TITLE:

Cyclic peptide compositions and methods for treatment

of sexual dysfunction

INVENTOR(S):

Sharma, Shubh D.; Shadiack, Annette M.;

Rajpurohit, Ramesh; Yang, Wei

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 33 pp., Cont.-in-part of U.S.

Ser. No. 40,547.

CODEN: USXXCO

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004138136	A1	20040715	US 2003-638071	20030808

```
US 6579968
                           B1
                                  20030617
                                               US 2000-606501
                                                                        20000628
     EP 1593384
                           A2
                                  20051109
                                               EP 2005-75914
                                                                        20000629
     EP 1593384
                           A3
                                  20060426
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, FI, CY
     US 2002107182
                                  20020808
                                               US 2002-40547
                                                                        20020104
                           A1
     US 6794489
                           В2
                                  20040921
     WO 2003006620
                                                                        20020711
                           A2
                                  20030123
                                               WO 2002-US22196
     WO 2003006620
                           A3
                                  20031127
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
             CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     WO 2005014617
                           A2
                                  20050217
                                            · WO 2004-US25749
                                                                        20040809
     WO 2005014617
                           A3
                                  20050707
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
         W:
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
         TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
                           A2
                                  20060614
                                              EP 2004-780562
                                                                        20040809
     EP 1667700
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK,
                                               US 2005-139730
     US 2005222014
                                  20051006
                           Α1
                                                                        20050526
     US 2006014676
                                  20060119
                                               US 2005-174845
                           A1
                                                                        20050705
     US 2006014194
                           A1
                                  20060119
                                               US 2005-174851
                                                                        20050705
     US 2006111281
                           Α1
                                  20060525
                                               US 2005-269271
                                                                        20051109
PRIORITY APPLN. INFO.:
                                               US 2000-606501
                                                                     A2 20000628
                                               US 2002-40547
                                                                     A2 20020104
                                               WO 2002-US22196
                                                                     A 20020711
                                               US 1999-142346P
                                                                     Р
                                                                        19990629
                                               US 2000-194987P
                                                                    P 20000405
                                               EP 2000-950283
                                                                    A3 20000629
                                               US 2001-304836P
                                                                    Ρ
                                                                        20010711
                                               US 2003-638071
                                                                     Α
                                                                        20030808
                                               US 2004-575082P
                                                                     Ρ
                                                                        20040527
                                               US 2004-585971P
                                                                    Ρ
                                                                        20040706
                                               WO 2004-US25749
                                                                    W
                                                                        20040809
OTHER SOURCE(S):
```

GΙ

MARPAT 141:117192

AB The invention provides cyclic peptides I [R1 = H, N(R6)(R7); R2, R3, R5 =H, C1-6 (un)branched alkyl, aromatic amino acid side chain moiety, with provisos; R4 = C1-6 (un)branched chain amino acid side chain, neutral H-bonding or pos. charged amino acid side chain moiety; R6 = H, C1-4(un)branched alkyl, C1-4 aralkyl; C1-4 ω -amino derivative; R7 = H, (un)branched C1-7 alkyl, etc.; m = 1-4; p = 1-5 (m + p = 2-7)]. Further provided are compns. and methods for treatment of sexual dysfunction in mammals, including male sexual dysfunction, such as erectile dysfunction, and female sexual dysfunction, by administration of a cyclic peptide including a C-terminal hydroxyl group. Methods of administration include injection, oral, urethral, vaginal, nasal and mucosal administration. The peptides of the invention are functional melanocortin agonists.

ANSWER 20 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:133079 CAPLUS

DOCUMENT NUMBER:

INVENTOR(S):

138:188071

TITLE:

Peptidomimetics of biologically active metallopeptides

Sharma, Shubh D.; Shi, Yiqun; Rajpurohit,

Ramesh; Wu, Zhijun

PATENT ASSIGNEE(S):

Palatin Technologies, Inc., USA

SOURCE:

PCT Int. Appl., 168 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT: 8

PATEN'	T NO.			KIN	D -	DATE		;	APPL:	ICAT	ION 1	NO.	-	. Dž	ATE	
WO 20	030135	71 ·		A1		2003	0220	1	WO 2	002-	US25!	574		20	0020	812
W	: AE,															
													GB,			
													KZ,			
				-									NO,		•	
						SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	ŪG,	US,
	-	•	YU,	•												
R'	W: GH,															
													IT,			
					BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,
	•	•	TD,													
	62200															
	25029															
R	: AT,														MC,	PT,
													EE,			
	055040													_		
	041521							i	US 2	004-	7618	89		20	0040	121
	041572						0812							_	0040	121
	041672						0826							_	0040	210
	041715						0902								0040	210
US 20	051309	88		A1		2005	0616	1	US 2	005-	3628	2		2	0050	114

US 2005124636	A1	20050609	US	2005-40838		20050121
US 2005176728	A1	20050811	US	2005-99814		20050405
PRIORITY APPLN. INFO.:			US	2001-311404P	P	20010810
		•	WO	2002-US25574	W	20020812
		•	US	2003-467442P	P	20030501
			US	2003-474497P	P	20030530
			US	2004-536606P	P	20040114
			US	2004-538100P	P	20040121
•			US	2004-761889	A2	20040121
		•	US	2004-762079	A2	20040121
•			US	2004-546393P	Ρ.	20040219
			US	2004-559741P	P	20040405
			US	2004-563739P	P	20040419
			US	2004-837519	A2	20040430
OMITED COMPORIAL.	MADDA	m 120.100071		•		

OTHER SOURCE(S):

MARPAT 138:188071

GI

$$H_2N$$

AB The invention relates to a method of deriving a peptidomimetic of a biol. active metallopeptide. The peptidomimetic contains at least one non-peptide ring structure and at least two amino acid-related elements. The invention further relates to peptidomimetics with a template space heterocyclic ring structure, including 5-, 6- and 8-membered and 5-5 and 6-5 bicyclic fused ring structure melanocortin receptor-specific peptidomimetics. The examples describe the synthesis of pyrrolidines, 2-piperazinones [e.g., I [R = BuCH2CH2CO-Ser(Bzl)-D-Phe(2-Cl)]], hexahydropyrrolo[1,2-a]pyrazin-4-ones, hexahydropyrrolo[1,2-a]imidazol-3-ones, 1,4-benzodiazepines, and piperazines. Competitive inhibition testing of compound I against α-MSH yielded the following results at 1 μM: melanocortin-1 receptor (MC1-R) 96%, MC3-R 51%, MC4-R 99%, and MC5-R 82%.

Ι

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 21 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:58220 CAPLUS

DOCUMENT NUMBER:

138:117676

TITLE:

Linear and cyclic melanocortin

receptor-specific peptides, and therapeutic use

INVENTOR(S):

Sharma, Shubh D.; Shadiack, Annette M.;

Yang, Wei; Rajpurohit, Ramesh

PATENT ASSIGNEE(S):

Palatin Technologies, Inc., USA

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

7 -

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

```
WO 2003006620
                         A3
                                20031127
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
            UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
             CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2453515
                          Α1
                                20030123
                                           CA 2002-2453515
                                                                   20020711
    AU 2002322466
                          A2
                                20030129
                                            AU 2002-322466
                                                                   20020711
    EP. 1441750
                         A2
                                20040804
                                            EP 2002-756458
                                                                   20020711
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
                                            JP 2003-512379
     JP 2004534851
                          Т
                                20041118
                                                                    20020711
    US 2004138136
                                            US 2003-638071
                          Α1
                                20040715
                                                                    20030808
    US 2005038230
                                            US 2004-756212
                         Α1
                                20050217
                                                                   20040112
    US 2006014676
                         A1
                                20060119
                                            US 2005-174845
                                                                   20050705
     US 2006014194
                         A1
                                20060119
                                            US 2005-174851
                                                                   20050705
     US 2006111281
                         A1
                                20060525 -
                                            US 2005-269271
                                                                   20051109
PRIORITY APPLN. INFO.:
                                            US 2001-304836P
                                                                P 20010711
                                            US 1999-142346P
                                                                P 19990629
                                                                P 20000405
                                            US 2000-194987P
                                            US 2000-606501
                                                                A2 20000628
                                            US 2002-40547
                                                                A2 20020104
                                                                W 20020711
                                            WO 2002-US22196
                                            US 2003-638071
                                                                A2 20030808
                                            US 2004-585971P
                                                                P 20040706
OTHER SOURCE(S):
                        MARPAT 138:117676
     Linear and cyclic peptides are provided which are specific to
     melanocortin receptors and which exhibit agonist, antagonist, or
     mixed agonist-antagonist activity. The peptides of the invention may be
     used to treat e.g. erectile dysfunction and eating disorders.
     ANSWER 22 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                         2003:634923 CAPLUS
TITLE:
                         Melanocortin-4 receptor selective small
                         molecules
AUTHOR(S):
                         Wu, Zhijun; Rajpurohit, Ramesh; Shi, Yiqun;
                         Sharma, Shubh
CORPORATE SOURCE:
                         Department of Chemistry, Palatin Technologies, Inc,
                         Cranbury, NJ, 08512, USA
SOURCE:
                         Abstracts of Papers, 226th ACS National Meeting, New
                         York, NY, United States, September 7-11, 2003 (2003),
                         MEDI-315. American Chemical Society: Washington, D.
                         CODEN: 69EKY9
DOCUMENT TYPE:
                         Conference; Meeting Abstract
LANGUAGE:
                         English
     The melanocortin-4 receptor is a drug target for developing
     therapeutics for various feeding disorders including obesity and cachexia.
     Various alpha-melanotropin (the endogenous 13 amino acid
     peptide) based ligands have been shown to modulate feeding behavior of
     rats under exptl. conditions. We have developed a series of
     tri-substituted oxopiperazine ring compds. [Fig. 1] as MC-4R selective
     small mol. agents. One of these agents, (2S)-1-(4-C1-D-Phe)-2-(3-
     gaunidino-propyl)-3-oxo-4-naphthaleneethyl-piperazine, is an agonist with
```

a Ki of 79 nM. SAR studies of affinity and receptor selectivity with a series of compds. with different R groups at the 4-position of the

oxopiperazine ring will be presented.

WO 2003006620

A2 ·

20030123

WO 2002-US22196

20020711

ANSWER 23 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on

STN

ACCESSION NUMBER: 2003:558167 BIOSIS DOCUMENT NUMBER: PREV200300559042

TITLE: Melanocortin-4 receptor selective small

molecules.

AUTHOR(S): Wu, Zhijun [Reprint Author]; Rajpurohit, Ramesh [Reprint

Author]; Shi, Yigun [Reprint Author]; Sharma, Shubh

[Reprint Author]

CORPORATE SOURCE: Department of Chemistry, Palatin Technologies, Inc, 4C

Cedar Brook Drive, Cranbury, NJ, 08512, USA

zwu@palatin.com

SOURCE: Abstracts of Papers American Chemical Society, (2003) Vol.

226, No. 1-2, pp. MEDI 315. print.

Meeting Info.: 226th ACS (American Chemical Society)

National Meeting. New York, NY, USA. September 07-11, 2003.

American Chemical Society. ISSN: 0065-7727 (ISSN print).

DOCUMENT TYPE: Conference; (Meeting)

Conference; Abstract; (Meeting Abstract)

LANGUAGE: English

ENTRY DATE: Entered STN: 26 Nov 2003

Last Updated on STN: 26 Nov 2003

CAPLUS COPYRIGHT 2006 ACS on STN ANSWER 24 OF 55

ACCESSION NUMBER: 2002:637788 CAPLUS

DOCUMENT NUMBER: 137:179841

TITLE: Identification of target-specific folding sites in

peptides and proteins

Sharma, Shubh D.; Shi, Yi-Qun INVENTOR(S): Palatin Technologies, Inc., USA PATENT ASSIGNEE(S):

PCT Int. Appl., 165 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

	PA	rent :	NO.			KIN	D :	DATE			APPL	ICAT:	ION 1	NO.		D.	ATE	
		2002 2002								1	WO 2	001-	JS50	075		2	0011	219
		Z002								Dλ	a a	BG,	DD	рV	D7	CA	CH	CNI
		W .																
												EE,						
			-		-		-	-	-		•	KG,			•			•
				-	-	-	-	-	-	-	-	MW,						•
			RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,
			UZ,	VN,	YU,	ZA,	zw											
		RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
			KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	CH,	CY,	DE,	DK,	ES,	FI,	FR,	GB,
			GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	BJ,	CF,	CG,	CI,	CM,	GA,
			GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG							•
	CA	2436	789			A1		2002	0822		CA 2	001-	2436	789		2	0011	219
	ΕP	1379	283			A2		2004	0114		EP 2	001-	9944	12		2	0011	219
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT.
								RO,					•		•	•		•
	JΡ	2005	5012	20 [^]	•	T	•	2005	0113	•	JP 2	002-	5650	49		2	0011	219
	US	2004	2482	12				2004	1209		US 2	004-	7696	95		2	0040	130
		2005															0050	
PRTC		Y APP										000-					0001	
		111 1			• •							001-					0010	
							•					001-					0010	·
											UD 2	OOT-	JZ 10.	JJE		- 2	OOTT	UU4

WO 2001-US50075 W 20011219 US 2003-444129P P 20030131 US 2003-464117 A2 20030617 US 2004-769695 A2 20040130 US 2004-590933P P 20040723

AB The invention provides methods for identification and determination of target-specific folding sites in peptides and proteins, including a method for determining a secondary structure binding to a target of interest within a known parent polypeptide that binds to the target of interest. In one embodiment of the invention, a residue or mimetic containing a nitrogen atom and a sulfur atom available for binding to a metal ion is serially substituted for single residues in or inserted between two adjacent residues in a known primary sequence of a peptide or protein. The resulting sequence, which includes a min. of the residue or mimetic containing a nitrogen atom and a sulfur atom available for binding to a metal ion and two residues on the amino terminus side thereof, is complexed with a metal ion, thereby forming a metallopeptide. The resulting metallopeptides are then used in binding or functional assays related to the target of interest, and the metallopeptide demonstrating binding or functional activity is selected. The invention further provides methods to determine the specific sequence and local three-dimensional structure of that portion of peptides or proteins that bind to a receptor or target of interest, or mediate a biol. activity of interest and methods to determine the pharmacophore of receptors or targets of interest. The invention provides for defined pharmacophores or receptors or targets of interest and directed libraries for identification and determination of target-specific folding sites in peptides

and proteins and for identification and determination of pharmacophores of receptors or targets of interest.

L7 ANSWER 25 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2002:637480 CAPLUS

DOCUMENT NUMBER:

137:190724

TITLE:

Melanocortin metallopeptides for treatment

of sexual dysfunction

INVENTOR(S):

Sharma, Shubh D.; Shi, Yi-qun; Yang, Wei;

Cai, Hui-zhi; Shadiack, Annette Palatin Technologies, Inc., USA

PATENT ASSIGNEE(S):

PCT Int. Appl., 58 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

	PAT	CENT	NO.			KIN	D	DATE		1	APPL	ICAT:	ION 1	NO.		D	ATE	•
		2002 2002				A2 A3		2002 2003		1	WO 2	002-	US44	31		2	0020	213
		W:	AE, CO,	AG, CR,	AL, CU,	AM, CZ,	AT, DE,	AU, DK,	AZ, DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			LS,	LT,	LU,	LV,	MA,	IN, MD, SI,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,
•		RW:	UZ, GH,	VN, GM,	YU, KE,	ZA, LS,	ZW MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,
	110	2004	BF,	ВJ,	CF,	•	CI,	FR, CM, 2004	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	•	TG
PRTO	US	2004 2005 APP	1649	14							US 2		3627	3		2	0050	114
11110				11110	••				•		US 1	995- 996-	4766	52	1	A2 1	9950 9960	607

US 2000-483837 A2 20000117 WO 2002-US4431 A 20020213 US 2003-640755 A2 20030813 US 2004-536691P P 20040114

OTHER SOURCE(S): MARPAT 137:190724

AB Metallopeptides are provided for use in treatment of sexual dysfunction in mammals. The metallopeptides are agonists for at least one of melanocortin-3 or melanocortin-4 receptors. The metallopeptides are conformationally fixed on complexation of a metal ion-binding portion thereof with a metal ion. Also provided are metallopeptides that are antagonists for at least one of melanocortin-3 or melanocortin-4 receptors.

L7 ANSWER 26 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2002:618187 CAPLUS

TITLE:

Conformationally-restricted N-alkylated amino acid analogs of MT-II to probe the message sequence of

 α - melanotropin

AUTHOR(S):

Yang, Wei Helen; Rajpurohit, Ramesh; Wang, Qing-Mei;

Sharma, Shubh

CORPORATE SOURCE:

Palatin Technologies, Inc, Edison, NJ, 08837, USA

SOURCE:

Abstracts of Papers, 224th ACS National Meeting, Boston, MA, United States, August 18-22, 2002 (2002), MEDI-336. American Chemical Society: Washington, D.

C.

CODEN: 69CZPZ

DOCUMENT TYPE:

Conference; Meeting Abstract

LANGUAGE:

English

Ac-Nle-Cyclo[Asp-His-D-Phe-Arg-Trp-Lys]-NH2 (MT-II), is a potent non-selective cyclic peptide analog of α - melanotropin in which the tetrapeptide message segment, His-D-Phe-Arg-Trp, is constrained with an Asp Lys lactam bridge. It is evident that this mol. is capable of presenting itself in different conformational states that facilitate its interaction with various melanocortin receptors (MC-1R, MC-3R, MC-4R, and MC-5R) with similar low nanomolar affinities. We have explored the effects of addnl. conformational restrictions within this tetrapeptide. sequence towards causing a shift in receptor selectivity. This was accomplished by introducing various N-alkylated derivs. of these amino acids in MT-II, individually as well as in tandem to restrict the phi conformational space around an amino acid. The results showed that D-Phe position was most sensitive for this modification. N-Methylation of D-Phe totally abolished the affinity for all of the four receptors. However, N-Ethylation caused a shift towards MC-1R selectivity, although with somewhat lower potency. N-Methylation at Arg or Trp residue was well tolerated and resulted in analogs displaying appreciable preference towards binding of MC-1R and MC-4R. These results that have provided important information on SAR for the design of receptor specific. peptidomimetics will be discussed.

L7 ANSWER 27 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on

ACCESSION NUMBER:

2002:511102 BIOSIS

DOCUMENT NUMBER:

PREV200200511102

TITLE:

Conformationally restricted N-alkylated amino acid analogs

of MT-II to probe the message sequence of alpha-

melanotropin.

AUTHOR(S):

Yang, Wei Helen [Reprint author]; Rajpurohit, Ramesh [Reprint author]; Wang, Qing-Mei [Reprint author];

Sharma, Shubh [Reprint author]

CORPORATE SOURCE:

Palatin Technologies, Inc, 175 May Street, Suite 500,

Edison, NJ, 08837, USA

wyang@palatin.com

SOURCE:

Abstracts of Papers American Chemical Society, (2002) Vol.

224, No. 1-2, pp. MEDI 336. print.

Meeting Info.: 224th National Meeting of the American Chemical Society. Boston, MA, USA. August 18-22, 2002.

CODEN: ACSRAL. ISSN: 0065-7727.

DOCUMENT TYPE:

Conference; (Meeting)

Conference; Abstract; (Meeting Abstract)

LANGUAGE:

English

ENTRY DATE:

Entered STN: 2 Oct 2002

Last Updated on STN: 2 Oct 2002

CAPLUS COPYRIGHT 2006 ACS on STN ANSWER 28 OF 55

ACCESSION NUMBER:

2001:137478 CAPLUS

DOCUMENT NUMBER:

134:188233

TITLE:

Melanocortin metallopeptide constructs, combinatorial libraries, and applications Sharma, Shubh D.; Shi, Yi-Qun; Yang, Wei;

INVENTOR(S):

Cai, Hui-Zhi

PATENT ASSIGNEE(S):

Palatin Technologies, Inc., USA

SOURCE:

PCT Int. Appl., 80 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

P.F.	TENT	NO.			KIN	D .	DATE			APF	LICA	rion	NO.		D.	ATE	
. WC	200	10131	12		A1		2001	0222		wo	2000	-US16	396		2	0000	615
	W:	ΑE,	ΑG,	AL,	AM,	AT,	AU,	AZ,	BA,	BE	BG	, BR,	BY,	CA,	CH,	CN,	CR,
		CU,	CZ,	DE,	DK,	·DM,	DZ,	EE,	ES,	FI	, GB	, GD,	GE,	GH,	GM,	HR,	HU,
		ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KF	, KZ	, LC,	LK,	LR,	LS,	LT,	LU,
												, NZ,					
												, UA,					
			ZW	•	•	•	•	•	•		•		•	•	•	•	
	RW	: GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ	, TZ	. UG.	ZW.	AT.	BE.	CH,	CY,
		-									•	, MC,	•	•	•	•	•
												SN,	-	-		,	
CF	237	9647						•			•	-2379	•		2	0000	615
E	120	8377													_	0000	
		AT,															
				LT,								,,	_ ,	,	~_,	,	,
JE	200	45194										-5171	63		2	0000	615
		9398														0020	
		62404														0060	
PRIORIT												-1489					
11120111			1111	• •								-US16				0000	
												-4971					
									_	0.5	2002	1011	Ņ		nJ Z	0020	210

OTHER SOURCE(S): MARPAT 134:188233

Metallopeptides and metallopeptide combinatorial libraries specific for melanocortin receptors are provided, for use in biol., pharmaceutical and related applications. The metallopeptides and combinatorial libraries are made of peptides, peptidomimetics and peptide-like constructs, in which the peptide, peptidomimetic or construct is conformationally fixed on complexation of a metal ion-binding portion thereof with a metal ion.

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2006 ACS on STN ANSWER 29 OF 55

ACCESSION NUMBER:

2000:421334 CAPLUS

DOCUMENT NUMBER:

133:55661

TITLE:

Metallopeptide combinatorial libraries synthesis and

applications

INVENTOR (S'):

PATENT ASSIGNEE(S):

Sharma, Shubh D.; Shi, Yiqun Palatin Technologies, Inc., USA

SOURCE:

PCT Int. Appl., 55 pp.

DOCUMENT TYPE:

CODEN: PIXXD2 Patent

LANGUAGE:

FAMILY ACC. NUM. COUNT:

English

PATENT INFORMATION:

	PAT	ENT I	.00			KINI)	DATE			APPI	LICAT	ION I	10.		D.	ATE	
	WO	2000	0361	36		A1	_	2000	0622		WO 1	L999-t	JS29'	743		1	99912	214
		W: .	AE,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,
			CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,
			ΙŅ,	IS,	JP,	ΚE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,
			MG,	MK,	MN,	MW,	MX,	NO,	ΝZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,
			SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	ŪG,	US,	UZ,	VN,	YU,	ZA,	ZW		
		· RW:	-	-					•	•		UG,			•		•	
			DK,	ES,	Εļ,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,
			CG,	CI,			•		•	•		SN,						
	CA	2353	072			A1		2000	0622		CA 1	L999-2	2353	072		1:	99912	214
	ΕP	1141	375			A1		2001	1010		EP 1	L999-9	9642	63		1	99912	214
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO										
		2002		-				2002			JP 2	2000-5	5883	84		1	99912	214
		7602									AU 2	2000-2	2054	1		1:	99912	214
•	US	2002	0129	48		A 1		2002	0131		US 2	2001-8	8830	69		2	0010	614
	US	2006	0033	86		A1		2006	0105		US 2	2005-2	2212	10		2	00509	907
PRIOF	RITY	APP:	LN.	INFO	.:							L998-:					99812	
											US 1	L995-4	4766	52		A 1	9950	607
											us 1	L996-0	6606	97		A 1	9960	605
											WO 1	L999-t	US29	743	1	W 1	99912	214
											US 2	2001-	8830	69	:	B3 2	0010	614

AB Metallopeptide combinatorial libraries and methods of making libraries and metallopeptides are provided for use in biol., pharmaceutical and related applications. The combinatorial libraries are made of peptides, peptidomimetics and peptide-like constructs, and include a metal ion-binding region thereof which includes at least one orthogonal sulfur-protecting group, in which the peptide, peptidomimetic or construct is conformationally fixed on deprotection of the sulfur and complexation of the metal ion-binding region with a metal ion. Methods of synthesis of these metallopeptides are described. Thereafter the library members may be screened to select those with the desired specificity and affinity. REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS

ANSWER 30 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2000:123168 CAPLUS

DOCUMENT NUMBER:

132:185495

TITLE:

Structurally determined metallo-constructs peptides as

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

imaging and diagnostic and radiotherapeutic agents

INVENTOR(S):

Sharma, Shubh D.

PATENT ASSIGNEE(S):

Rhomed Incorporated, USA

SOURCE:

U.S., 61 pp., Cont.-in-part of U.S. 5,891,418.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6027711	Α	20000222	US 1996-660697	19960605

	CA	58914 2221 96402	146 293			A1 A1		1996: 1996:	1219 1219	US CA WO	1	1996-2 1996-เ	22211 JS984	146 40		1 1	9960 9960	606 606
		W:								BR, B								
										JP, K								
					LV,	MD,	MG,	MK,	MN,	MW, M	Χ,	, ио,	ΝZ,	PL,	PT,	RO,	RU,	SD,
			SE,															
		RW:								BE, C							GB,	GR,
	7. 7. 7	0000		TT,	LU,		-	-		BF, B	-				CM,		0050	
		96633			,					AU	1	1996-6	3300	J		Ţ	9960	606
		71955				B2						1006 (2224			7		co.c
	EP	83193 R:				A1				EP C							9960	
		K:	IE,		Cn,	DE,	, אע	ES,	rk,	GB, G	ĸ,	, IT,	ыl,	ъо,	ΝL,	SE,	MC,	PT,
	RD	96094	•			А	•	1999	1211	BR	, 1	1996-0	2400		•	1	9960	606
		2001						2001		JP								
		1223		,,		- A		2002				1996-1					9960	
		2003		22				2003				1999-3					9990	
		6551				B2		2003		0.0	_		30,72			_	,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,	000
		63312				B1		2001		US	1	1999-4	16435	58		1	9991:	215
		20020		48				2002				2001-8					0010	
		2003						2003	0904	US	2	2003-3	3,6484	12		2	0030	211
	US	70978	324			B2		2006	0829									
	US	2005	1649:	14		A 1		2005	0728	US	2	2005-3	36273	3	٠	·2	0050	114
	US	20060	04032	24		A1		2006	0223			2005-1				2	0050	
PRIOR	ITY	APP	LN.	INFO	. :					US	1	1995-4	17665	52		A2 1	9950	607
												1996-6					9960	
												1996-เ					9960	
												1998-1					9980	
												1998-1					9981	
												1999-3					9990	
												1999-t					9991	
												2000-4				A2 2	0000	
												2001-2					0010	
												2002-t					0020	
										05		2003-6 2004-5	34U/3	33 31 D	-	ML	0030	0 1 3 1 1 1/
7\ D	7\ ~	.o+a1	10-04	onat:	ruat	r sh i	ah	marr 1	ho	co itana								

AΒ A metallo-construct, which may be a peptide, is provided for use as a biol., therapeutic, diagnostic imaging, or radiotherapeutic agent, and for use in library or combinatorial chemical methods. The construct has a conformationally constrained global secondary structure obtained upon complexing with a metal ion. The peptide constructs are of the type, R1XR2 (where X is a plurality of amino acids and includes a complexing backbone for complexing metal ions, resulting in a specific regional secondary structure forming a part of the global secondary structure; and where R1 and R2 each includes 0-20 amino acids, the amino acids being selected so that upon complexing the metal ion with X at least a portion of either R1 or R2 or both have a structure forming the balance of the conformationally constrained global secondary structure). All or a portion of the global secondary structure, which may be sychnol. or rhegnylogic, may form a ligand or mimic a known biol.-function domain. The construct has substantially higher affinity for its target upon labeling with a metal ion. D-Arg-Gly-D-Cys- β -Ala was prepared by standard methods and labeled with 99m Tc-sodium pertechnetate by using stannous salt as the reducing agent.

REFERENCE COUNT:

12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 31 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 1998:192146 CAPLUS

DOCUMENT NUMBER:

128:257693

TITLE:

Preparation of peptides having potent antagonist and agonist bioactivities at melanocortin

receptors

Hadley, Mac E.; Hruby, Victor J.; Sharma, Shubh INVENTOR(S):

PATENT ASSIGNEE(S):

University of Arizona, Board of Regents, USA

SOURCE:

U.S., 6 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5731408	Α	19980324	US 1995-420972	19950410
US 6054556	Α	20000425	US 1997-980238	19971128
PRIORITY APPLN. INFO.:			US 1995-420972	A2 19950410

Ac-Nle-Asp-His-X-Arg-Trp-Lys-NH2 I

AB Cyclic lactam peptides I [X = D-3-(2-naphthyl)alanine (D-2-Nal),D-p-iodophenylalanine [D-(p-I)Phe]] provided potent and specific antagonists of the two neural melanocortin receptors and of the peripheral receptor. In particular, peptide I (X = D-2-Nal) was a potent antagonist of the MC3 and MC4 receptors with partial agonist activity, and a full agonist of the MC1 and MC5 receptors. Peptide I [X = D-(p-I)Phe] was a potent antagonist of the MC3 and MC4 receptors with partial agonist activity. Both peptides I have antagonist activities in the classical frog skin bioassay for pigmentation at the MC1 receptor.

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

· L7 ANSWER 32 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation DUPLICATE 1

ACCESSION NUMBER:

1998:262508 BIOSIS

DOCUMENT NUMBER:

PREV199800262508

TITLE:

Prevention of reflex natriuresis after acute unilateral

nephrectomy by melanocortin receptor antagonists.

AUTHOR(S):

Ni, Xi-Ping; Kesterson, Robert A.; Sharma, Shubh D.

; Hruby, Victor J.; Cone, Roger D.; Wiedemann, Eckehart;

Humphreys, Michael H. [Reprint author]

CORPORATE SOURCE:

Box 1341, San Francisco General Hosp., Univ. California,

San Francisco, CA 94143, USA

SOURCE:

American Journal of Physiology, (April, 1998) Vol. 274, No.

4 PART 2, pp. R931-R938. print. CODEN: AJPHAP. ISSN: 0002-9513.

DOCUMENT TYPE:

Article

LANGUAGE:

English

ENTRY DATE:

Entered STN: 9 Jun 1998

Last Updated on STN: 12 Aug 1998

gamma-Melanocyte-stimulating hormone (gamma-MSH), atrial natriuretic peptide (ANP), and oxytocin have been identified as candidate hormonal mediators of the reflex natriuresis that follows acute unilateral nephrectomy (AUN). Pharmacological characterization of the third melanocortin receptor (MC3-R) indicates that it uniquely responds to physiological concentrations of gamma-MSH. We tested the roles of gamma-MSH, ANP, and oxytocin in the postnephrectomy natriuresis by carrying out AUN during continuous intrarenal infusion of specific

antagonists for their cognate receptors. In anesthetized Sprague-Dawley rats, urinary sodium excretion (UNaV) increased from 0.34 +- 0.04 to 1.12 +- 0.11 mueq/min 90 min after AUN (P < 0.001). No change in UNaV occurred in rats undergoing a sham AUN procedure. Plasma immunoreactive gamma-MSH concentration was 53 +- 8 fmol/ml after sham AUN but 112 +- 17 fmol/ml after AUN (P < 0.01). SHU-9119 and SHU-9005 are substituted derivatives of alpha-MSH with potent antagonism at the MC3-R in vitro. Infusion of these compounds at 5 pmol/min completely blocked the natriuretic response to AUN despite a similar elevation in plasma gamma-MSH (111 +- 12 vs. 49 +- 8 fmol/ml in sham rats, P < 0.01). Intrarenal infusion of the ANP receptor antagonist A-71915 (5 pmol/min) or the oxytocin receptor antagonist (d(CH2)51, Tyr(Me)2,Orn8) vasotocin (10 pmol/min) effectively inhibited the natriuresis induced by intravenous infusion of ANP or oxytocin (each at 1 pmol/min), respectively, but did not block the natriuresis after AUN. Plasma immunoreactivity of these peptides was not increased after AUN. These results indicate that reflex natriuresis after AUN is accompanied by an increase in plasma gamma-MSH but not ANP or oxytocin concentration and is prevented by intrarenal infusion of receptor antagonists with selectivity for MC3-R. The data indicate that gamma-MSH or a closely related peptide mediates postnephrectomy natriuresis and provide further support for the possibility that gamma-MSH may play a wider role in sodium homeostasis.

L7 ANSWER 33 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1997:735794 CAPLUS

DOCUMENT NUMBER:

127:346663

TITLE:

Preparation and biological activity of cyclic bridged

 α -MSH analogs

INVENTOR(S):

Hadley, Mac E.; Hruby, Victor J.; Sharma, Shubh

D.

PATENT ASSIGNEE(S):

Competitive Technologies, Inc., USA

SOURCE:

U.S., 9 pp., Cont.-in-part of U.S. Ser. No. 199,775,

abandoned.
CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				-	
US [.] 5683981	Α	19971104	US 1995-470343		19950606
US 5674839	Α	19971007	US 1994-349902		19941206
US 5714576	Α	19980203	US 1997-826676		19970407
PRIORITY APPLN. INFO.:			US 1987-53229	B2	19870522
			US 1988-212807	В1	19880629
			US 1990-611456	B2	19901113
			US 1992-938781	B1	19920831
			US 1994-199775	B2	19940222
•			US 1992-916767	B1	19920717
			US·1994-349902	A3	19941206

Ac-[Nle4,AA5,D-Phe7,AA10]-R1 I

Ac-[Nle⁴,AA⁵,D-Phe⁷,AA¹¹]-R² II

AB Novel cyclic bridged α -MSH analogs I and II (AA5, AA10, AA11 = L- or D-amino acid containing ω -amino or carboxyl group in the side chain; Xxx = 1-5 α -amino acid residues, each of which may be of L- or D-configuration, or linear or branched spacer chain containing terminal amino and/or carboxy groups; R1, R2 designates α -MSH1-13NH2, α -MSH1-12NH2, α -MSH1-11NH2, α -MSH4-13NH2, α -MSH4-10NH2) are described herein. With the described analogs, when administered in pharmaceutical compns., it is now possible to achieve normalization of hypopigmentation dysfunctions and to achieve darkening of the skin in the total absence of sun or UV light irradiation. Thus, cyclic peptide III was prepared by standard solid-phase methods and displayed α -MSH relative potencies of 100 in a frog skin assay and 5 in a lizard skin assay.

L7 ANSWER 34 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 2

ACCESSION NUMBER:

1997:290902 BIOSIS

DOCUMENT NUMBER:

PREV199799590105

TITLE:

Biological and conformational examination of stereochemical

modifications using the template melanotropin

peptide, Ac-Nle-c(Asp-His-Phe-Arg-Trp-Ala-Lys)-NH-2, on

human melanocortin receptors.

AUTHOR(S):

Haskell-Luevano, Carrie; Nikiforovich, Gregory; Sharma, Shubh D.; Yang, Ying-Kui; Dickinson, Chris;

Hruby, Victor J. [Reprint author]; Gantz, Ira

CORPORATE SOURCE:

Dep. Chem., Univ. Arizona, Tucson, AZ 85721, USA

SOURCE:

Journal of Medicinal Chemistry, (1997) Vol. 40, No. 11, pp.

1738-1748.

CODEN: JMCMAR. ISSN: 0022-2623.

DOCUMENT TYPE:

Article

LANGUAGE:

English

ENTRY DATE: .

Entered STN: 9 Jul 1997

Last Updated on STN: 9 Jul 1997

Examination of conformationally constrained melanotropin peptides (Ac-Nle-4-c(Asp-5-His-Phe-7-Arg-Trp-9-Ala-Lys)-NH-2) on four human melanotropin receptors (hMC1R, hMC3R, hMC4R, and hMC5R) resulted in identifying the importance of ligand stereochemistry at positions 5, 7, and 9 for agonist binding affinity and receptor selectivity. A trend in ligand structure-activity relationships emerged for these peptides, with the hMC1R and hMC4R possessing similar tendencies, as did the hMC3R and hMC5R. alpha-MSH (Ac-Ser-Tyr-Ser-Met-4-Glu-His-Phe-7-Arg-Trp-Gly-Lys-Pro-Val-NH-2), NDP-MSH (Ac-Ser-Tyr-Ser-Nle-4-Glu-His-D-Phe-7-Arg-Trp-Gly-Lys-Pro-Val-NH-2), and MTII (Ac-Nle-4-c(Asp-5, D-Phe-7-Lys-10)-alpha-MSH(4-10)-NH-2) were also examined at each of these melanocortin receptors. Interestingly, the linear NDP-MSH possessed greater binding affinity for the hMC3R and hMC5R than did the cyclic analogue MTII. The peptide Ac-Nle-c(Asp-His-Phe-Arg-D-

Trp-9-Ala-Lys)-NH-2 demonstrated the greatest differentiation in binding affinity between the hMC1R and hMC4R (78-fold). Analogue Ac-Nle-c(Asp-His-Phe-7-Arg-Trp-Ala-Lys)-NH-2 resulted in micromolar binding affinity (or greater) at the hMC3R and hMC5R, demonstrating the importance of D-Phe-7 for ligand binding potency at these receptors. Ac-c(Asp-His-Phe-Arg-Trp-Ala-Lys)-NH-2 resulted in loss of binding affinity at the hMC5R, implicating the importance of Nle-4 (or a hydrophobic residue in this position) for binding to this receptor. Ac-Nle-c(D-Asp-5-His-Phe-Arg-Trp-Ala-Lys)-NH-2 was unable to competitively displace (125I)NDP-MSH binding at micromolar concentrations on the hMC3R and hMC5R, suggesting the importance of chirality of Asp-5 either for ligand-receptor interactions or for orientation of the side chain lactam bridge and the structural integrity of the peptide conformation. Energy calculations performed for these peptides resulted in the identification of a low-energy ligand conformer family that is common to all the ligands. The differences in ligand binding affinities observed in this study are postulated to be a result of different ligand-receptor complexed interactions and not solely to the ligand structure.

L7 ANSWER 35 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 3

ACCESSION NUMBER: 1997:513441 BIOSIS DOCUMENT NUMBER: PREV199799812644

TITLE: Selectivity of cyclic (D-Nal-7) and (D-Phe-7) substituted

MSH analogues for the melanocortin receptor

subtypes.

AUTHOR(S): Schioth, Helgi B. [Reprint author]; Muceniece, Ruta;

Mutulis, Felikss; Prusis, Peteris; Lindeberg, Gunnar; Sharma, Shubh D.; Hruby, Victor J.; Wikberg, Jarl

E. S. [Reprint author]

CORPORATE SOURCE: Dep. Pharmaceutical Pharmacol., Biomedical Cent., Box 591,

751 24 Uppsala, Sweden

SOURCE: Peptides (Tarrytown), (1997) Vol. 18, No. 7, pp. 1009-1013.

CODEN: PPTDD5. ISSN: 0196-9781.

DOCUMENT TYPE: Article LANGUAGE: English

ENTRY DATE: Entered STN: 10 Dec 1997

Last Updated on STN: 10 Dec 1997

The binding of the 2 cyclic lactam MSH (4-10) analogues (MTII, SHU9119), and 5 cyclic (Cys-4, Cys-10)alpha-MSH analogues were tested on cells transiently expressing the human MC1, MC3, MC4 and MC5 receptors. The results indicate a differential importance of the C-terminal (Lys-Pro-Val) and N-terminal (Ser-Tyr-Ser) of cyclic (Cys-4, Cys-10)alpha-MSH analogues in binding to the MC receptor subtypes. Substitution of D-Phe-7 by D-Nal(2')-7 in both the cyclic lactam MSH (4-10) and the cyclic disulphide MSH (4-10) analogues resulted in a shift in favour of selectivity for the MC4 receptor; the disulphide analogue, (Cys-4, D-Na)(2')-7 Cys-10)alpha-MSH (4-10) (HS9510), showing the highest selectivity for the MC4 receptor among all the substances tested. However, the cyclic lactams displayed an over all higher affinity for the MC receptors, than any of the cyclic disulphide MSH (4-10) analogues.

L7 ANSWER 36 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 4

ACCESSION NUMBER: 1997:178769 BIOSIS DOCUMENT NUMBER: PREV199799470482

TITLE: Characterisation of D117A and H260A mutations in the

melanocortin 1 receptor.

AUTHOR(S): Schioth, Helgi B. [Reprint author]; Muceniece, Ruta;

Szardenings, Michael; Prusis, Peteris; Lindeberg, Gunnar;

Sharma, Shubh D.; Hruby, Victor J.; Wikberg, Jarl

E. S.

CORPORATE SOURCE: Dep. Pharmaceutical Pharmacol., Biomed. Center, Box 591,

751 24 Uppsala, Sweden

Molecular and Cellular Endocrinology, (1997) Vol. 126, No. SOURCE:

2, pp. 213-219.

CODEN: MCEND6. ISSN: 0303-7207.

DOCUMENT TYPE: Article LANGUAGE: English

ENTRY DATE: Entered STN: 24 Apr 1997

Last Updated on STN: 2 Jun 1997

AB Recent site directed mutagenesis studies on the melanocortin 1 (MC1) receptor have indicated the importance of D117 and H260 amino acid residues for the binding of alpha-MSH (melanocyte stimulating hormone). Here, we report the testing of 12 cyclic and linear MSH peptides on the D117A and H260A mutant receptors. Moreover, we constructed a double mutant which displayed a major loss in affinity for (Nle-4, D-Phe-7)alpha-MSH. Our new data of His-6 and Phe-7 substituted MSH peptides are compared with previous results and the hypothesis of putative interactions of D117 and H260 with single amino acids in the MSH peptide. Our conclusions are that the D117A and the H260A mutations may cause conformational changes in the receptor which can not be linked to any specific amino acid in the MSH-peptides.

L7 ANSWER 37 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 5

ACCESSION NUMBER: 1997:22523 BIOSIS PREV199799321726 DOCUMENT NUMBER:

TITLE: Melanotropic peptide-conjugated beads for

microscopic visualization and characterization of

melanoma melanotropin receptors.

Sharma, Shubh D.; Jiang, Jinwen; Hadley, Mac E.; AUTHOR(S):

Bentley, David L.; Hruby, Victor J. [Reprint author]

CORPORATE SOURCE: Dep. Chemistry, Arizona Res. Laboratories, Univ. Arizona,

Tucson, AZ 85721, USA

SOURCE: Proceedings of the National Academy of Sciences of the

United States of America, (1996) Vol. 93, No. 24, pp.

13715-13720.

CODEN: PNASA6. ISSN: 0027-8424.

DOCUMENT TYPE: Article English LANGUAGE:

ENTRY DATE: ' Entered STN: 15 Jan 1997

Last Updated on STN: 23 Jan 1997

We developed two solid-phase reagent systems for microscopic visualization and characterization of melanocyte-stimulating hormone (MSH) receptors of melanoma cells. Multiple copies of (Nle-4, D-Phe-7) -alpha-MSH, a potent analog of alpha-MSH, were conjugated to microspheres (latex beads) or macrospheres (polyamide beads) through a thioether or disulfide bond. Binding between the beads and mouse and human melanoma cells was examined by scanning electron microscopy and by light microscopy. Each mouse and human melanoma cell (of all cell lines) evinced binding to the beads. Binding of the melanotropin conjugates was not restricted to any one phase of the cell cycle. Specificity of binding was demonstrated by several studies. Negative controls included cell types of nonmelanocyte origin (e.g., mammary cancer cells) and beads that lacked the melanotropic ligand or had other attached ligands. Beads with a disulfide-linked melanotropin analog served as a direct control. Treatment of these beads with DTT during or before incubation of the beads with melanoma cells (resulting in-release of the MSH analog from the beads) eliminated binding of the beads to melanoma cells. Binding interactions between melanoma cells and melanotropin-bound beads also could be abolished by prior incubation with unconjugated MSH analog. During these experiments, certain membrane receptor-hormone associated phenomena, such as capping (aggregation) of the receptor-ligand complex, also were observed. These

results provide visual evidence that MSH receptors are a property common to melanoma cells. Normal human epidermal melanocytes and keratinocytes were also shown to express melanotropin receptors by the same criteria established for melanoma cells.

L7 ANSWER 38 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on

STN

ACCESSION NUMBER: 1996:460540 BIOSIS DOCUMENT NUMBER: PREV199699182896

TITLE: Melanocortin antagonists define two distinct

pathways of cardiovascular control by alpha- and gamma-

melanocyte-stimulating hormones.

AUTHOR(S): Li, Si-Jia; Varga, Karoly; Archer, Phillip; Hruby, Victor

J.; Sharma, Shubh D.; Kesterson, Robert A.; Cone,

Roger D.; Kunos, George [Reprint author]

CORPORATE SOURCE: Dep. Pharmacol. Toxicol., Virginia Commonwwealth Univ., Box

980613, Richmond, VA 23298, USA

SOURCE: Journal of Neuroscience, (1996) Vol. 16, No. 16, pp.

5182-5188.

CODEN: JNRSDS. ISSN: 0270-6474.

DOCUMENT TYPE: Article LANGUAGE: English

ENTRY DATE: Entered STN: 11 Oct 1996

Last Updated on STN: 11 Oct 1996

AB Melanocortin peptides and at least two subtypes of melanocortin receptors (MC3-R and MC4-R) are present in brain

regions involved in cardiovascular regulation. In urethane-anesthetized rats, unilateral microinjection of alpha-melanocyte-stimulating

hormone (MSH) into the medullary dorsal-vagal complex (DVC) causes dose-dependent (125-250 pmol) hypotension and bradycardia, whereas y-MSH

is less effective. The effects of alpha-MSH are inhibited by

microinjection to the same site of the novel MC4-R/MC3-R antagonist SHU9119 (2-100 pmol) but not naloxone (270 pmol), whereas the similar effects of intra-DVC injection of beta-endorphin (1 pmol) are inhibited by naloxone and not by SHU9119. Hypotensive and bradycardic responses to electrical stimulation of the arcuate nucleus also are inhibited by

ipsilateral intra-DVC microinjection of SHU9119. gamma-MSH and ACTH(4-10), but not alpha-MSH, elicit dose-dependent (0.1-12.5 nmol) pressor and tachycardic effects, which are much more pronounced after intracarotid

than after intravenous administration. The effects of gamma-MSH (1.25 nmol) are not inhibited by the intracarotid injection of SHU9119

(1.25-12.5 nmol) or the novel MC3-R antagonist SHU9005 (1.25-12.5 nmol). We conclude that the hypotension and bradycardia elicited by the release

of alpha-MSH from arcuate neurons is mediated by neural melanocortin receptors (MC4-R/MC3-R) located in the DVC, whereas

the similar effects of beta-endorphin, a peptide derived from the same precursor, are mediated by opiate receptors at the same site. In contrast, neither MC3-R nor MC4-R is involved in the centrally mediated pressor and tachycardic actions of gamma-MSH, which, likely, are mediated

by an as yet unidentified receptor.

L7 ANSWER 39 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:137750 CAPLUS

DOCUMENT NUMBER: 126:155849

TITLE: Melanotropic peptide receptors: membrane

markers of human melanoma cells

AUTHOR(S): Jiang, Jinwen; Sharma, Shubh D.; Fink, Jody

L.; Hadley, Mac E.; Hruby, Victor J.

CORPORATE SOURCE: Departments of Cell Biology & Anatomy, University of

Arizona, Tucson, AZ, 85724, USA

SOURCE: Experimental Dermatology (1996), 5(6), 325-333

CODEN: EXDEEY; ISSN: 0906-6705

PUBLISHER: Munksgaard

DOCUMENT TYPE: Journal LANGUAGE: English

The objectives of this research were to determine whether melanotropin receptors are characteristic (constant) membrane markers of human melanoma cells. Methodologies were developed to visualize these receptors by fluorescence microscopy. Multiple copies (10-20) of both [Nle4, D-Phe7] α -MSH, a superpotent analog of α -MSH (α -MSH), and a fluorophore, were conjugated to polyvinyl alc. (PVA). Incubation in the presence of the multivalent macromol. conjugate (FITC-PVA-MSH) resulted in binding of human epidermal melanocytes and keratinocytes and human melanoma cells (both melanotic and amelanotic) to the fluorescent conjugate. Binding of the conjugate to the cells exhibited a unique cluster pattern (capping) suggesting a receptor internalization related phenomenon. Most importantly, every cell of every melanoma cell line, melanotic or amelanotic, possessed receptors as visualized by fluorescence microscopy. Since the cells were not synchronized, some binding apparently took place during all phases of the cell cycle. Therefore, receptor expression appears not to be cell-cycle dependent. Specificity of binding of FITC-PVA-MSH was demonstrated by several studies. Binding of the conjugate to melanoma cells could be blocked by prior incubation of the cells in the presence of the unconjugated hormone analog; [Nle4, D-Phe7] α -MSH. The macromol. conjugate lacking bound ligand (FITC-PVA) did not bind to the melanoma cells. Another peptide, a substance-P analog, attached to the substrate (FITC-PVA-SP) failed to bind to the cells. With the exception of keratinocytes, other cells of nonmelanocyte origin (e.g., fibroblasts, spleen, liver, kidney cells, and mammary cancer cells, lung cancer cells) did not bind to the conjugate. Thus, cell-specific melanotropin receptors appear to be characteristic cell surface markers of epidermal melanocytes, keratinocytes, and melanoma cells. In several human melanoma cell lines these receptors appeared to be functional since [Nle4,D-Phe7] α -MSH stimulated tyrosinase activity. Fluorescent melanotropin conjugates might prove useful in determining whether all human melanoma (primary and metastatic) tumors possess such receptors. These receptors might then provide targets for melanotropic peptides for the identification localization, and chemotherapy of melanoma.

L7 ANSWER 40 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 6

ACCESSION NUMBER: 1997:107433 BIOSIS DOCUMENT NUMBER: PREV199799406636

TITLE: Human epidermal melanocyte and keratinocyte

melanocortin receptors: Visualization by

melanotropic peptide conjugated microspheres (Latex

beads).

AUTHOR(S): Jiang, Jinwen; Sharma, Shubh D.; Hruby, Victor

J.; Bentley, David L.; Fink, Jody L.; Hadley, Mac E.

[Reprint author]

CORPORATE SOURCE: Dep. Cell Biol. Anatomy, Univ. Arizona, Tucson, AZ

85724-5044, USA

SOURCE: Pigment Cell Research, (1996) Vol. 9, No. 5, pp. 240-247.

CODEN: PCREEA. ISSN: 0893-5785.

DOCUMENT TYPE: Article LANGUAGE: English

ENTRY DATE: Entered STN: 10 Mar 1997

Last Updated on STN: 10 Mar 1997

AB The objectives of this research were to determine whether melanocortin receptors are characteristic (constant) membrane markers of human epidermal melanocytes. Methodologies were developed to visualize melanotropin receptors by scanning electron microscopy (SEM). Multiple copies (up to a hundred) of

(Nle-4, D-Phe-7) alpha-MSH, a superpotent analog of alpha-melanocyte stimulating hormone (alpha-MSH), were conjugated to a macromolecular carrier (latex beads: microspheres). Incubation in the presence of the melanotropin-conjugated microspheres resulted in binding of human normal epidermal melanocytes to the beads. Almost every (possibly all) melanocyte possesses melanocortin receptors as visualized by SEM. Specificity of binding of the macromolecular conjugate was demonstrated by several studies: 1) Binding of melanocytes to the microspheres was specific since it could be blocked by prior incubation of the cells in the presence of the unconjugated hormone analog; 2) microspheres lacking bound ligand did not bind to the melanocytes; 3) microspheres that were first treated with reducing agents (e.g., dithiothreitol) did not subsequently bind to melanocytes; 4) another peptide hormone ligand (e.g., a substance-P analog) attached to the latex beads failed to bind to the cells; 5) B16/F10 mouse melanoma cells known to express melanocortin receptors bound to the microspheres; and 6) cells of nonmelanocyte origin (e.g., mammary cancer cells, small-cell lung cancer cells, fibroblasts) did not bind to the macromolecular conjugate. One. exception was that human epidermal keratinocytes also expressed melanocortin receptors as determined by all the criteria established above for epidermal melanocytes. Thus, cell specific melanocortin receptors appear to be characteristic cell surface markers of epidermal melanocytes and keratinocytes.

L7 ANSWER 41 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 7

ACCESSION NUMBER: DOCUMENT NUMBER:

1997:105459 BIOSIS

DOCOMENT

PREV199799404662

TITLE:

Melanocortin receptors: Identification and

characterization by melanotropic peptide agonists

and antagonists.

AUTHOR(S):

Hadley, Mac E. [Reprint author]; Hruby, Victor J.; Jiang,

Jiwen; Sharma, Shubh D.; Fink, Jody L.;

Haskell-Luevano, Carrie; Bentley, David L.; Al-Obeidi,

Fahad; Sawyer, Tomi K.

CORPORATE SOURCE:

Cell Biol. Anatomy, Coll. Med., Tucson, AZ 85724-5044, USA

Pigment Cell Research, (1996) Vol. 9, No. 5, pp. 213-234. CODEN: PCREEA. ISSN: 0893-5785.

DOCUMENT TYPE:

Article

General Review; (Literature Review)

LANGUAGE:

SOURCE:

English

ENTRY DATE:

Entered STN: 10 Mar 1997

Last Updated on STN: 10 Mar 1997

AB Hormones are chemical messengers released from cells to act on and control the activity of other cells. Hormonal ligands initiate their actions by interacting with receptive substances (Langley, 1906) of the target cells. These receptors are proteins that are either integral components of the cell membrane or are localized cytoplasmically within cells. Ligand-receptor interaction results in either the stimulation or inhibition of cellular activity. Since most hormones bind rather specifically to receptors possessed by their target cells, labeling of hormonal ligands can be utilized to identify and localize cells within an animal. In this report we discuss what is presently known about melanocortin receptors (MCRs) as studied by the use of labeled melanotropic peptide ligands.

L7 ANSWER 42 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 8

ACCESSION NUMBER:

1995:489989 BIOSIS

DOCUMENT NUMBER:

PREV199598504289

TITLE:

Cyclic Lactam alpha-Melanotropin Analogues of

Ac-Nle-4-cyclo(Asp-5, D-Phe-7, Lys-10) alpha-

Melanocyte-Stimulating Hormone-(4-10)-NH-2 with Bulky Aromatic Amino Acids at Position 7 Show High Antagonist Potency and Selectivity at Specific

Melanocortin Receptors.

AUTHOR(S): Hruby, Victor J. [Reprint author]; Lu, Dongsi; Sharma,

Shubh D.; Castrucci, Ana De L.; Kesterson, Robert A.; Al-Obeidi, Fahad A.; Hadley, Mac E.; Cone, Roger D.

CORPORATE SOURCE: Dep. Chem., Univ. Arizona, Tucson, AZ 85721, USA

SOURCE: Journal of Medicinal Chemistry, (1995) Vol. 38, No. 18, pp.

3454-3461.

CODEN: JMCMAR. ISSN: 0022-2623.

DOCUMENT TYPE: Article LANGUAGE: English

ENTRY DATE: Entered STN: 9 Nov 1995

Last Updated on STN: 14 Dec 1995

AB The cloning of the melanocyte-stimulating hormone (MSH) and adrenocorticotropic hormone (ACTH) receptors (MC1-R and MC2-R, respectively) recently has led to the identification of three additional melanocortin receptors, MC3-R, MC4-R, and MC5-R. The MC2 receptor primarily recognizes only ACTH peptides, but the other four receptors all recognize alpha-melanocyte-stimulating hormone (alpha-MSH) and potent alpha-MSH agonists such as (Nle-4, D-Phe-7) alpha-MSH-NH-2 and Ac-Nle-4-c(Asp-5,D-Phe-7 Lys-10)alpha-MSH-(4-10)-NH-2 as well as ACTH. The absence of any known physiological role for these new receptors, expressed both in the brain (MC3-R and MC4-R) and throughout a number of peripheral tissues (MC5-R), has necessitated a search for potent and receptor selective-agonists and antagonists. We report here that analogues of the superpotent cyclic agonist analogue Ac-Nle-4-c(Asp-5,D-Phe-7, Lys-10) alpha-MSH-(4-10)-NH-2, in which a bulky aromatic amino acid is substituted in the 7-position, can produce potent and selective antagonists for melanocortin receptors. Thus, the D-p-iodophenylalanine-7-containing analogue Ac-Nle-4-c(Asp-5, D-Phe(pI)-7. Lys-10) alpha-MSH- (4-10)-NH-2 is a potent antagonist (pA-2 = 10.3) in theclassical frog skin (Rana pipiens) assay (MC1-R), as is the D-2'-naphthylalanine-7 (D-Nal(2)-7)-containing analogue Ac-Nle-4-c(Asp-5,D-Nal(2)-7, Lys-10)alpha-MSH-(4-10)-NH-2 (pA-2 gt 10.3).Interestingly, the D-p-chloro- and D-p-fluorophenylalanine-7-containing analogues lacked antagonist activities at all melanotropin receptors, and both exhibited full agonist potency in the frog skin assay. The activity of these analogues also was examined at four mammalian melanocortin receptors. Interestingly, Ac-Nle-4-c(Asp-5, (D-Nal(2)-7, Lys-10)alpha-MSH-(4-10)-NH-2 was found to be a potent antagonist of the MC4-R (pA-2 = 9.3) with minimal agonist activity, a less potent antagonist of the MC3-R (pA-2 = 8.3) with minimal agonist activity, and a full agonist of the MC1 and MC5 receptors. Surprisingly, Nle-4-c(Asp-5,D-Phe(pI)-7, Lys-10) alpha-MSH was found to be a potent agonist at the cloned human MC1-R (EC-50 = 0.055 nM) and mouse MC1-R (EC-50 = 0.19 nM) but had potent antagonist activities at the human MC4-R (pA-2 = 9.7) and human MC3-R (pA-2 = 8.3) with significant partial agonist activities (EC-50 = 0.57 and 0.68 nM, respectively) as well. Thus, highly potent and receptor selective antagonist analogues can arise from substitution of the D-Phe-7 residue with a bulky aromatic amino acid. These analogues can be used to help determine the functional roles of these receptors.

L7 ANSWER 43 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 9

ACCESSION NUMBER: 1995:319499 BIOSIS DOCUMENT NUMBER: PREV199598333799

TITLE: Design, synthesis, biology, and conformations of bicyclic

alpha-melanotropin analogues.

AUTHOR(S): Haskell-Luevano, Carrie; Shenderovich, Mark D.;

Sharma, Shubh D.; Nikiforovich, Gregory V.; Hadley,

Mac E.; Hruby, Victor J. [Reprint author]

CORPORATE SOURCE: Dep. Chem., Univ. Ariz., Tucson, AZ 85721, USA

SOURCE: Journal of Medicinal Chemistry, (1995) Vol. 38, No. 10, pp.

1736-1750.

CODEN: JMCMAR. ISSN: 0022-2623.

DOCUMENT TYPE: Article LANGUAGE: English

ENTRY DATE: Entered STN: 30 Jul 1995

Last Updated on STN: 30 Jul 1995

AB Seven side chain-constrained.bicyclic alpha-melanotropin (alpha-MSH) analogues were designed and synthesized, their conformations analyzed, and their biological properties examined in the frog skin and lizard skin bioassays. The structure of these analogues is based on the central sequence Ac-Cys-4-Xaa-5-His-6-DPhe-7-Arg-8-Trp-9-Cys-10-Lys-11-NH-2 (Xaa-5 = Asp or Glu) and has been extended on the N-terminal with the amino acids Ser-1-Tyr-2-Ser-3 and on the C-terminal with Pro-12-Val-13 to more closely resemble the native hormone alpha-MSH. The analogue Ac-Cys-4-Asp-5-His-6-DPhe-7-Arg-8-Trp-9-Lys-10-Cys-11-NH-2 also was synthesized, and its conformational and biological properties were examined. Design of these analogues was based upon the previously identified superpotent monocyclic peptides (Cys-4, DPhe-7, Cys-10) alpha-MSH(4-10)-NH-2 and (Nle-4,Asp-5,DPhe-7,Lys-10) alpha-MSH(4-10)-NH-2 with the rationale of increasing conformational constraints to restrict the available backbone conformations as a means to identify the conformations that facilitate biological activity. Computer-assisted conformational analysis of the central tetrapeptide residues 6-9 identified beta-turns which varied with respect to the residue in the i + 1 position. Each highly constrained peptide contains D-Phe-7 and a 23-membered ring which has previously been identified as crucial to produce prolonged acting peptides with superagonistic activities. The bicyclic peptides reported in this study are full agonists and are 25-400-fold less potent than alpha-MSH in the frog and lizard skin bioassays.

ANSWER 44 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on L7 STN

DUPLICATE 10

ACCESSION NUMBER:

DOCUMENT NUMBER:

1996:110536 BIOSIS PREV199698682671

TITLE:

The melanotropic peptide, (Nle-4,

D-Phe-7) alpha-MSH, stimulates human melanoma

tyrosinase activity and inhibits cell proliferation.

Jiang, Jinwen; Sharma, Shubh D.; Nakamura, AUTHOR(S):

Shelley; Lai, Jeng-Yu; Fink, Jody L.; Hruby, Victor J.;

Hadley, Mac E. [Reprint author]

CORPORATE SOURCE: Cell Biol. Anatomy, Coll. Med., Univ. Arizona, Tucson, AZ

85724, USA

Pigment Cell Research, (1995) Vol. 8, No. 6, pp. 314-323. SOURCE:

CODEN: PCREEA. ISSN: 0893-5785.

DOCUMENT TYPE:

Article English

LANGUAGE: ENTRY DATE:

Entered STN: 12 Mar 1996

Last Updated on STN: 13 Mar 1996

Seventeen human melanoma cell (HMC) lines, both melanotic and amelanotic, were incubated in the continuous

presence of a potent melanotropic peptide hormone analog, (Nle-4,D-Phe7)alpha-MSH, for 72 hr with daily changes of medium. Only one cell line (HD, melanotic) consistently responded to the hormone analog by increased tyrosinase activity. Three (one melanotic, two amelanotic) of the HMC lines also failed to respond to the peptide by either increased or decreased enzyme activity when incubated continuously in the presence of the peptide for longer periods of time (6,15,27,43 days). The HD cell line, however, again responded with increasingly enhanced basal enzyme activity the longer the cells were incubated in the presence of the melanotropin. One amelanotic cell line (C8161) responded with enhanced enzyme activity when grown to confluency in the

continuous presence of the peptide. Basal tyrosinase activity of the C8161 cell line may have increased as cell density in the flasks These results suggest that under conditions of increased cell number, phenotypic expression of tyrosinase activity in so called "amelanotic" (tyrosinase-negative) cells is increased and can be enhanced further by stimulation with a melanotropic peptide. Under conditions of increased cell number, the presence of (Nle-4,D-Phe-7)alpha-MSH caused morphological differentiation (shape change); the cells became enlarged and very dendritic. The number of cells in monolayer (surface of the flask) and in the medium were drastically reduced in both melanotic and "amelanotic" cell lines incubated with (Nle-4, D-Phe-7) alpha-MSH. The data support other published reports that melanotropic peptides inhibit human melanoma cell growth (proliferation) in vitro, most likely through a cytostatic mechanism. (Nle-4, D-Phe-7) alpha-MSH also exhibited a prolonged (residual) inhibitory action on HD cell proliferation. In other words, inhibition of cell growth (proliferation) of the HMCs was evident even several days after removal of the melanotropic peptide from the incubation medium.

L7 ANSWER 45 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 11

ACCESSION NUMBER: 1994:452483 BIOSIS DOCUMENT NUMBER: PREV199497465483

TITLE: Preformulation studies with melanotan-II: A potential skin cancer chemopreventive peptide.

AUTHOR(S): Lan, En-Ling; Ugwu, Sydney O.; Blanchard, James [Reprint

author]; Fang, Xiaojun; Hruby, Victor J.; Sharma,

Shubh

Dep. Pharmaceutical Sci., Coll. Pharmacy, Univ. Ariz., CORPORATE SOURCE:

Tucson, AZ 85121, USA

SOURCE: Journal of Pharmaceutical Sciences, (1994) Vol. 83, No. 8,

pp. 1081-1084.

CODEN: JPMSAE. ISSN: 0022-3549.

DOCUMENT TYPE: Article LANGUAGE: English

ENTRY DATE: Entered STN: 24 Oct 1994

Last Updated on STN: 16 Dec 1994

Melanotan-II (1) is a cyclic heptapeptide analogue of alphamelanocyte-stimulating hormone (alpha-MSH) which tans the skin and is currently being evaluated for the prevention of sunlight-induced skin cancers. The dissociation constants of 1 were determined using potentiometric titration and ultraviolet spectrophotometry. The pK-al (histidine) and pK-a2 (arginine) were estimated to be 6.54 and 11.72, respectively. The apparent partition coefficient (PC) was measured at three pH values using both n-octanol and isooctane as the nonpolar phase. The PC(octanol) and DELTA-log PC at pH 7.35 were 2.82 and 1.05, respectively. These data, together with the observance of a bioavailability of 4.6% in the rat, indicate that 1 may be a suitable candidate for oral delivery. The data presented here are useful in developing an appropriate dosage form for 1.

ANSWER 46 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation L7 STN

DUPLICATE 12

ACCESSION NUMBER: 1995:33242 BIOSIS DOCUMENT NUMBER: PREV199598047542

TITLE: Multivalent melanotropic Peptide and Fluorescent

> Macromolecular Conjugates: New Reagents for Characterization of Melanotropin Receptors. Sharma, Shubh D.; Granberry, Michael E.; Jiang,

AUTHOR(S): Jinwen; Leong, Stanley P. L.; Hadley, Mac E.; Hruby, Victor

J. [Reprint author]

CORPORATE SOURCE: Dep. Chem., Univ. Arizona, Tucson, AZ 85721, USA

SOURCE: Bioconjugate Chemistry, (1994) Vol. 5, No. 6, pp. 591-601. CODEN: BCCHES. ISSN: 1043-1802.

DOCUMENT TYPE: Article LANGUAGE: English

ENTRY DATE: Entered STN: 25 Jan 1995

Last Updated on STN: 26 Jan 1995

Radioreceptor binding studies have documented the presence of AB melanotropin receptors on some but not all of the various human melanoma cell lines that have been studied. Using a newly developed class of multivalent fluorescent melanotropin -macromolecular conjugates, we have demonstrated for the first time the presence of specific melanotropin receptors on all of the melanoma cell lines, both mouse and human, melanotic as well as amelanotic, that were investigated. The conjugates developed by us consisted of multiple copies of both a potent melanotropin analogue and a fluorophore, both arranged in a pendent fashion on a biologically inert macromolecule. While the multivalency of these conjugates may have established stronger binding with the melanotropin receptors on the cell surface (perhaps by establishing simultaneous multiple interactions), the presence of multiple copies of the fluorophore also greatly increased the level of detection in fluorescence labeling experiments. Membrane receptor-hormone-associated phenomena, such as capping and internalization of the receptor-ligand complex, also were observed. The details of these methods are described using B-16 mouse melanoma cells as a model system. demonstration of MSH receptors as a common marker for melanoma suggests that this methodology might be employed for early clinical detection and anatomical localization of melanoma- These results also offer the possibility that substitution of the fluorophore in these conjugates by a chemical agent of (chemo-)therapeutic relevance may provide a powerful tool for site specific (tumor) targeting and cytotoxicity.

L7 ANSWER 47 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on

DUPLICATE 13

ACCESSION NUMBER: 1994:132615 BIOSIS DOCUMENT NUMBER: PREV199497145615

Kinetics of degradation of a cyclic lactam analog of alpha-TITLE:

melanotropin (MT-II) in aqueous solution.

AUTHOR(S): . Ugwu, Sydney O.; Lan, En-Ling; Sharma, Shubh;

Hruby, Victor; Blanchard, James [Reprint author]

CORPORATE SOURCE: Dep. Pharmaceutical Sci., Coll. Pharm., Univ. Arizona,

Tucson, AZ 85721, USA

International Journal of Pharmaceutics (Amsterdam), (1994) SOURCE:

Vol. 102, No. 1-3, pp. 193-199. CODEN: IJPHDE. ISSN: 0378-5173.

DOCUMENT TYPE:

Article English

LANGUAGE: ENTRY DATE:

Entered STN: 24 Mar 1994 Last Updated on STN: 24 Mar 1994

AB The kinetics of degradation of MT-II in aqueous buffered solution was studied in order to facilitate the formulation of a stable oral dosage form. A stability-indicating high-performance liquid chromatographic (HPLC) assay was used to measure the concentrations of MT-II remaining at various time periods. The rate of degradation of MT-II was studied as a function of pH, phosphate buffer concentration, temperature and ionic strength. Results indicated that the degradation of MT-II followed apparent first-order kinetics. The pH-rate profile showed that MT-II was most stable at approximately pH 5.0. Data obtained from this study also indicated that the degradation rate of this peptide was directly proportional to phosphate buffer concentration and temperature. shelf-life of MT-II in aqueous buffer solutions at 25 degree C was 27 h. The activation energy was 7.5 kcal/mol. The degradation rate of MT-II appeared to be independent of the ionic strength of the aqueous buffered

solution.

L7 ANSWER 48 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on

DUPLICATE 14

1993:426424 BIOSIS ACCESSION NUMBER: DOCUMENT NUMBER: PREV199345074049

TITLE: Melanotropic peptides for therapeutic and

cosmetic tanning of the skin.

AUTHOR(S): Hadley, Mac E. [Reprint author]; Sharma, Shubh D. ; Hruby, Victor J.; Levine, Norman; Dorr, Robert T.

CORPORATE SOURCE: Dep. Anat., Univ. Ariz., Tucson, AZ 85724, USA

SOURCE: Vaudry, H. [Editor]; Eberle, A. N. [Editor]. Ann. N. Y. Acad. Sci., (1993) pp. 424-439. Annals of the New York

Academy of Sciences; The melanotropic peptides.

Publisher: New York Academy of Sciences, 2 East 63rd Street, New York, New York 10021, USA. Series: Annals of

the New York Academy of Sciences.

Meeting Info.: Conference. Rouen, France. September 6-9,

1992.

CODEN: ANYAA9. ISSN: 0077-8923. ISBN: 0-89766-782-4

(paper), 0-89766-781-6 (cloth).

DOCUMENT TYPE: Article

Conference; (Meeting)

LANGUAGE: English

ENTRY DATE: Entered STN: 15 Sep 1993

Last Updated on STN: 15 Sep 1993

ANSWER 49 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1994:401389 CAPLUS

DOCUMENT NUMBER: 121:1389

TITLE: Melanotropic peptides and melanoma

cell receptors

Jiang, Jin-wen; Nakamura, Shelley; Sharma, Shubh AUTHOR(S):

D.; Hruby, Victor J.; Hadley, Mac E.

Coll. Med., Univ. Ariz., Tucson, AZ, 85724, USA CORPORATE SOURCE:

SOURCE: Pept.: Biol. Chem., Proc. Chin. Pept. Symp. (1993), Meeting Date 1992, 143-4. Editor(s): Du, Yu-cang;

Tam, James P.; Zhang, You-shang. ESCOM: Leiden, Neth.

CODEN: 59YOAI

DOCUMENT TYPE: Conference LANGUAGE: English

A MSH analog attached to polyvinyl alc. through a disulfide linkage was

used to demonstrate the presence of melanotropin receptors in various melanoma cell lines. The MSH conjugate bound to all mouse and human melanoma cells, but not to MCF-7 or to normal

mouse spleen and liver cells.

ANSWER 50 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1994:622219 CAPLUS

DOCUMENT NUMBER: 121:222219

TITLE: A new class of positively charged melanotropin

analogs: a new concept in peptide design

Sharma, Shubh D.; Nikiforovich, Gregory V.; AUTHOR(S):

Jiang, Jinwen; Castrucci, Ana M. L.; Hadley, Mac E.;

Hruby, Victor J.

Department of Chemistry, University of Arizona, CORPORATE SOURCE:

Tucson, AZ, 85721, USA

Pept. 1992, Proc. Eur. Pept. Symp., 22nd (1993), SOURCE:

Meeting Date 1992, 95-6. Editor(s): Schneider, Conrad

H.; Eberle, Alex N. ESCOM: Leiden, Neth.

CODEN: 60LUAN

DOCUMENT TYPE: Conference LANGUAGE: English .

AB Comparative potencies relative to $\alpha-$ melanotropin in the frog and lizard skin assays were measured for 4 $\alpha-MSH1-13-NH2$ analogs with increased overall pos. charges on the mols. Introduction of basic residues in a biocompatible fashion can further modulate and enhance the biol. profile.

L7 ANSWER 51 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1994:473927 CAPLUS

DOCUMENT NUMBER: 121:73927

TITLE: Melanotropic peptides for the

identification, localization (imaging) and

chemotherapy of melanoma

AUTHOR(S): Hadley, Mac E.; Sharma, Shubh D.; Hruby,

Victor J.

CORPORATE SOURCE: Dep. Anat., Univ. Ariz., Tucson, AZ, 85721, USA

SOURCE: Pept.: Biol. Chem., Proc. Chin. Pept. Symp. (1993),

Meeting Date 1992, 53-6. Editor(s): Du, Yu-cang; Tam,

James P.; Zhang, You-shang. ESCOM: Leiden, Neth.

CODEN: 59YOAI

DOCUMENT TYPE: Conference; General Review

LANGUAGE: English

AB A review, with 14 refs., on the design of α-MSH peptides for melanoma chemotherapy, fluorescent MSH analogs for receptor

identification and visualization, radiolabeled MSH analogs, MSH peptides

for protection against skin cancer, and MSH delivery.

L7 ANSWER 52 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on

STN DUPLICATE 15

ACCESSION NUMBER: 1993:426398 BIOSIS DOCUMENT NUMBER: PREV199345074023

TITLE: Design, synthesis, and conformation of superpotent and

prolonged acting melanotropins.

AUTHOR(S): Hruby, Victor J. [Reprint author]; Sharma, Shubh D.

; Toth, Katalan; Jaw, John Y.; Al-Obeidi, Fahad; Sawyer,

Tomi K.; Hadley, Mac E.

CORPORATE SOURCE: Dep. Chem., Univ. Ariz., Tucson, AZ 85721, USA

SOURCE: Vaudry, H. [Editor]; Eberle, A. N. [Editor]. Ann. N. Y.

Acad. Sci., (1993) pp. 51-63. Annals of the New York

Academy of Sciences; The melanotropic peptides.

Publisher: New York Academy of Sciences, 2 East 63rd Street, New York, New York 10021, USA. Series: Annals of

the New York Academy of Sciences.

Meeting Info.: Conference. Rouen, France. September 6-9,

1992.

CODEN: ANYAA9. ISSN: 0077-8923. ISBN: 0-89766-782-4

(paper), 0-89766-781-6 (cloth).

DOCUMENT TYPE: Article

Conference; (Meeting)

LANGUAGE:

English

ENTRY DATE: Entered STN: 15 Sep 1993

Last Updated on STN: 15 Sep 1993

L7 ANSWER 53 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:551354 CAPLUS

DOCUMENT NUMBER: 117:151354

TITLE: Multivalent ligands for diagnosis and therapeutics

AUTHOR(S): Sharma, Shubh D.; Hruby, Victor J.; Hadley,

Mac E.; Granberry, Michael E.; Leong, Stanley P. L.

CORPORATE SOURCE: Dep. Chem., Univ. Arizona, Tucson, AZ, 85721, USA

SOURCE: Pept.: Chem. Biol., Proc. Am. Pept. Symp., 12th (1992)

), Meeting Date 1991, 599-600. Editor(s): Smith, John A.; Rivier, Jean E.

ESCOM: Leiden, Neth.

CODEN: 57XGA9

DOCUMENT TYPE: Conference LANGUAGE: English

A report from a symposium on the preparation of fluorescent melanotropin-polymer conjugates and their binding with a variety of cultured melanoma cells.

ANSWER 54 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN L7

ACCESSION NUMBER: 1992:524732 CAPLUS

DOCUMENT NUMBER: 117:124732

Design of different conformational isomers of the same TITLE:

peptide: α - melanotropin

Nikiforovich, Gregory V.; Sharma, Shubh D.; AUTHOR(S):

Hadley, Mac E.; Hruby, Victor J.

Dep. Chem., Univ. Arizona, Tucson, AZ, 85721, USA CORPORATE SOURCE:

Pept.: Chem. Biol., Proc. Am. Pept. Symp., 12th (1992) SOURCE:

), Meeting Date 1991, 389-92. Editor(s): Smith, John A.; Rivier, Jean E.

ESCOM: Leiden, Neth.

CODEN: 57XGA9

DOCUMENT TYPE:

Conference

LANGUAGE: English

Cyclic analogs of an α -MSH fragment were examined for their biol. potencies and conformations. All analogs displayed full biol. responses, indicating that they were all capable of assuming the conformation involved in the transduction step. Differences in potencies reflected differences in ability to assume the conformation associated with receptor recognition and binding steps.

ANSWER 55 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

1991:185974 CAPLUS ACCESSION NUMBER:

114:185974 DOCUMENT NUMBER:

Antisense peptides of melanocyte-stimulating TITLE:

hormone (MSH): surprising results

Al-Obeidi, Fahad A.; Hruby, Victor J.; Sharma, AUTHOR(S):

Shubh D.; Hadley, Mac E.; Castrucci, Ana M. De L.

CORPORATE SOURCE:

Dep. Chem., Univ. Arizona, Tucson, AZ, 85721, USA Pept.: Chem., Struct. Biol., Proc. Am. Pept. Symp., 11th (1990), Meeting Date 1989, 530-2. Editor(s): Rivier, Jean E.; Marshall, Garland R. ESCOM Sci.

Pub.: Leiden, Neth.

CODEN: 56XTA7

DOCUMENT TYPE:

Conference

LANGUAGE:

SOURCE:

English

A symposium report on the design and solid-phase synthesis of 8 antisense peptides related to β -MSH and 2 related to α -MSH. Antisense peptides are encoded by mRNA complementary to the mRNA for a specific peptide hormone. Melanotropic activities are given for the above antisense peptides.

=> d his

(FILE 'HOME' ENTERED AT 18:14:58 ON 22 DEC 2006)

FILE 'REGISTRY' ENTERED AT 18:15:14 ON 22 DEC 2006

STRUCTURE UPLOADED L1

8 L1 SAM SSS L2

L3124 L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 18:16:31 ON 22 DEC 2006

L4

FILE 'MEDLINE, BIOSIS, CAPLUS, SCISEARCH, EMBASE, WPIDS' ENTERED AT 18:19:57 ON 22 DEC 2006

E SHUBH SHARMA/AU
E SHUBH S?/AU

E SHARMA SHUBH?/AU

L5 130 E1-E8

L6 70 MELAN? AND L5

L7 55 DUP REM L6 (15 DUPLICATES REMOVED)

=> logoff hold

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 182.03 456.11

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE
ENTRY
SESSION
CA SUBSCRIBER PRICE

-27.00
-38.25

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 18:26:57 ON 22 DEC 2006